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Director of RWS Group plc, of Europa House, Marsham Way, Gerrards Cross,
Buckinghamshire, England declare;

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2. That the translator responsible for the attached translation is well acquainted with the German and English languages.
3. That the attached is, to the best of RWS Group plc knowledge and belief, a true translation into the English language of the accompanying copy of the specification filed with the application for a patent in Germany on 27 December 2000 under the number 100 65 433.9 and the official certificate attached hereto.
4. That I believe that all statements made herein of my own knowledge are true and that all statements made on information and belief are true; and further that these statements were made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code and that such willful false statements may jeopardize the validity of the patent application in the United States of America or any patent issuing thereon.



For and on behalf of RWS Group plc

The 17th day of July 2003

FEDERAL REPUBLIC OF GERMANY

[Eagle crest]

**Priority Certificate
for the filing of a Patent Application**

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Title: Indole Derivatives

IPC: C 07 D and A 61 K

The attached documents are a correct and accurate reproduction of the original submission for this Application.

Munich, 8 October 2001

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The President

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Brand

Indole Derivatives

The invention relates to new indole derivatives, processes for their preparation and their use in medicaments.

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In EP-A-580 550, oxamic acid derivatives are described which have cholesterol-lowering properties in mammals. The reduction of plasma cholesterol, in particular of LDL cholesterol, is emphasized as a pharmacological property. Cholesterol-lowering actions are also described in EP-A-188 351 for certain diphenyl ethers having thyroid-hormone-like actions, which differ clearly in their chemical structure from the compounds according to the invention.

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WO 00/51971 discloses oxamic acid derivatives having indole partial structure as thyroid receptor ligands for the treatment of various diseases.

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Further indoles which are connected in the 5-position via a bridge member having a substituted phenyl ring are known (WO 94/14770; EP-A-674 619 A1 or WO 94/26737). No thyroid-hormone-like properties are described for these 5-substituted indoles.

20

WO 99/50268 discloses substituted indolealkancarboxylic acids which are suitable for the treatment of chronic complications caused by diabetes mellitus.

WO 95/20588 discloses indole derivatives having action as 5-HT₁ agonists.

25

WO 98/11895 discloses the use of 5-HT₁ agonists for the treatment of migraine; indole derivatives are also indicated as suitable active compounds. In WO 98/06402, use for the treatment of coryza or rhinitis is described for the same structures.

30

EP-A-639 573 discloses benzo-fused 5-membered ring heterocycles and their use in medicaments and diagnostics. The disclosed compounds are inhibitors of the cellular

sodium-proton antiporter (Na^+/H^+ exchanger).

US-A-5 468 899 relates to bicyclic aryl compounds having selective properties as LTB_4 antagonists.

5

EP-A-377 450 discloses substituted indole, benzofuran and benzothiophene derivatives having action as 5-lipoxygenase inhibitors.

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JP-A-07145 147 discloses testosterone 5-alpha-reductase inhibitors derived from benzoic acid, which can be employed for the treatment of prostate cancer and certain hair loss disorders.

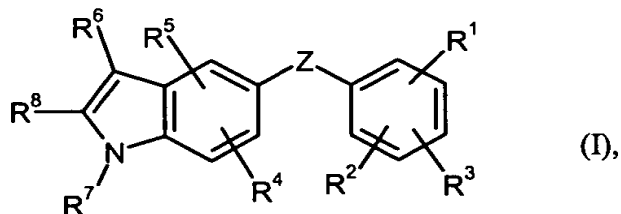
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In GB-A-2 253 848, phenylindole ethers di-ortho-substituted in the phenyl moiety and having herbicidal action are described which can be employed as crop protection agents. Thyromimetic actions have hitherto not been published for these ortho-substituted indoles.

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The object of the invention is the provision of new compounds having improved actions, in particular pharmaceutical actions.

It has now been found that compounds of the general formula (I)



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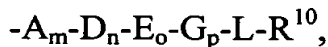
in which

Z represents O , S , SO , SO_2 , CH_2 , CHF , CF_2 or represents NR^9 , in which R^9

denotes hydrogen or (C₁-C₄)-alkyl,

R¹ and R² are identical or different and represent hydrogen, halogen, cyano, (C₁-C₆)-alkyl, CF₃, CHF₂, CH₂F, vinyl or (C₃-C₇)-cycloalkyl, where at least one of the two substituents is unequal to hydrogen and in the ortho position to the bridge bond,

R³ represents a group of the formula



in which

A represents O, S, NR¹¹ or represents the group -(CR¹²=CR¹³)-, in which R¹¹ denotes hydrogen or (C₁-C₄)-alkyl, and R¹² and R¹³ are identical or different and denote hydrogen, cyano, (C₁-C₄)-alkyl or (C₁-C₄)-alkoxy,

D represents a straight-chain (C₁-C₃)-alkylene group, which can be mono- or polysubstituted, identically or differently, by (C₁-C₄)-alkyl, hydroxyl, (C₁-C₄)-alkoxy, halogen, amino, mono-(C₁-C₄)-alkylamino, mono-(C₁-C₄)-acylamino or (C₁-C₄)-alkoxycarbonylamino,

E and L independently of one another represent a C(O) or SO₂ group,

G represents NR¹⁴, in which R¹⁴ denotes hydrogen or (C₁-C₄)-alkyl, or represents a straight-chain (C₁-C₃)-alkylene group, which can be mono- or polysubstituted, identically or differently, by (C₁-C₄)-alkyl, hydroxyl, (C₁-C₄)-alkoxy, halogen, amino, mono- or di-(C₁-C₄)-alkylamino or mono-(C₁-C₄)-acylamino,

m, n, o and p independently of one another in each case represent the number 0 or 1, with the proviso that

5 in the case that L represents a C=O group, the sum (m+n+o+p) is unequal to the number 0,

and

10 in the case that m and o in each case represent the number 1, A represents the radical NR^{11} and E and L in each case represent a C=O group, the sum (n+p) is unequal to the number 0,

and

15 R^{10} represents OR^{15} , $\text{NR}^{16}\text{R}^{17}$, (C₁-C₁₀)-alkyl, (C₃-C₈)-cycloalkyl, (C₂-C₆)-alkenyl, (C₆-C₁₀)-aryl, (C₆-C₁₀)-arylmethyl or represents a saturated, partly unsaturated or aromatic 5- to 10-membered heterocycle having up to four identical or different heteroatoms from the group consisting of N, O and/or S, where the abovementioned radicals are optionally substituted by one, two or three identical or different substituents selected from the group consisting of halogen, hydroxyl, oxo, cyano, nitro, amino, $\text{NR}^{18}\text{R}^{19}$, trifluoromethyl, (C₁-C₆)-alkyl, (C₁-C₆)-alkoxy optionally substituted by R^{20} , (C₃-C₈)-cycloalkyl, (C₆-C₁₀)-aryl, which for its part is optionally substituted by halogen, (C₁-C₄)-alkyl, (C₁-C₄)-alkoxy, trifluoromethyl, nitro or cyano; -O-C(O)- R^{21} , -C(O)- OR^{22} , -C(O)- $\text{NR}^{23}\text{R}^{24}$, -SO₂- $\text{NR}^{25}\text{R}^{26}$, -NH-C(O)- R^{27} and -NH-C(O)- OR^{28} , where

30 R^{15} , R^{16} , R^{17} , R^{18} , R^{19} , R^{20} , R^{21} , R^{22} , R^{23} , R^{24} , R^{25} , R^{26} , R^{27} and R^{28} are identical or different and in each case represent hydrogen, phenyl, benzyl, (C₁-C₆)-alkyl or (C₃-C₈)-cycloalkyl, which for

their part are optionally mono- or polysubstituted, identically or differently, by halogen, hydroxyl, amino, carboxyl, (C₁-C₄)-alkoxy, (C₁-C₄)-alkoxycarbonyl, (C₁-C₄)-alkoxy-carbonyl-amino, (C₁-C₅)-alkanoyloxy, a heterocycle or phenyl which is optionally substituted by halogen or hydroxyl,

5

or the group

-L-R¹⁰ represents a group of the formula $\text{—P} \begin{matrix} \text{O} \\ \parallel \\ \text{OR}^{29} \\ \text{OR}^{29} \end{matrix}$, in which

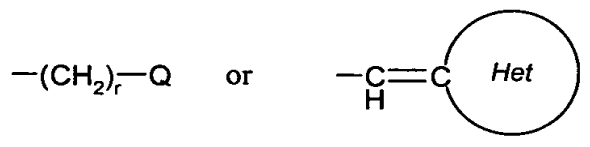
10

R²⁹ denotes hydrogen or (C₁-C₄)-alkyl,

or

R³ represents a group of the formula

15



in which

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Q represents a 5- to 6-membered saturated, partly unsaturated or aromatic heterocycle having up to four identical or different heteroatoms from the group consisting of N, O and/or S, which for its part is optionally mono- to trisubstituted, identically or differently, by oxo (=O), thioxo (=S), hydroxyl, (C₁-C₆)-alkyl or phenyl,

25

r represents the number 0, 1 or 2,

and

the ring *Het* denotes a 5- to 6-membered saturated or partly unsaturated heterocycle having up to three identical or different heteroatoms from the group consisting of N, O and/or S, which is optionally mono- to trisubstituted, identically or differently, by oxo (=O), thioxo (=S), hydroxyl, (C₁-C₆)-alkyl or phenyl,

R⁴ and R⁵ are identical or different and in each case represent hydrogen, hydroxyl, halogen, cyano, nitro, (C₁-C₄)-alkyl or the radical of the formula NR³⁰R³¹, where R³⁰ and R³¹ have the meaning indicated for R¹⁵ and independently of one another can be identical to or different from this substituent,

R⁶ represents hydrogen, halogen or represents a group of the formula



in which

M represents a carbonyl group, a sulphonyl group or a methylene group,
a represents the number 0 or 1,

and

R³² has the meaning of R¹⁰ indicated above and can be identical to or different from this substituent,

R⁷ represents hydrogen or represents an acyl group which can be removed under physiological conditions with formation of an NH function, preferably represents hydrogen or acetyl ,

and

R⁸ has the meaning of R⁶ indicated above and can be identical to or different from this substituent,

5

and their pharmaceutically tolerable salts, solvates, hydrates and hydrates of the salts,

preferably the compounds which are trisubstituted, in particular tetrasubstituted, in the phenyl moiety and preferably in the 1-, 2-, 4- and 6-position and have a substituent in the 3-position in the indole ring,

10

exhibit a pharmacological action and can be used as medicaments or for the preparation of pharmaceutical formulations.

15 Heterocycles in the definition of R⁶, R⁸ or R¹⁰ which may preferably be mentioned are:

A 5- to 10-membered saturated, partly unsaturated or aromatic, optionally benzo-fused heterocycle having up to 4 heteroatoms from the group consisting of S, N and/or O, i.e. a heterocycle, which can contain one or more double bonds and which is linked via a ring carbon atom or a ring nitrogen atom. Examples which may be mentioned are: tetrahydrofuryl, pyrrolidinyl, pyrrolinyl, piperidinyl, 1,2-dihydropyridinyl, 1,4-dihydropyridinyl, piperazinyl, morpholinyl, azepinyl, 1,4-diazepinyl, furanyl, pyrrolyl, thienyl, thiazolyl, oxazolyl, imidazolyl, triazolyl, tetrazolyl, pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl, pyrimidinonyl, pyridazinonyl.

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Preferred heterocycles from this list are: pyridyl, pyrimidinyl, pyridazinyl, pyrimidinonyl, pyridazinonyl and thienyl.

30 Alkyl in the context of the invention represents a straight-chain or branched alkyl radical preferably having 1 to 15, 1 to 12, 1 to 10, 1 to 8, 1 to 6, 1 to 4 or 1 to 3

carbon atoms. A straight-chain or branched alkyl radical having 1 to 3 carbon atoms is preferred. The following may be mentioned by way of example and preferably: methyl, ethyl, n-propyl, isopropyl, n-, i-, s- or t-butyl, n-pentyl and n-hexyl.

5 Aryl in the context of the invention represents an aromatic radical preferably having 6 to 10 carbon atoms. Preferred aryl radicals are phenyl and naphthyl.

10 Cycloalkyl in the context of the invention represents a cycloalkyl group preferably having 3 to 8, 3 to 7 or 3 to 6 carbon atoms. The following may be mentioned by way of example and preferably: cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl and cycloheptyl.

15 Alkoxy in the context of the invention preferably represents a straight-chain or branched alkoxy radical having 1 to 6, 1 to 4 or 1 to 3 carbon atoms. A straight-chain or branched alkoxy radical having 1 to 3 carbon atoms is preferred. The following may be mentioned by way of example and preferably: methoxy, ethoxy, n-propoxy, isopropoxy, t-butoxy, n-pentoxo and n-hexoxy.

20 Alkoxy carbonyl in the context of the invention preferably represents a straight-chain or branched alkoxy radical having 1 to 6 or 1 to 4 carbon atoms, which is linked via a carbonyl group. A straight-chain or branched alkoxy carbonyl radical having 1 to 4 carbon atoms is preferred. The following may be mentioned by way of example and preferably: methoxycarbonyl, ethoxycarbonyl, n-propoxycarbonyl, isopropoxycarbonyl and t-butoxycarbonyl.

25 Alkanoyloxy in the context of the invention preferably represents a straight-chain or branched alkyl radical having 1 to 6, 1 to 5 or 1 to 3 carbon atoms, which in the 1-position carries a doubly bonded oxygen atom and is linked in the 1-position via a further oxygen atom. A straight-chain or branched alkanoyloxy radical having 1 to 3
30 carbon atoms is preferred. The following may be mentioned by way of example and preferably: acetoxy, propionoxy, n-butyroxy, i-butyroxy, pivaloyloxy and

n-hexanoyloxy.

5 Monoalkylamino in the context of the invention represents an amino group having a straight-chain or branched alkyl substituent, which preferably has 1 to 6, 1 to 4 or 1 to 2 carbon atoms. A straight-chain or branched monoalkylamino radical having 1 to 4 carbon atoms is preferred. The following may be mentioned by way of example and preferably: methylamino, ethylamino, n-propylamino, isopropylamino, t-butylamino, n-pentylamino and n-hexylamino.

10 Dialkylamino in the context of the invention represents an amino group having two identical or different straight-chain or branched alkyl substituents, which preferably in each case have 1 to 6, 1 to 4 or 1 to 2 carbon atoms. Straight-chain or branched dialkylamino radicals in each case having 1 to 4 carbon atoms are preferred. The following may be mentioned by way of example and preferably: *N,N*-dimethylamino,
15 *N,N*-diethylamino, *N*-ethyl-*N*-methylamino, *N*-methyl-*N*-n-propylamino, *N*-isopropyl-*N*-n-propylamino, *N*-t-butyl-*N*-methylamino, *N*-ethyl-*N*-n-pentylamino and *N*-n-hexyl-*N*-methylamino.

20 Monoacylamino in the context of the invention represents an amino group having a straight-chain or branched alkanoyl substituent, which preferably has 1 to 6, 1 to 4 or 1 to 2 carbon atoms and is linked via the carbonyl group. A monoacylamino radical having 1 to 2 carbon atoms is preferred. The following may be mentioned by way of example and preferably: formamido, acetamido, propionamido, n-butyramido and pivaloylamido.

25 Alkoxy-carbonylamino in the context of the invention represents an amino group having a straight-chain or branched alkoxy-carbonyl substituent, which in the alkoxy radical preferably has 1 to 6 or 1 to 4 carbon atoms and is linked via the carbonyl group. An alkoxy-carbonylamino radical having 1 to 4 carbon atoms is preferred. The
30 following may be mentioned by way of example and preferably: methoxycarbonylamino, ethoxycarbonylamino, n-propoxycarbonylamino and t-butoxycarbonylamino.

Halogen in the context of the invention includes fluorine, chlorine, bromine and iodine. Fluorine, chlorine and bromine are preferred.

5 Depending on the substituent pattern, the compounds according to the invention can exist in stereoisomeric forms, which either behave as image and mirror image (enantiomers), or which do not behave as image and mirror image (diastereomers). The invention relates both to the enantiomers or diastereomers and to their respective mixtures. Like the diastereomers, the racemic forms can be separated into the
10 stereoisomerically uniform constituents in a known manner.

Furthermore, certain compounds can be present in tautomeric forms. This is known to the person skilled in the art, and compounds of this type are likewise included by the scope of the invention.

15 The compounds according to the invention can also be present as salts. In the context of the invention, physiologically acceptable salts are preferred.

Physiologically acceptable salts can be salts of the compounds according to the
20 invention with inorganic or organic acids. Preferred salts are those with inorganic acids such as, for example, hydrochloric acid, hydrobromic acid, phosphoric acid or sulphuric acid, or salts with organic carboxylic or sulphonc acids such as, for example, acetic acid, propionic acid, maleic acid, fumaric acid, malic acid, citric acid, tartaric acid, lactic acid, benzoic acid, or methanesulphonic acid,
25 ethanesulphonic acid, benzenesulphonic acid, toluenesulphonic acid or naphthalenedisulphonic acid.

Physiologically acceptable salts can likewise be salts of the compounds according to the invention with bases, such as, for example, metal or ammonium salts. Preferred
30 examples are alkali metal salts (e.g. sodium or potassium salts), alkaline earth metal salts (e.g. magnesium or calcium salts), and ammonium salts, which are derived from

ammonia or organic amines, such as, for example, ethylamine, di- or triethylamine, ethyldiisopropylamine, monoethanolamine, di- or triethanolamine, dicyclohexylamine, dimethylaminoethanol, dibenzylamine, N-methylmorpholine, dihydroabietylamine, 1-phenamine, methylpiperidine, arginine, lysine, ethylenediamine or
 5 2-phenylethylamine.

The compounds according to the invention can also be present in the form of their solvates, in particular in the form of their hydrates.

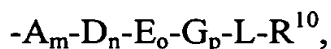
10 Preferred compounds of the general formula (I) are those

in which

Z represents O, S or CH₂,
 15

R¹ and R² are identical or different and represent hydrogen, fluorine, chlorine, bromine, (C₁-C₄)-alkyl, CF₃, CHF₂, CH₂F, vinyl or (C₃-C₅)-cycloalkyl, where at least one of the two substituents is unequal to hydrogen and is in the ortho position to the bridge bond, in particular both substituents are unequal
 20 to hydrogen and both are in the ortho position,

R³ represents a group of the formula



25

in which

A represents O, S, NR¹¹ or represents the group -(CR¹²=CR¹³)-, in which R¹¹ denotes hydrogen or methyl, and R¹² and R¹³ are identical or
 30 different and denote hydrogen or methoxy,

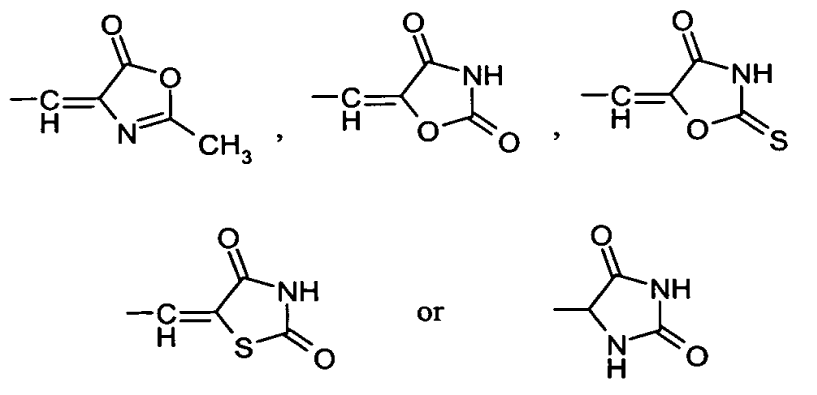
- 5 D represents a straight-chain (C₁-C₃)-alkylene group which can be mono- or disubstituted, identically or differently, by (C₁-C₄)-alkyl, hydroxyl, methoxy, ethoxy, fluorine, chlorine, amino, mono-(C₁-C₄)-alkylamino or mono-(C₁-C₄)-acylamino,
- E represents a C(O) group,
- L represents a C(O) or SO₂ group,
- 10 G represents an NH group or represents a straight-chain (C₁-C₃)-alkylene group, which can be mono- or disubstituted, identically or differently, by methyl, ethyl, hydroxyl, methoxy, fluorine, chlorine, amino, methylamino or acetylamino,
- 15 m, n, o and p independently of one another in each case represent the number 0 or 1, with the proviso that
- in the case that L represents a C=O group, the sum (m+n+o+p) is unequal to the number 0,
- 20 and
- in the case that m and o in each case represent the number 1, A represents the radical NR¹¹ and L represents a C=O group, the sum (n+p) is unequal to the
- 25 number 0,
- and
- 30 R¹⁰ represents OR¹⁵, NR¹⁶R¹⁷, (C₁-C₆)-alkyl, (C₃-C₇)-cycloalkyl, naphthyl, phenyl, benzyl or represents a saturated, partly unsaturated or aromatic 5- to 6-membered heterocycle having up to four identical or different

heteroatoms from the group consisting of N, O and/or S, where the abovementioned radicals are optionally substituted by one, two or three identical or different substituents selected from the group consisting of halogen, hydroxyl, oxo, cyano, nitro, amino, $\text{NR}^{18}\text{R}^{19}$, trifluoromethyl, $(\text{C}_1\text{-C}_4)\text{-alkyl}$, $(\text{C}_1\text{-C}_4)\text{-alkoxy}$ optionally substituted by R^{20} , $(\text{C}_3\text{-C}_6)\text{-cycloalkyl}$, $-\text{O}-\text{C}(\text{O})-\text{R}^{21}$, $-\text{C}(\text{O})-\text{OR}^{22}$, $-\text{C}(\text{O})-\text{NR}^{23}\text{R}^{24}$, $-\text{SO}_2-\text{NR}^{25}\text{R}^{26}$, $-\text{NH}-\text{C}(\text{O})-\text{R}^{27}$ and $-\text{NH}-\text{C}(\text{O})-\text{OR}^{28}$, where

R^{15} , R^{16} , R^{17} , R^{18} , R^{19} , R^{20} , R^{21} , R^{22} , R^{23} , R^{24} , R^{25} , R^{26} , R^{27} and R^{28} are identical or different and in each case represent hydrogen, phenyl, benzyl, $(\text{C}_1\text{-C}_6)\text{-alkyl}$ or $(\text{C}_3\text{-C}_6)\text{-cycloalkyl}$, which for their part are optionally mono- or polysubstituted, identically or differently, by halogen, hydroxyl, amino, carboxyl, $(\text{C}_1\text{-C}_4)\text{-alkoxy}$, $(\text{C}_1\text{-C}_4)\text{-alkoxycarbonyl}$, $(\text{C}_1\text{-C}_4)\text{-alkoxy-carbonyl-amino}$, $(\text{C}_1\text{-C}_5)\text{-alkanoyloxy}$, a heterocycle or phenyl which is optionally substituted by halogen or hydroxyl,

or

R^3 represents a group of the formula



R^4 and R^5 are identical or different and in each case represent hydrogen, halogen or

(C₁-C₄)-alkyl,

R⁶ represents hydrogen, halogen or a group of the formula

5 $-M_a-R^{32},$

in which

10 M represents a carbonyl group, a sulphonyl group or a methylene group,

a represents the number 0 or 1,

and

15 R³² represents (C₁-C₁₀)-alkyl, (C₃-C₇)-cycloalkyl, (C₂-C₄)-alkenyl, naphthyl, phenyl, benzyl, pyridyl, pyridazinyl or pyridazinonyl, where the abovementioned radicals are optionally substituted by one, two or three identical or different substituents selected from the group consisting of halogen, hydroxyl, cyano, nitro, amino, NR¹⁸R¹⁹,
20 trifluoromethyl, (C₁-C₄)-alkyl, (C₁-C₄)-alkoxy, (C₃-C₇)-cycloalkyl, phenyl, which for its part is optionally substituted by halogen, (C₁-C₄)-alkyl, (C₁-C₄)-alkoxy, trifluoromethyl, nitro or cyano, -O-C(O)-R²¹, -C(O)-OR²², -C(O)-NR²³R²⁴, -SO₂-NR²⁵R²⁶, -NH-C(O)-R²⁷ and -NH-C(O)-OR²⁸, where

25 R¹⁸, R¹⁹, R²¹, R²², R²³, R²⁴, R²⁵, R²⁶, R²⁷ and R²⁸ are identical or different and in each case represent hydrogen, phenyl, benzyl, (C₁-C₆)-alkyl or (C₃-C₆)-cycloalkyl, which for their part are optionally mono- or polysubstituted, identically or differently,
30 by halogen, hydroxyl, amino, carboxyl, (C₁-C₄)-alkoxy, (C₁-C₄)-alkoxycarbonyl, (C₁-C₄)-alkoxycarbonylamino,

(C₁-C₅)-alkanoyloxy, a heterocycle or phenyl which is optionally substituted by halogen or hydroxyl,

R⁷ represents hydrogen,

5

and

R⁸ has the meaning of R⁶ indicated above and can be identical to or different from this substituent,

10

and their pharmaceutically tolerable salts, solvates, hydrates and hydrates of the salts.

Particularly preferred compounds of the general formula (I) are those

15

in which

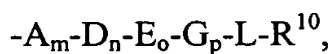
Z represents O or CH₂,

20

R¹ and R² are identical or different and represent hydrogen, fluorine, chlorine, bromine, (C₁-C₄)-alkyl, CF₃, CHF₂, CH₂F, vinyl or (C₃-C₅)-cycloalkyl, where at least one of the two substituents is unequal to hydrogen and in the ortho position to the bridge bond, in particular both substituents are unequal to hydrogen and both are in the ortho position,

25

R³ represents a group of the formula



in which

30

A represents O, S or NH,

- 5 D represents a straight-chain (C_1-C_3)-alkylene group, which can be mono- or disubstituted, identically or differently, by methyl, ethyl, hydroxyl, methoxy, fluorine, amino or acetyl amino,
- E represents a $C(O)$ group,
- L represents a $C(O)$ or SO_2 group,
- 10 G represents an NH group or represents a methylene group,
- m, n, o and p independently of one another in each case represent the number 0 or 1, with the proviso that
- 15 in the case that L represents a $C=O$ group, the sum $(m+n+o+p)$ is unequal to the number 0,
- and
- 20 in the case that m and o in each case represent the number 1, A represents the radical NH and L represents a $C=O$ group, the sum $(n+p)$ is unequal to the number 0,
- and
- 25 R^{10} represents OR^{15} , $NR^{16}R^{17}$, (C_1-C_6)-alkyl, phenyl, benzyl or represents an aromatic 5- to 6-membered heterocycle having up to four identical or different heteroatoms from the group consisting of N, O and/or S, where the abovementioned radicals are optionally substituted by one,
- 30 two or three identical or different substituents selected from the group consisting of fluorine, chlorine, hydroxyl, oxo, cyano, nitro, amino,

NR¹⁸R¹⁹, trifluoromethyl, (C₁-C₄)-alkyl, (C₁-C₄)-alkoxy optionally substituted by R²⁰, (C₃-C₆)-cycloalkyl, -O-C(O)-R²¹, -C(O)-OR²², -C(O)-NR²³R²⁴, -SO₂-NR²⁵R²⁶, -NH-C(O)-R²⁷ and -NH-C(O)-OR²⁸, where

5

R¹⁵, R¹⁶, R¹⁷, R¹⁸, R¹⁹, R²⁰, R²¹, R²², R²³, R²⁴, R²⁵, R²⁶, R²⁷ and R²⁸ are identical or different and in each case represent hydrogen, phenyl, benzyl, (C₁-C₆)-alkyl or (C₃-C₆)-cycloalkyl, which for their part are optionally mono- to disubstituted, identically or differently, by fluorine, chlorine, hydroxyl, amino, carboxyl, (C₁-C₄)-alkoxy, (C₁-C₄)-alkoxycarbonyl, (C₁-C₄)-alkoxycarbonylamino, (C₁-C₅)-alkanoyloxy, a heterocycle or phenyl which is optionally substituted by fluorine, chlorine or hydroxyl,

10

15

R⁴ and R⁵ are identical or different and in each case represent hydrogen, fluorine, chlorine or methyl,

R⁶ represents hydrogen, halogen or a group of the formula

20



in which

25

M represents a sulphonyl group or a methylene group,

a represents the number 0 or 1,

and

30

R³² represents (C₁-C₁₀)-alkyl, (C₃-C₇)-cycloalkyl, phenyl, benzyl, pyridyl,

pyridazinyl or pyridazinonyl, where the abovementioned radicals are optionally substituted by one or two identical or different substituents selected from the group consisting of fluorine, chlorine, bromine, hydroxyl, cyano, nitro, amino, $\text{NR}^{18}\text{R}^{19}$, trifluoromethyl, $(\text{C}_1\text{-C}_4)\text{-alkyl}$, $(\text{C}_1\text{-C}_4)\text{-alkoxy}$, $(\text{C}_3\text{-C}_7)\text{-cycloalkyl}$, $-\text{O}-\text{C}(\text{O})-\text{R}^{21}$, $-\text{C}(\text{O})-\text{OR}^{22}$, $-\text{C}(\text{O})-\text{NR}^{23}\text{R}^{24}$, $-\text{SO}_2-\text{NR}^{25}\text{R}^{26}$, $-\text{NH}-\text{C}(\text{O})-\text{R}^{27}$ and $-\text{NH}-\text{C}(\text{O})-\text{OR}^{28}$, where

R^{18} , R^{19} , R^{21} , R^{22} , R^{23} , R^{24} , R^{25} , R^{26} , R^{27} and R^{28} are identical or different and in each case represent hydrogen, phenyl, benzyl, $(\text{C}_1\text{-C}_6)\text{-alkyl}$ or $(\text{C}_3\text{-C}_6)\text{-cycloalkyl}$, which for their part are optionally mono- or disubstituted, identically or differently, by fluorine, chlorine, hydroxyl, amino, carboxyl, $(\text{C}_1\text{-C}_4)\text{-alkoxy}$, $(\text{C}_1\text{-C}_4)\text{-alkoxycarbonyl}$, $(\text{C}_1\text{-C}_4)\text{-alkoxycarbonyl-amino}$, $(\text{C}_1\text{-C}_5)\text{-alkanoyloxy}$, a heterocycle or phenyl which is optionally substituted by fluorine, chlorine or hydroxyl,

R^7 represents hydrogen,

R^8 represents hydrogen, carboxyl, $(\text{C}_1\text{-C}_4)\text{-alkoxycarbonyl}$, $(\text{C}_1\text{-C}_6)\text{-alkyl}$, $(\text{C}_3\text{-C}_7)\text{-cycloalkyl}$, phenyl, benzyl, pyridyl, phenylsulphonyl or benzylsulphonyl, where the abovementioned radicals are optionally substituted by one or two identical or different substituents selected from the group consisting of fluorine, chlorine, bromine, hydroxyl, cyano, nitro, amino, $\text{NR}^{18}\text{R}^{19}$, trifluoromethyl, $(\text{C}_1\text{-C}_4)\text{-alkyl}$, $(\text{C}_1\text{-C}_4)\text{-alkoxy}$, $(\text{C}_3\text{-C}_6)\text{-cycloalkyl}$, $-\text{O}-\text{C}(\text{O})-\text{R}^{21}$, $-\text{C}(\text{O})-\text{OR}^{22}$, $-\text{C}(\text{O})-\text{NR}^{23}\text{R}^{24}$, $-\text{SO}_2-\text{NR}^{25}\text{R}^{26}$, $-\text{NH}-\text{C}(\text{O})-\text{R}^{27}$ and $-\text{NH}-\text{C}(\text{O})-\text{OR}^{28}$, where

R^{18} , R^{19} , R^{21} , R^{22} , R^{23} , R^{24} , R^{25} , R^{26} , R^{27} and R^{28} are identical or different and in each case represent hydrogen, phenyl, benzyl, $(\text{C}_1\text{-C}_6)\text{-alkyl}$ or $(\text{C}_3\text{-C}_6)\text{-cycloalkyl}$, which for their part are optionally mono- or

polysubstituted, identically or differently, by fluorine, chlorine, hydroxyl, amino, carboxyl, (C₁-C₄)-alkoxy, (C₁-C₄)-alkoxycarbonyl, (C₁-C₄)-alkoxycarbonylamino, (C₁-C₅)-alkanoyloxy, a heterocycle or phenyl which is optionally substituted by fluorine, chlorine or hydroxyl,

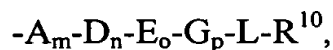
and their pharmaceutically tolerable salts, solvates, hydrates and hydrates of the salts.

Very particularly preferred compounds of the general formula (I) are those in which

Z represents O,

R¹ and R² are identical or different and represent hydrogen, fluorine, chlorine, bromine, (C₁-C₄)-alkyl, CF₃, CHF₂, CH₂F, vinyl or (C₃-C₅)-cycloalkyl, where at least one of the two substituents is unequal to hydrogen and in the ortho position to the bridge bond, in particular both substituents are unequal to hydrogen and both are in the ortho position,

R³ represents a group of the formula



in which

A represents O, S or NH,

D represents a methylene or ethylene group, which can be mono- to disubstituted, identically or differently, by methyl, ethyl, fluorine, amino or acetylamino,

E represents a C(O) group,

L represents a C(O) or SO₂ group,

5

G represents an NH group or represents a methylene group,

m, n, o and p independently of one another in each case represent the number 0 or 1,
with the proviso that

10

in the case that L represents a C=O group, the sum (m+n+o+p) is unequal to the number 0,

and

15

in the case that m and o in each case represent the number 1, A represents the radical NH and L represents a C=O group, the sum (n+p) is unequal to the number 0,

20

and

R¹⁰ represents OR¹⁵, NR¹⁶R¹⁷ or represents (C₁-C₄)-alkyl, where R¹⁵, R¹⁶ and R¹⁷ are identical or different and in each case represent hydrogen, phenyl, benzyl, (C₁-C₆)-alkyl or (C₃-C₆)-cycloalkyl, which for their
25 part are optionally mono- to disubstituted, identically or differently, by fluorine, chlorine, hydroxyl, amino, carboxyl, (C₁-C₄)-alkoxy, (C₁-C₄)-alkoxycarbonyl, (C₁-C₄)-alkoxycarbonylamino, (C₁-C₅)-alkanoyloxy, a heterocycle or phenyl,

30

R⁴ and R⁵ are identical or different and in each case represent hydrogen, fluorine, chlorine or methyl,

R⁶ represents hydrogen, halogen, (C₁-C₁₀)-alkyl, (C₃-C₇)-cycloalkyl, (C₃-C₇)-cycloalkylmethyl, phenyl, benzyl, pyridazinonylmethyl, phenylsulphonyl or pyridylsulphonyl, where the abovementioned aromatic radicals are optionally substituted by one or two identical or different substituents selected from the group consisting of fluorine, chlorine, cyano, nitro, trifluoromethyl, methyl, methoxy, carboxyl or methoxycarbonyl,

R⁷ represents hydrogen,

R⁸ represents hydrogen, (C₁-C₆)-alkyl, (C₃-C₇)-cycloalkyl, phenyl, benzyl, phenylsulphonyl or benzylsulphonyl, where the abovementioned aromatic radicals are optionally substituted by one or two identical or different substituents selected from the group consisting of fluorine, chlorine, cyano, trifluoromethyl, methyl or methoxy,

and their pharmaceutically tolerable salts, solvates, hydrates and hydrates of the salts.

Compounds of the general formula (I) which are of particular importance are those in which

Z represents CH₂ or in particular represents oxygen,

R¹ and R² are identical or different and represent methyl, ethyl, propyl, isopropyl, chlorine, bromine, CF₃, vinyl or cyclopropyl, where both substituents are in the ortho position to the bridge bond,

R⁴ and R⁵ independently of one another represent methyl, fluorine or chlorine or in particular represent hydrogen,

and

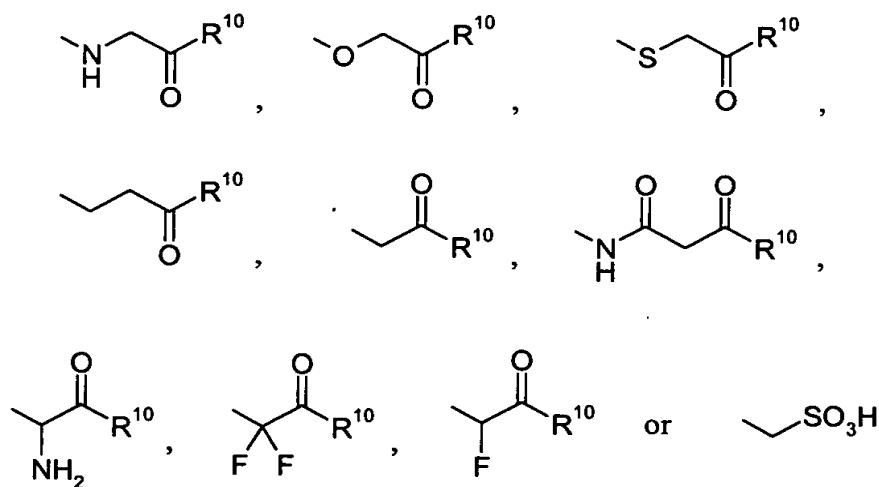
R^7 represents hydrogen.

5 The abovementioned radical definitions which are general or indicated in preferred ranges apply both to the final products of the formula (I) and correspondingly to the starting substances or intermediates needed in each case for preparation.

10 The radical definitions specifically indicated in the respective combinations or preferred combinations of radicals are arbitrarily also replaced, independently of the respective combinations of the radicals indicated, by radical definitions of other combinations.

15 Particularly preferred compounds of the formula (I) are those in which Z represents oxygen.

Particularly preferred compounds of the formula (I) are those in which R^3 represents a group of the formula



20

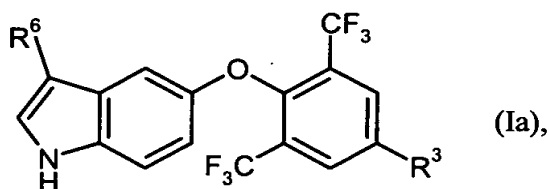
which is located in the para position to the bridge bond and in which R^{10} represents hydroxyl or the radical $-C(O)-R^{10}$ has the indicated meanings of R^{10} for a group

which, in the sense of a prodrug, can be broken down to the carboxylic acid $-C(O)-OH$ or its salts.

Particularly preferred compounds of the formula (I) are those in which R^4 , R^5 and R^7 represent hydrogen.

Particularly preferred compounds of the formula (I) are those in which R^1 and R^2 are both situated in the ortho position to Z and represent bromine, trifluoromethyl, ethyl, cyclopropyl and in particular represent methyl or chlorine .

Very particularly preferred compounds of the formula (Ia)



are those in which

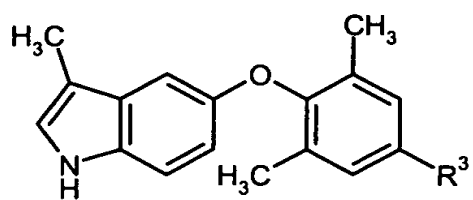
R^3 represents a group of the formula $-CH_2-C(O)-OH$, $-CHF-C(O)-OH$ or $-CF_2-C(O)-OH$,

and

R^6 represents straight-chain or branched (C_1-C_8) -alkyl.

The following individual compounds may be mentioned by way of example and preferably:

Compounds of the formula 1 in which R^3 has the meanings indicated in Table 1 (* in the table denotes the linkage site):



1

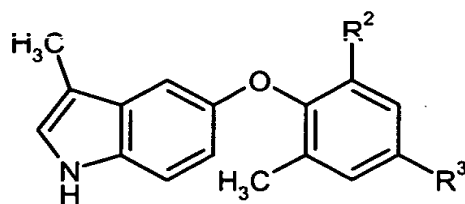
Table 1

R ³	R ³	R ³	R ³

R ³	R ³	R ³	R ³

Individual compounds of the formula 2 in which R³ in each case has the meanings indicated in Table 1 and R², instead of methyl from the formula 1, for each of the individual compounds 1 to 35 in each case has the meanings indicated in Table 2 for R²:

5



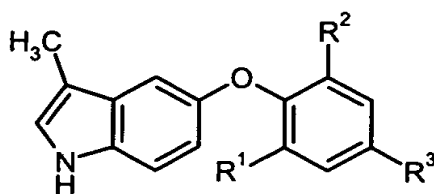
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Table 2

R ²	R ²	R ²	R ²
H	F	Cl	Br
I	*-CH ₃	*-CH ₂ CH ₃	*-Cyclopropyl
*-CH=CH ₂	*-CH(CH ₃) ₂	*-CF ₃	*-CF ₂ H
*-CFH ₂	CN		

10

Individual compounds of the formula 3 in which R² and R³ in each case have the meanings indicated in Tables 1 and 2 and R¹, instead of methyl from formula 2, for each of the individual compounds 1 to 490 in each case has the meanings indicated in Table 3 for R¹:



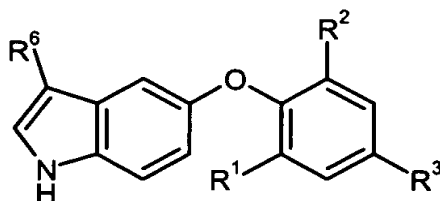
3

5 **Table 3**

R ¹	R ¹	R ¹	R ¹
H	F	Cl	Br
I	*-CH ₃	*-CH ₂ CH ₃	*-Cyclopropyl
*-CH=CH ₂	*-CH(CH ₃) ₂	*-CF ₃	*-CF ₂ H
*-CFH ₂	CN		

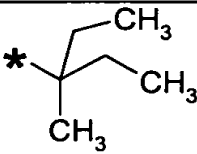
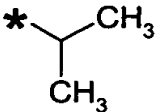
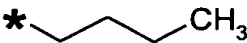
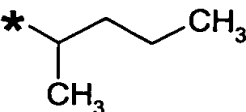
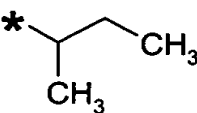
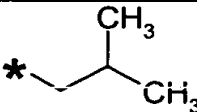
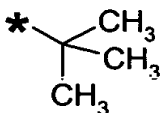
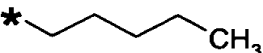
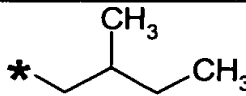
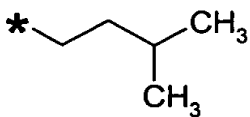
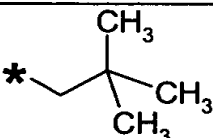
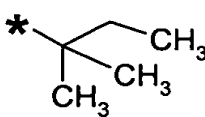
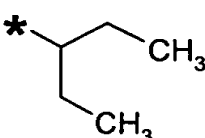
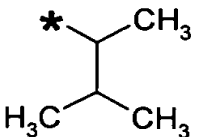
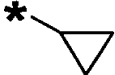
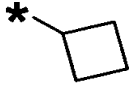
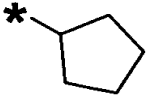
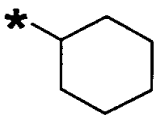

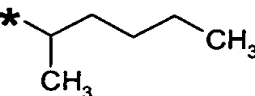
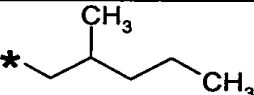
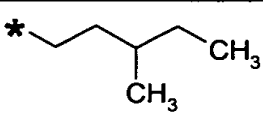
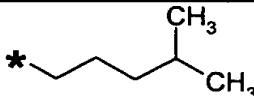
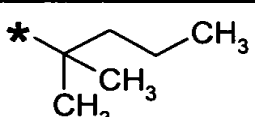
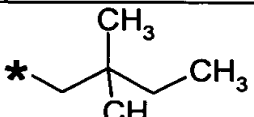
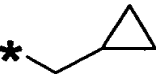
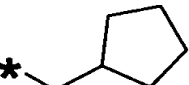
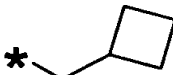
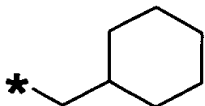
Individual compounds of the formula 4 in which R¹, R² and R³ in each case have the meanings indicated in Tables 1, 2 and 3 and R⁶, instead of methyl from formula 3, for each of the individual compounds 1 to 6860 in each case has the meanings indicated in Table 4 for R⁶:

10



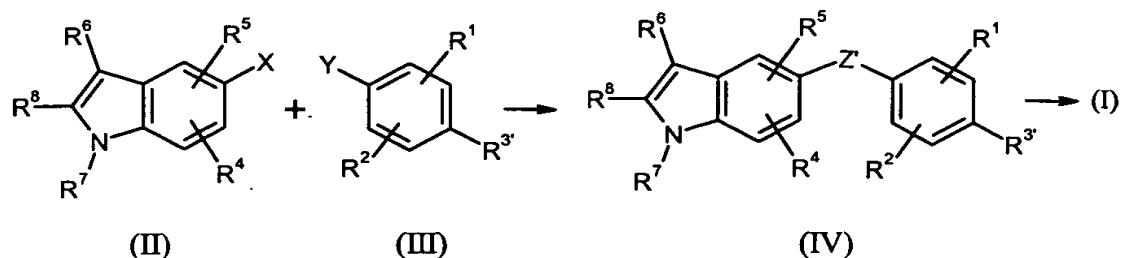
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Table 4

R ⁶	R ⁶	R ⁶	R ⁶
H	F	Cl	Br
I	*-CH ₃	*-CH ₂ CH ₃	*-CH ₂ CH ₂ CH ₃
			
			*-CF ₃
*-CF ₂ H	*-CFH ₂		
			
			
			
			
			

R ⁶	R ⁶	R ⁶	R ⁶

The compounds of the general formula (I) according to the invention can be prepared by reacting reactive indole derivatives of the general formula (II) with reactive phenyl derivatives of the general formula (III)



where the substituents R^1 , R^2 , R^4 , R^5 , R^6 , R^7 and R^8 have the meanings indicated above, and

$R^{3'}$ has the meaning indicated for R^3 or represents NO_2 , NH_2 , NH-PG , OH , O-PG , SH , S-PG , or represents an aldehyde, cyano, carboxyl or $(\text{C}_1\text{-C}_4)\text{-alkoxy-carbonyl}$ group,

where PG represents a protective group,

X and Y in each case represent groups of opposite reactivity, where, for example, X can be an electrophilic radical which reacts with a nucleophilic Y substituent and vice versa,

Z' has the meaning indicated for Z or represents $>\text{CH-OH}$ or $>\text{C=O}$,

if appropriate in the presence of inert solvents and catalysts and if appropriate with isolation of the intermediates of the general formula (IV) or directly to give compounds of the formula (I).

Catalysts which may be mentioned by way of example are coupling catalysts such as Pd, Rh and/or Cu compounds.

Examples of the reactive groups X and Y which may be mentioned are: halogen, hydroxyl, CH_2Br , mercapto, amino, CHO, Li, magnesium, tin or boron derivatives.

The indoles of the general formula (II) which can be employed according to the invention are known or can be prepared according to known methods [compare, for example, Ozaki et al., Heterocycles 51, 727-731 (1999); Harvey et al., J. Chem. Soc., 473 (1959); Quadbeck et al., Hoppe-Seyler's Z. Physiolog. Chem. 297, 229 (1954);
5 Chen et al., J. Org. Chem. 59, 3738 (1994); Synthesis, 480 (1988); J. prakt. Chem. 340, 608 (1998)].

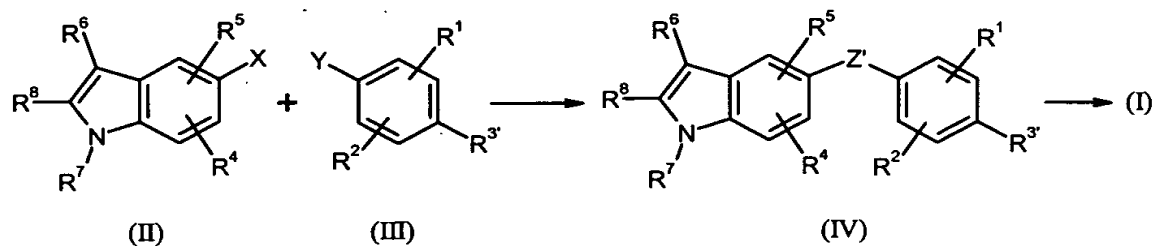
The phenyl derivatives of the general formula (III) are likewise known or can be
10 prepared according to known methods [compare, for example, van de Bunt, Recl. Trav. Chim. Pays-Bas 48, 131 (1929); Valkanas, J. Chem. Soc., 5554 (1963)].

The reaction of the starting compounds (II) with (III) in general proceeds at normal pressure. However, it can also be carried out at elevated or reduced pressure.

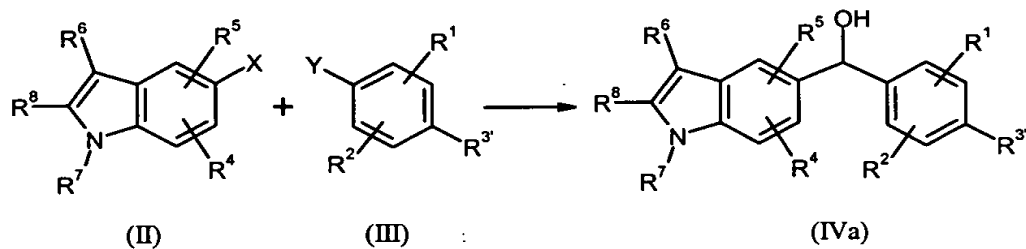
15 The reaction can be carried out in a temperature range from -100°C to 200°C, preferably between -78°C and 150°C, in the presence of inert solvents. Inert solvents which may preferably be mentioned are: dimethylsulphoxide (DMSO), dimethylformamide (DMF), tetrahydrofuran (THF), diethyl ether, dichloromethane etc.

20 Depending on the specific substituent pattern, in the reaction of (II) and (III) intermediates of the formula (IV) can also be formed in which, for example, the substituent R^{3'} represents a nitro, aldehyde, cyano, carboxyl or alkoxycarbonyl group or Z' represents a CHOH or C(O) group, which are then further reacted with or
25 without isolation of these intermediates according to customary methods to give compounds of the formula (I).

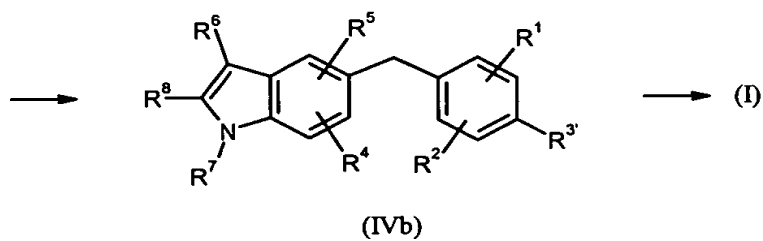
The process according to the invention can be illustrated by way of example by the following reaction schemes:

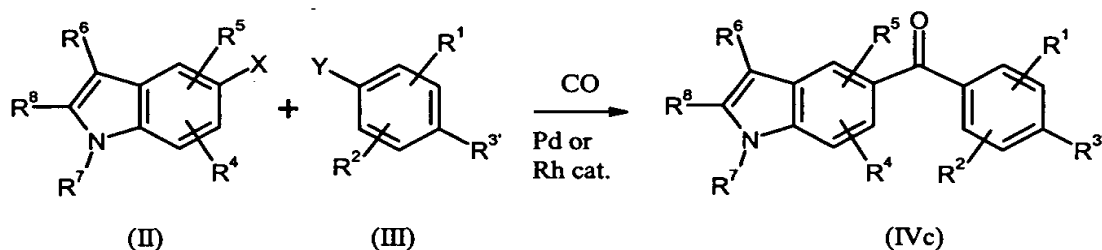
Process variant (A)

$X = \text{F, Cl, Br, I, B(OH)}_2$; $Y = \text{OH, SH, NH}_2$
 or $X = \text{OH, SH, NH}_2$; $Y = \text{F, Cl, Br, I, B(OH)}_2$

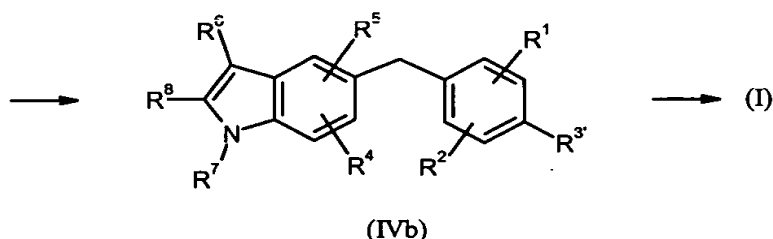
5 Process variant (B)

$X = \text{CHO}$; $Y = \text{Li, MgCl, MgBr}$
 or $X = \text{Li, MgCl, MgBr}$; $Y = \text{CHO}$



Process variant (C)

X and/or Y = Halogen



- 5 Depending on the meaning of the substituents R¹, R², R⁴, R⁵, R⁶, R⁷ and R⁸, it can be useful or necessary to vary these at individual process stages within the scope of meaning indicated.

10 Protective groups (PG) are understood in the present application as meaning those groups in starting materials, intermediates and/or final products which protect functional groups present such as, for example, carboxyl, amino, mercapto or hydroxyl groups and which are customary in preparative organic chemistry. The groups protected in this way can then be converted into free functional groups in a simple manner under known conditions.

15

The compounds of the formula (I) according to the invention show a surprising and valuable pharmacological spectrum of action and can therefore be employed as versatile medicaments. In particular, they can be employed in all indications which can be treated using natural thyroid hormones, such as by way of example and

preferably, depression, goitre or cancer of the thyroid. Preferably, using the compounds of the formula (I) according to the invention, arteriosclerosis, hypercholesterolaemia, and dyslipidaemia can be treated. Moreover, adiposity and obesity and cardiac insufficiency can also be treated and a postprandial lowering of the triglycerides can be achieved.

The compounds are also suitable for the treatment of certain respiratory tract diseases, namely in particular of pulmonary emphysema and for the medicinal promotion of maturation of the lungs.

The compounds are furthermore suitable for the treatment of Alzheimer's disease.

The compounds are furthermore suitable for the treatment of osteoporosis, cardiac arrhythmias, hypothyroidism and skin diseases.

Moreover, the compounds can also be employed for promotion and regeneration of hair growth and for the treatment of diabetes.

The active compounds according to the invention open up a further treatment alternative and are an enrichment of pharmacy. In comparison to the known and previously employed thyroid hormone preparations, the compounds according to the invention show an improved spectrum of action. They are preferably distinguished by great specificity, good tolerability and lower side effects, in particular in the cardiovascular area.

The efficacy of the compounds according to the invention can be tested, for example, in vitro by the T3 promoter assay cell test described below:

The test is carried out using a stably transfected, human HepG2 hepatocarcinoma cell which expresses a luciferase gene under the control of a thyroid hormone-regulated promoter. The vector used for the transfection carries, ahead of the luciferase gene, a

minimal thymidine kinase promoter having a thyroid hormone-responsive element (TRE), which consists of two inverted palindromes of 12 Bp each and an 8 Bp spacer.

- 5 For the test, the cell cultures are inoculated into 96 well plates in Eagle's Minimal Essential Medium with the following additives: glutamine, tricine [N-(tris-(hydroxymethyl)methyl)glycine], sodium pyruvate, non-essential amino acids (L-Ala, L-Asn, L-Asp, L-Pro, L-Ser, L-Glu, Gly), insulin, selenium and transferrin. The cultures are grown for 48 hours at 37°C and under a 10% CO₂ atmosphere. Serial
10 dilutions of test substance or reference compound (T3, T4) and costimulator retinolic acid are then added to the test cultures and these are incubated as beforehand for a further 48 or 72 hours. Each substance concentration is tested in four replicates. For the determination of the luciferase induced by T3 or other substances, the cells are then lysed by addition of a Triton- and luciferin-containing buffer (from Promega)
15 and immediately measured luminometrically. The EC₅₀ values of each compound are calculated. Representative results for the compounds according to the invention are shown in Table 5:

Table 5

20

Example No.	EC ₅₀ [nM]
5	22
6	8
11	0.5
15	4
16	21

The compounds according to the invention also show surprisingly advantageous properties in the in vivo test described below:

- 25 Test description for the discovery of pharmacologically active substances which

reduce serum cholesterol in mice:

The substances which are to be investigated for their serum cholesterol-lowering action in vivo are administered orally to male mice having a bodyweight of between 25 and 35 g. The animals are divided into groups having an identical number of animals, as a rule $n = 7-10$, one day before the start of the experiment. During the entire experiment, drinking water and feed is available ad libitum to the animals. The substances are administered orally once daily for 7 days. For this purpose, the test substances are dissolved in a solution of Solutol HS 15 + ethanol + sodium chloride solution (0.9%) in a ratio 1 + 1 + 8 or in a solution of Solutol HS 15 + sodium chloride solution (0.9%) in the ratio 2 + 8. The dissolved substances are administered in a volume of 10 ml/kg of bodyweight using a stomach tube. As a control group, animals are used which have been treated exactly the same, but only receive the solvent (10 ml/kg of bodyweight) without test substance.

Before the first substance administration, blood is taken from each mouse for the determination of the serum cholesterol by puncture of the retroorbital venous plexus (preliminary value). The test substance is then administered to the animals for the first time using a stomach tube. 24 hours after the last substance administration (on the 8th day after the start of treatment), blood is again taken from each animal for the determination of the serum cholesterol by puncture of the retroorbital venous plexus. The blood samples are centrifuged and, after recovering the serum, the cholesterol is determined photometrically using an EPOS analyser 5050 (Eppendorf-Gerätebau, Netheler & Hinz GmbH, Hamburg). The determination is carried out using a commercially available enzyme test (Boehringer Mannheim, Mannheim).

The action of the test substances on the serum cholesterol concentration is determined by subtraction of the cholesterol value of the 1st blood sample (preliminary value) from the cholesterol value of the 2nd blood sample (after treatment). The differences of all cholesterol values of a group are averaged and compared with the average value of the differences of the control group.

Statistical analysis is carried out using Student's t test after prior checking of the variants for homogeneity.

- 5 Substances which statistically significantly ($p < 0.05$) lower the serum cholesterol of the treated animals, compared with the control group, by at least 10% are regarded as pharmacologically active.

10 A further in vivo test in which the compounds according to the invention show surprisingly advantageous properties is the cholesterol-fed rat animal model [A. Taylor et al., Molecular Pharmacology 52, 542-547 (1997); Z. Stephan et al., Atherosclerosis 126, 53-63 (1996)].

15 All customary administration forms are suitable for the administration of the compounds of the general formula (I), i.e. oral, parenteral, inhalatory, nasal, sublingual, buccal, rectal or external such as, for example, transdermal, in particular preferably oral or parenteral. In the case of parenteral administration, intravenous, intramuscular or subcutaneous administration may be mentioned in particular, e.g. as a subcutaneous depot. Oral administration is very particularly preferred.

20 In this case, the active compounds can be administered on their own or in the form of preparations. For oral administration, suitable preparations are, inter alia, tablets, capsules, pellets, coated tablets, pills, granules, solid and liquid aerosols, syrups, emulsions, suspensions and solutions. The active compound must be present here in
25 such an amount that a therapeutic action is achieved. In general, the active compound can be present in a concentration of 0.1 to 100% by weight, in particular 0.5 to 90% by weight, preferably 5 to 80% by weight. In particular, the concentration of the active compound should be 0.5-90% by weight, i.e. the active compound should be present in amounts which are sufficient to achieve the dosage range indicated.

30 For this purpose, the active compounds can be converted into the customary

preparations in a known manner. This is carried out using inert, non-toxic, pharmaceutically suitable vehicles, excipients, solvents, vehicles, emulsifiers and/or dispersants.

5 Excipients which may be mentioned are, for example: water, non-toxic organic solvents such as, for example, paraffins, vegetable oils (e.g. sesame oil), alcohols (e.g. ethanol, glycerol), glycols (e.g. polyethylene glycol), solid carriers such as ground natural or synthetic minerals (e.g. talc or silicates), sugars (e.g. lactose), emulsifiers, dispersants (e.g. polyvinylpyrrolidone) and lubricants (e.g. magnesium sulphate).

10

In the case of oral administration, tablets can, of course, also contain additives such as sodium citrate together with additional substances such as starch, gelatin and the like. Aqueous preparations for oral administrations can furthermore be mixed with

15 flavour enhancers or colorants.

In the case of oral administration, doses of 0.001 to 5 mg/kg, preferably 0.001 to 3 mg/kg, of bodyweight are preferably administered every 24 hours.

20 The new active compounds can be administered on their own and, if required, also in combination with other active compounds, preferably from the group consisting of CETP inhibitors, antidiabetics, antioxidants, cytostatics, calcium antagonists, hypotensive agents, thyroid hormones, inhibitors of HMG-CoA reductase, inhibitors of HMG-CoA reductase gene expression, squalene synthesis inhibitors, ACAT

25 inhibitors, circulation-promoting agents, platelet aggregation inhibitors, anticoagulants, angiotensin II receptor antagonists, cholesterol absorption inhibitors, MTP inhibitors, fibrates, niacin, anorectics, lipase inhibitors and PPAR agonists.

The following working examples are intended to illustrate the invention by way of

30 example without restrictive action on the scope of protection.

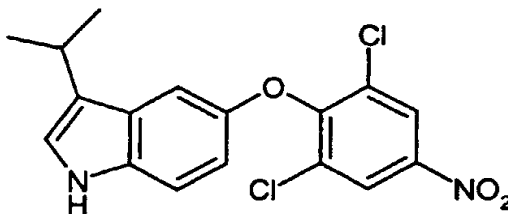
Abbreviations used:

TLC	Thin-layer chromatography
DCI	Direct chemical ionization (in MS)
DMF	<i>N,N</i> -Dimethylformamide
DMSO	Dimethyl sulphoxide
EI	Electron impact ionization (in MS)
HPLC	High-pressure, high-performance liquid chromatography
conc.	concentrated
MS	Mass spectroscopy
NMP	<i>N</i> -Methylpyrrolidinone
NMR	Nuclear magnetic resonance spectroscopy
R _f	Retention index (in TLC)
R _t	Retention time (in HPLC)
THF	Tetrahydrofuran
aq.	aqueous
dec.	decomposition

Starting compounds:**Example I**

5-(2,6-Dichloro-4-nitrophenoxy)-3-isopropyl-1H-indole

5

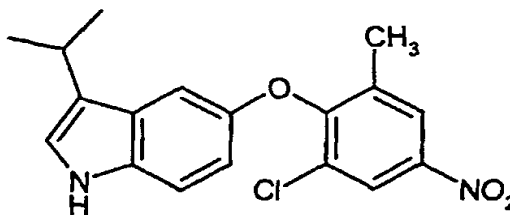


5 g of 5-hydroxy-3-isopropylindole are dissolved in 10 ml of THF and treated with 3.2 g of potassium *tert*-butoxide. The reaction mixture is stirred for 20 minutes at room temperature and the solvent is removed in vacuo. The phenoxide is dissolved in 10 ml of DMF and added dropwise to 6.46 g of 1,2,6-trichloro-4-nitrobenzene in 10 ml of DMF at 0°C. The reaction mixture is stirred for 30 minutes at 0°C and slowly allowed to warm to room temperature. The reaction mixture is poured onto water, extracted with ethyl acetate, dried over sodium sulphate and the solvent is removed in vacuo. Chromatographic purification (cyclohexane/ethyl acetate) affords 663 mg of 5-(2,6-dichloro-4-nitrophenoxy)-3-isopropyl-1H-indole.

15

¹H-NMR (300 MHz, CDCl₃): δ = 1.30, d, 6H; 3.09, sept., 1H; 6.79, dd, 1H; 6.99, m, 2H; 7.31, s, 1H; 7.89, s, broad, 1H; 8.32, s, 2H.

20

Example II**5-(2-Chloro-6-methyl-4-nitrophenoxy)-3-isopropyl-1H-indole**

5

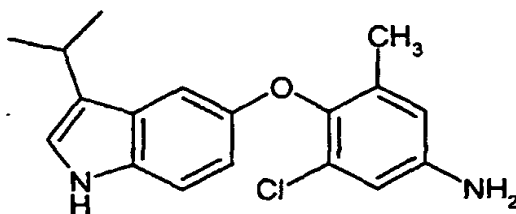
4.4 g of 5-hydroxy-3-isopropylindole are dissolved in 10 ml of THF and treated at room temperature with 2.82 g of potassium *tert*-butoxide. The mixture is stirred for 30 minutes at room temperature and concentrated in a rotary evaporator. The phenoxide is dissolved in DMF, treated at 0°C with 5.17 g of 1,2-dichloro-4-nitro-5-methylbenzene and stirred for 30 minutes at 0°C. The mixture is stirred for 15 minutes at room temperature and subsequently 1 hour at 50°C. The reaction mixture is allowed to cool, poured onto water, extracted twice with ether and the combined organic phases are washed twice with water. The aqueous phases are extracted with dichloromethane, the combined organic phases are concentrated in a rotary evaporator and the residue is purified chromatographically (cyclohexane/ethyl acetate). 6.65 g of 5-(2-chloro-6-methyl-4-nitrophenoxy)-3-isopropyl-1H-indole are obtained.

10

15

¹H-NMR (300 MHz, CDCl₃): δ = 1.28, d, 6H; 2.31, s, 3H; 3.07, sept., 1H; 6.75, dd, 1H; 6.92, m, 1H; 6.99, m, 1H; 7.29, s, 1H; 7.87, s, broad, 1H.

Example III**3-Chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylaniline**

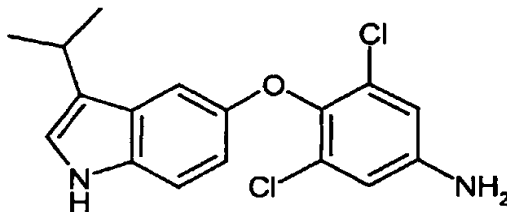


500 mg of 5-(2-chloro-6-methyl-4-nitrophenoxy)-3-isopropyl-1H-indole (Example II) are suspended in 10 ml of ethanol and hydrogenated with 50 mg of palladium on active carbon (10%) at atmospheric pressure for 2 hours. The mixture is filtered through kieselguhr, the solvent is removed in vacuo and the product is purified by chromatography (cyclohexane/ethyl acetate). 271 mg of 3-chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylaniline are obtained.

¹H-NMR (300 MHz, CDCl₃): δ = 1.29, d, 6H; 2.11, s, 3H; 3.07, sept., 1H; 3.61, s, broad, 2H; 6.50, dd, 1H; 6.66, dd, 1H; 6.78, dd, 1H; 6.94, d, 2H; 7.20, s, 1H; 7.25, m, 1H; 7.78, s, broad, 1H.

Example IV

3,5-dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]aniline



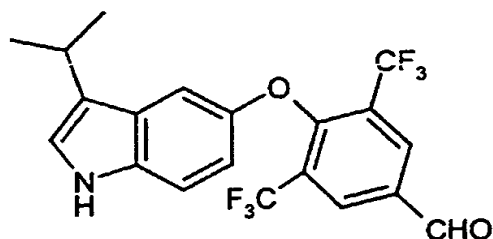
500 mg of 5-(2,6-dichloro-4-nitrophenoxy)-3-isopropyl-1H-indole (Example I) are stirred with 6.18 g of tin(II) chloride dihydrate in 5 ml of NMP for 17 hours at 50°C. The solvent is removed in vacuo and the residue is taken up in ethyl acetate. The mixture is washed with saturated ammonium chloride solution and saturated sodium chloride solution, the organic phase is dried and the solvent is removed in vacuo. The product is precipitated with ether. By chromatographic purification

(cyclohexane/ethyl acetate) of the solid, 174 mg of 3,5-dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]aniline are obtained.

¹H-NMR (300 MHz, DMSO-d₆): δ = 1.21, d, 6H; 2.95, sept., 1H; 5.56, s, 2H; 6.63, dd, 1H; 6.71, s, 2H; 6.75, m, 1H; 7.06, d, 1H; 7.24, d, 1H.

Example V

4-(3-Isopropyl-1H-indol-5-yloxy)-3,5-bis-trifluoromethyl-benzaldehyde



10

12.8 g (70.27 mmol) of 5-hydroxy-3-isopropyl-indole are dissolved in 275.8 ml of DMSO, 10.68 g (77.3 mmol) of potassium carbonate are introduced in solid form, the mixture is stirred for 10 minutes at room temperature and afterwards 19.43 g (70.27 mmol) of 3,5-bis(trifluoromethyl)-4-chlorobenzaldehyde are introduced in portions. After stirring at 50°C for 3 hours the batch is poured onto a mixture of 400 ml of ethyl acetate and 250 ml of saturated ammonium chloride solution. After phase separation, the aqueous phase is extracted again with ethyl acetate, and the combined organic phases are washed twice with sodium chloride solution and dried over sodium sulphate. After removing the drying agent and distilling off the solvent the crude product is chromatographed on silica gel 60 (Merck 0.040 – 0.063 mm) using toluene.

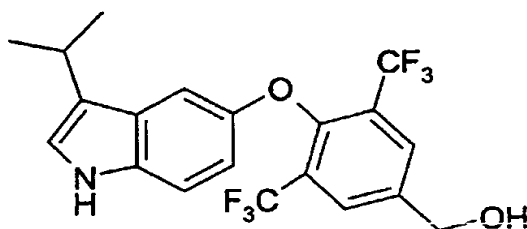
Yield: 18.55 g (56.6%)
MS (DCI): 450 ([M+NH₃+NH₄]⁺, 100%)
R_f: 0.75 (toluene:ethyl acetate = 8 : 2)

25

$^1\text{H-NMR}$ (300 MHz, CDCl_3): δ = 1.25, d, 6H; 3.04, quin, 1H; 6.73, dd, 1H; 6.87, d, 1H; 6.96, d, 1H; 7.22, d, 1H; 7.85, broad s, 1H; 8.45, s, 2H; 10.11, s, 1H.

Example VI

5 4-(3-Isopropyl-1H-indol-5-yloxy)-3,5-bis-trifluoromethyl-benzyl alcohol



0.27 g (7.22 mmol) of sodium borohydride is added to a solution of 1.0 g
10 (2.41 mmol) of aldehyde derivative from Example V in 20 ml of methanol in 4
portions at room temperature and the mixture is stirred for 1 hour. Afterwards the
reaction solution is concentrated to one half, 60 ml of water are added and the
mixture is concentrated until methanol is completely evaporated in a rotary
evaporator. The aqueous phase is extracted three times with ethyl acetate, the
15 combined organic phases are washed with sodium chloride solution, dried and
concentrated, and the residue is dried in a high vacuum.

Yield: 0.996 g (96.8%)

MS (ESI): 418 ($[\text{M}+\text{H}]^+$, 35%)

HPLC: R_t = 4.97 (97.7%)

20 0.5% HClO_4 / acetonitrile

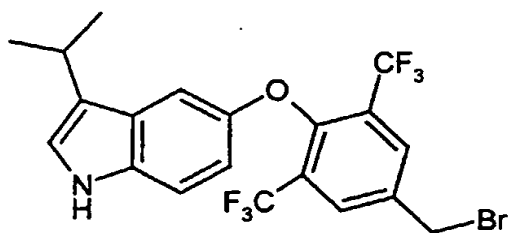
Kromasil column C18 (60 x 2 mm)

flow: 0.75 ml / minute; 210 nm

$^1\text{H-NMR}$ (300 MHz, CDCl_3): δ = 1.28, d, 6H; 1.96, t, 1H; 3.04, quin, 1H; 4.87, d,
25 2H; 6.72, dd, 1H; 6.85, d, 1H; 6.93, d, 1H; 7.2, d, 1H; 7.78, broad s, 1H; 7.94, s, 2H.

Example VII

4-(3-Isopropyl-1H-indol-5-yloxy)-3,5-bis-trifluoromethyl-benzyl bromide

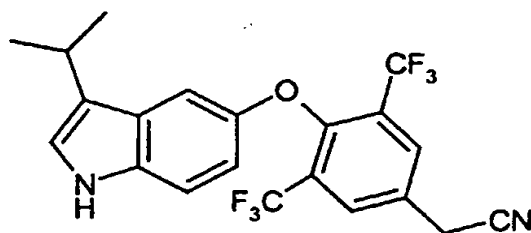


5

1.273 g (3.02 mmol) of triphenylphosphine dibromide are added under argon in portions at 0°C to a solution of 0.97 g (2.32 mmol) of benzyl alcohol derivative from Example VI in 15 ml of acetonitrile and 0.3 ml (3.72 mmol) of pyridine. After 15 minutes the cooling bath is removed and the mixture is stirred for 2 hours at room temperature. The reaction solution is concentrated in vacuo, and the residue is dissolved in a little toluene and purified by chromatography on silica gel 60 by means of toluene.

Yield: 611 mg (54.7%)
 MS (EI): 481 ([M]⁺, 60%)
 15 HPLC: R_t = 5.30 (80.7%)
 0.5% HClO₄ / acetonitrile
 Kromasil column C18 (60 x 2 mm)
 flow: 0.75 ml / minute; 210 nm

20 ¹H-NMR (300 MHz, CDCl₃): δ = 1.28, d, 6H; 3.06, quin, 1H; 4.56, s, 2H; 6.70, dd, 1H; 6.88, d, 1H; 6.95, d, 1H; 7.23, d, 1H; 7.8, broad s, 1H; 8.0, s, 2H.

Example VIII**4-(3-Isopropyl-1H-indol-5-yloxy)-3,5-bis-trifluoromethyl-phenylacetonitrile**

5

72.9 mg (1.49 mmol) of sodium cyanide are added at 50°C to a solution of 0.57 g (1.19 mmol) of benzyi bromide from Example VII in 3.5 ml of dimethylformamide and 0.38 ml of water and the mixture is stirred for 60 minutes at 50°C. Dimethylformamide is subsequently distilled off, the concentrate is diluted with ethyl acetate and water, and the aqueous phase is separated off and extracted again with ethyl acetate. The combined organic phases are washed with sodium chloride solution, dried over sodium sulphate, filtered and concentrated. The purification of the crude product is carried out on silica gel 60 by means of toluene/ethyl acetate (toluene, toluene/ethyl acetate = 18:1 and 18:1.5).

15

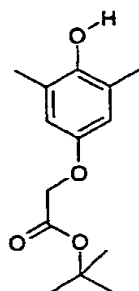
Yield: 374 mg (73.9%)
MS (EI): 426 ([M]⁺, 60%)
R_f: 0.51 (toluene:ethyl acetate = 9:1)

20

¹H-NMR (300 MHz, CDCl₃): δ = 1.28, d, 6H; 3.06, quin, 1H; 3.93, s, 2H; 6.72, dd, 1H; 6.84, d, 1H; 6.96, d, 1H; 7.23, d, 1H; 7.82, broad s, 1H; 7.9, s, 2H.

Example IX

tert-Butyl (4-hydroxy-3,5-dimethylphenoxy)acetate



5

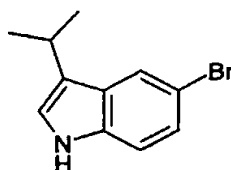
10 g of dimethylhydroquinone are dissolved in 750 ml of a mixture of 40% DMF and 60% THF and treated with 117 g of caesium carbonate. 14.1 g of *tert*-butyl bromoacetate are added dropwise at -25°C and the reaction mixture is stirred for 17 hours at room temperature. After addition of 10 g of potassium carbonate the reaction mixture is stirred for 24 hours at room temperature, poured onto water and extracted twice with ethyl acetate. The combined organic phases are washed with NaCl solution, dried over sodium sulphate and the solvent is removed in vacuo. By chromatographic purification (cyclohexane/ethyl acetate) 1.27 g of *tert*-butyl (4-hydroxy-3,5-dimethylphenoxy)acetate are obtained.

15

$^1\text{H-NMR}$ (300 MHz, CDCl_3): δ = 1.42, s, 9H; 2.11, s, 6H; 4.47, s, 2H; 6.48, s, 2H; 7.74, s, 1H.

Example X

20 5-Bromo-3-isopropyl-1H-indole



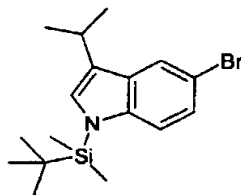
10 g of bromophenylhydrazine hydrochloride are suspended in 50 ml of acetic acid and treated dropwise at 80°C with 3.85 g of 3-methylbutyraldehyde. The reaction mixture is stirred for 3 hours at reflux, allowed to cool and the solvent is removed in vacuo. The residue is taken up in ethyl acetate, extracted with water, the aqueous
5 phase is extracted with ethyl acetate, the combined organic phases are washed with water and sodium carbonate solution, dried over sodium sulphate and the solvent is removed in vacuo. Chromatographic purification (cyclohexane/ethyl acetate) affords 8.6 g of 5-bromo-3-isopropyl-1H-indole.

10 ¹H-NMR (300 MHz, CDCl₃): δ = 1.35, d, 6H; 3.15, sept., 1H; 6.96, d, 1H; 7.24, m, 2H; 7.77, d, 1H; 7.89, s, broad, 1H.

Example XI

5-Bromo-1-[tert-butyl(dimethyl)silyl]-3-isopropyl-1H-indole

15

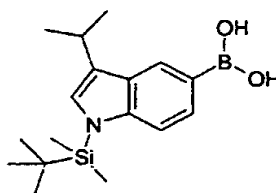


0.50 g (12.6 mmol) of 60% strength sodium hydride in paraffin oil is introduced under argon into 20 ml of THF at room temperature. A solution of 2.0 g (8.40 mmol)
20 of 5-bromo-3-isopropyl-1H-indole (Example X) in 20 ml of THF is added dropwise and the mixture is stirred until evolution of gas can no longer be detected. 2.03 g (13.44 mmol) of tert-butyl(chloro)dimethylsilane are subsequently added dropwise. After a short reaction time a precipitate deposits. The batch is stirred for 3 h at room temperature. It is treated with 200 ml of water. The aqueous phase is extracted twice
25 with ethyl acetate, and the combined org. phases are dried and concentrated in a rotary evaporator. The residue is chromatographed on silica gel (eluent: cyclohexane). 2.63 g (89%) of 5-bromo-1-[tert-butyl(dimethyl)silyl]-3-isopropyl-1H-indole are obtained.

¹H-NMR (200 MHz, CDCl₃): δ = 0.58, s, 6H; 0.89, s, 9H; 1.33, d, 6H; 3.12, sept., 1H; 6.88, s, 1H; 7.20, dd, 1H; 7.32, d, 1H; 7.71, d, 1H.

5 **Example XII**

1-[tert-Butyl(dimethyl)silyl]-3-isopropyl-1H-indol-5-yl-boronic acid



10 1.30 g (3.69 mmol) of 5-bromo-1-[tert-butyl(dimethyl)silyl]-3-isopropyl-1H-indole (Example XI) dissolved under argon in 10 ml of THF are introduced at -78°C. 2.50 ml (4.24 mmol) of a 1.6 N tert-butyllithium solution in n-hexane are added dropwise. The mixture is stirred for 30 min at -78°C. 1.70 ml (7.38 mmol) of triisopropyl borate are subsequently added dropwise. The batch is stirred for 2 h at -78°C.

15 It is subsequently treated with 4 ml of water. The aqueous phase is extracted three times with diethyl ether, and the combined org. phases are dried and concentrated in a rotary evaporator. The residue is purified chromatographically (eluent: cyclohexane, cyclohexane/ethyl acetate 5:1, 3:1). 0.68 g (58%) of 1-[tert-butyl(dimethyl)silyl]-3-isopropyl-1H-indol-5-yl-boronic acid is obtained.

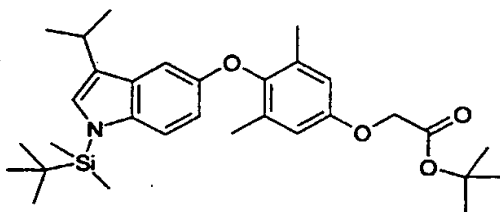
20

¹H-NMR (200 MHz, CDCl₃): δ = 0.65, s, 6H; 0.93, s, 9H; 1.48, d, 6H; 3.37, sept., 1H; 6.93, s, 1H; 7.62, d, 1H; 8.08, d, 1H; 8.64, s, 1H.

MS (ESI): 318 (M+H).

25 **Example XIII**

tert-Butyl [4-({1-[tert-butyl(dimethyl)silyl]-3-isopropyl-1H-indol-5-yl}oxy)-3,5-dimethylphenoxy]acetate



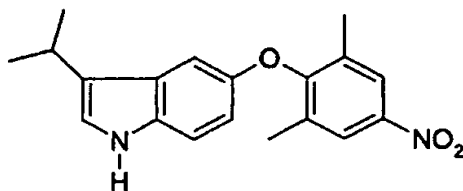
0.50 g (1.58 mmol) of 1-[tert-butyl(dimethyl)silyl]-3-isopropyl-1H-indol-5-yl-
 5 boronic acid (Example XII), 0.437 g (173 mmol) of *tert*-butyl-(4-hydroxy-
 3,5-dimethylphenoxy)acetate (Example IX), 0.286 g (1.58 mmol) of copper(II)
 acetate and 0.50 g of molecular sieve (4Å, powdered) are suspended in 10 ml of dried
 dichloromethane. 0.64 ml (7.88 mmol) of pyridine and 1.10 ml (7.88 mmol) of
 triethylamine are added dropwise at room temperature. The batch is stirred overnight
 10 at room temperature. The batch is subsequently filtered through silica gel and washed
 with dichloromethane. The filtrate is concentrated and the residue is filtered through
 silica gel (dichloromethane). 0.525 g (62%) of *tert*-butyl-[4-({1-[tert-butyl-
 (dimethyl)silyl]-3-isopropyl-1H-indol-5-yl}oxy)-3,5-dimethylphenoxy]acetate is
 obtained.

15

¹H-NMR (300 MHz, CDCl₃): δ = 0.54, s, 6H; 0.89, s, 9H; 1.27, d, 6H; 1.50, s, 9H;
 2.12, s, 6H; 3.01, sept., 1H; 4.50, s, 2H; 6.63, s, 3H; 6.83, dd, 2H; 7.29, d, 1H.

Example XIV

20 3-Isopropyl-5-(4-nitro-2,6-dimethyl-phenoxy)-1H-indole



11.44 g (58.76 mmol) of 5-hydroxy-3-isopropyl-indole are dissolved in 350 ml of DMSO, 8.93 g (64.63 mmol) of potassium carbonate in solid form are introduced and subsequently 9.94 g (58.76 mmol) of 3,5-dimethyl-4-fluoronitrobenzene are added. The reaction solution is stirred for 2 hours at 100°C under argon. Afterwards it is cooled to room temperature, 100 ml of ethyl acetate and 600 ml of H₂O are added; ethyl acetate is separated off after phase separation and the aqueous phase is re-extracted twice with ethyl acetate. The combined organic phases are washed twice with sodium chloride solution, dried over sodium sulphate, filtered and concentrated to dryness. The residue is purified by chromatography on silica gel by means of cyclohexane/ethyl acetate (10:1).

Yield: 11.96 g (62.8%)

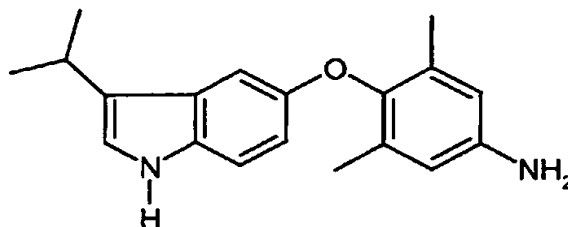
MS (DCI): 342 ([M+NH₄]⁺, 100%)

R_f: 0.26 (cyclohexane:ethyl acetate = 8:2)

¹H-NMR (300 MHz, CDCl₃): δ = 1.28 (d, 6H); 2.24 (s, 6H); 3.05 (quin, 1H); 6.72 (dd, 1H); 6.84 (d, 1H); 6.99 (d, 1H); 7.27 (d, 1H); 7.87 (s, 1H); 8.03 (s, 2H).

Example XV

4-(3-Isopropyl-1H-indol-5-yloxy)-3,5-dimethyl-phenylamine



11.95 g (36.85 mmol) of nitro compound from Example XIV are hydrogenated in 500 ml of methanol/ethanol mixture using 550 mg of palladium/active carbon (10%) at 3 bar. The mixture is filtered through kieselguhr, the solvent is removed in vacuo and the product is purified by chromatography (toluene/ethyl acetate).

Yield: 10.75 g (97.9%)

MS (DCI): 295 ($[M+H]^+$, 100%)

R_f: 0.36 (toluene : ethyl acetate = 9:1)

HPLC: R_t = 4.15 (98.9%)

0,5% HClO₄/acetonitrile

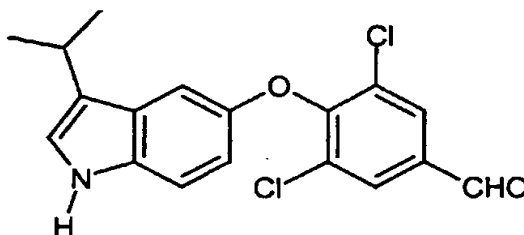
5 Kromasil column C18 (60x2 mm)

flow: 0.75 ml /min; 210 nm

Example XVI

4-(3-Isopropyl-1H-indol-5-yloxy)-3,5-dichloro-benzaldehyde

10



15 Analogously to the procedure of Example V, 10.0 g (57.07 mmol) of 5-hydroxy-3-isopropylindole are dissolved in 300 ml of DMSO, 8.68 g (62.77 mmol) of potassium carbonate are added, the mixture is stirred for 10 min at room temperature and 11.95 g (57.07 mmol) of 4,5,6-trichlorobenzaldehyde are introduced in portions, and the mixture is additionally stirred for 2 hours at room temperature and 2 hours at 50°C. After quenching with ethyl acetate/ammonium chloride solution and silica gel chromatography by means of toluene, 12,01 g (85,4%) of the desired product are

20 obtained.

MS (CI-POS): 348 ($[M+H]^+$, 100%)

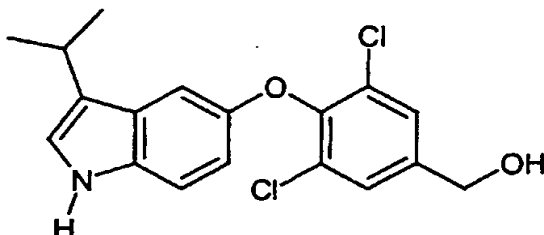
R_f: 0.60 (toluene : ethyl acetate = 9:1)

¹H-NMR (300 MHz, CDCl₃): δ = 1.29 (d, 6H); 3.08 (quin, 1H); 6.78 (dd, 1H); 6.99 (dd, 2H); 7.27 (d, 1H); 7.85 (broad s, 1H); 7.92 (s, 2H); 9.95 (s, 1H).

25

Example XVII

4-(3-Isopropyl-1H-indol-5-yloxy)-3,5-dichlorobenzyl alcohol



5

Preparation is carried out in analogy to the procedure of Example VI from 5.0 g (12.2 mmol) of aldehyde derivative from Example XVI by means of 1.39 g (36.61 mmol) of sodium borohydride.

Yield: 4.62 g (100%)

10 MS (CI-POS): 350 ($[M+H]^+$, 100%)

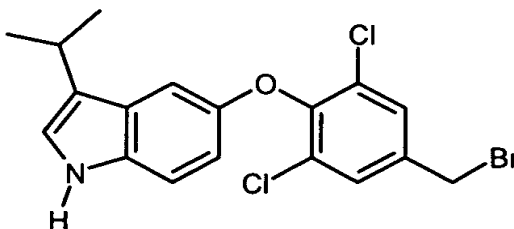
R_f: 0.16 (toluene : ethyl acetate = 9:1)

¹H-NMR (300 MHz, CDCl₃): δ = 1.29 (d, 6H); 1.83 (weak t, 1H); 3.08 (quin, 1H); 4.71 (d, 2H); 6.8 (dd, 1H); 6.95 (d, 1H); 6.99 (d, 1H); 7.23 (d, 1H); 7.42 (s, 2H); 7.82 (broad s, 1H).

15

Example XVIII

4-(3-Isopropyl-1H-indol-5-yloxy)-3,5-dichlorobenzyl bromide



20

Analogously to the procedure of Example VII, 4.8 g (12.66 mmol) of benzyl alcohol derivative from Example XVII are reacted with 6.95 g (16.46 mmol) of dibromotriphenylphosphorane and 1.6 g (20.26 mmol) of pyridine in 80 ml of acetonitrile.

Yield: 2.03 g (35.5%)

5 MS (CI-POS): 413 ($[M+H]^+$, 57%)

HPLC: R_t = 5.62 (91.4%)

0.5% $HClO_4$ /acetonitrile

Kromasil column C18 (60 x 2 mm)

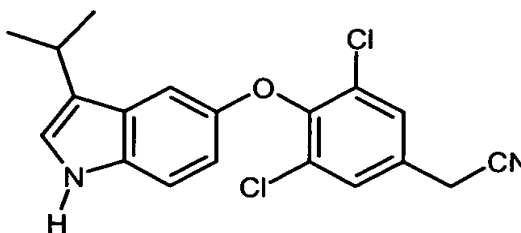
flow: 0.75 ml / min; 210 nm

10

1H -NMR (300 MHz, $CDCl_3$): δ = 1.3 (d, 6H); 3.1 (quin, 1H); 4.43 (s, 2H); 6.77 (dd, 1H); 6.97 (s, 1H); 7.02 (d, 1H); 7.24 (d, 1H); 7.43 (s, 2H); 7.82 (broad s, 1H).

Example XIX

15 4-(3-Isopropyl-1H-indol-5-yloxy)-3,5-dichlorophenylacetonitrile



20 Analogously to the procedure of Example VIII, 1.0 g (2.42 mmol) of benzyl bromide from Example XVIII is reacted with 0.15 g (3.03 mmol) of sodium cyanide in DMF/ H_2O (10:1) at 50°C in 60 min. After isolation of the crude product (distilling off DMF and quenching with ethyl acetate/water), chromatography is carried out on silica gel 60 by means of toluene.

Yield: 0.763 g (65.4%)

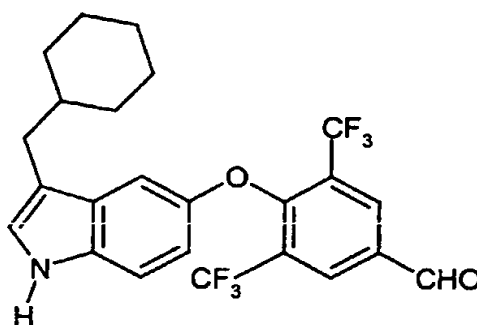
25 MS (DCI): 359 ($[M+H]^+$, 67%)

R_f : 0.47 (toluene : ethyl acetate = 9:1)

$^1\text{H-NMR}$ (300 MHz, CDCl_3): δ = 1.3 (d, 6H); 3.09 (quin, 1H); 3.78 (s, 2H); 6.78 (dd, 1H); 6.97 (d, 2H); 7.25 (d, 1H); 7.4 (s, 2H); 7.85 (broad s, 1H).

Example XX

5 4-(3-Cyclohexylmethyl-1H-indol-5-yloxy)-3,5-bis-trifluoromethyl-benzaldehyde



10 Analogously to the procedure of Example V, 2.0 g (8.72 mmol) of 5-hydroxy-3-cyclohexylmethyl-indole are dissolved in 50 ml of DMSO, 1.33 g (9.59 mmol) of potassium carbonate are added, the mixture is stirred for 10 min at room temperature and afterwards 2.41 g (8.72 mmol) of 3,5-bis-trifluoromethyl-4-chlorobenzaldehyde are introduced in portions. After stirring overnight at 50°C, the batch is worked up analogously to Example V and the crude product is chromatographed on silica gel 60
15 by means of toluene.

Yield: 2.23 g (49.8%)

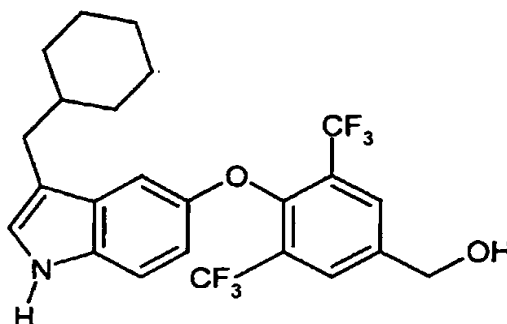
MS (DCI): 504 ($[\text{M}+\text{NH}_3+\text{NH}_4]^+$, 100%)

R_f : 0.57 (toluene : ethyl acetate = 9:1)

20 $^1\text{H-NMR}$ (300 MHz, CDCl_3): δ = 0.91 (m, 2H); 1.15 (m, 4H); 1.5 (m, 1H); 1.66 (m, 4H); 2.5 (d, 2H); 6.71 (dd, 1H); 6.82 (d, 1H); 6.97 (d, 1H); 7.22 (d, 1H); 7.89 (broad s, 1H); 8.46 (s, 2H); 10.11 (s, 1H).

Example XXI

4-(3-Cyclohexylmethyl-1H-indol-5-yloxy)-3,5-bis-trifluoromethyl-benzyl alcohol



5

Preparation is carried out in analogy to the procedure of Example VI from 2.20 g (4.29 mmol) of aldehyde derivative from Example XX with 0.49 g (12.86 mmol) of sodium borohydride.

Yield: 2.05 g (100%)

10 MS (ESI): 4.72 ($[M+H]^+$, 100%)

HPLC: $R_t = 5.34$ (98,4%)

0.5% $HClO_4$ /acetonitrile

Kromasil column C18 (60 x 2 mm)

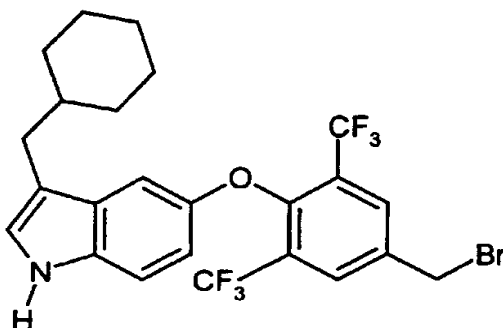
flow: 0.75 ml / min; 210 nm

15

1H -NMR (200 MHz, $CDCl_3$): $\delta = 0.9$ (m, 2H); 1.13 (m, 4H); 1.5 (m, 1H); 1.63 (m, 4H); 1.95 (t, 1H); 2.5 (d, 2H); 4.88 (d, 2H); 6.7 (dd, 1H); 6.81 (d, 1H); 6.93 (d, 1H); 7.2 (d, 1H); 7.83 (broad s, 1H); 7.94 (s, 2H).

Example XXII

4-(3-Cyclohexylmethyl-1H-indol-5-yloxy)-3,5-bis-trifluoromethyl-benzyl bromide



5

Preparation is carried out in analogy to the procedure of Example VII from 2.0 g (4.18 mmol) of benzyl alcohol derivative from Example XXI and 2.82 g (6.69 mmol) of dibromotriphenylphosphorane in 40 ml of acetonitrile. After stirring for 3 hours at room temperature, 0.3 equivalent of dibromotriphenylphosphorane is again added. The mixture is additionally stirred for 5 hours at 70°C and afterwards overnight at room temperature. The purification of the product is carried out on silica gel using toluene as eluent.

10

Yield: 0.96 g (40.2%)

MS (ESI): 534 ([M+H]⁺, 100%)

15

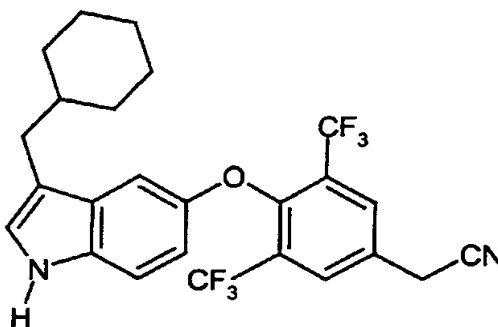
R_f 0.76 (toluene:ethyl acetate = 9:1)

¹H-NMR (200 MHz, CDCl₃): δ = 0.92 (m, 2H); 1.16 (m, 4H); 1.5 (m, 1H); 1.66 (m, 4H); 2.5 (d, 2H); 4.58 (s, 2H); 6.69 (dd, 1H); 6.83 (d, 1H); 6.95 (d, 1H); 7.21 (d, 1H); 7.35 (broad s, 1H); 7.95 (s, 2H).

20

Example XXIII

4-(3-cyclohexylmethyl-1H-indol-5-yloxy)-3,5-bis-trifluoromethyl-phenylacetonitrile



5

Preparation is carried out in analogy to the procedure of Example VIII from 0.85 g (1.59 mmol) of benzyl bromide from Example XXII with 0.1 g (1.99 mmol) of sodium cyanide in 5 ml of dimethylformamide and 0.5 ml of water at 50°C in 1.5 hours. The chromatography of the crude product is carried out on silica gel 60 by means of toluene.

10

Yield: 0.32 g (37.7%)

MS (ESI): 481 ([M+H]⁺, 100%)HPLC: R_t = 5.67 (90,0%)0.5% HClO₄ / acetonitrile

15

Kromasil column C18 (60 x 2 mm)

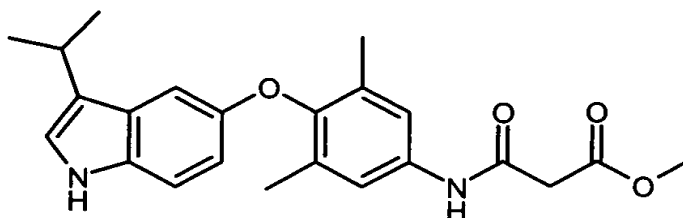
flow: 0.75 ml / min; 210 nm

¹H-NMR (300 MHz, CDCl₃): δ = 0.92 (m, 2H); 1.16 (m, 4H); 1.5 (m, 1H); 1.67 (m, 4H); 2.5 (d, 2H); 3.92 (s, 2H); 6.69 (dd, 1H); 6.8 (d, 1H); 6.95 (d, 1H); 7.22 (d, 1H); 7.84 (broad s, 1H); 7.91 (s, 2H).

20

Preparation examples**Example 1**

Methyl 3-({4-[(3-isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl}amino)-3-oxo-
5 propanoate

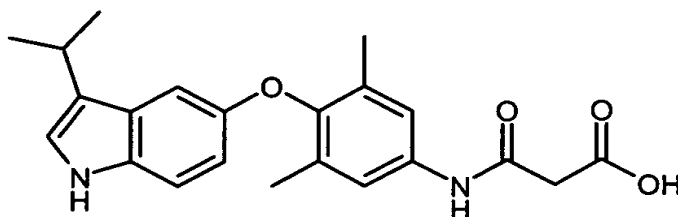


0.2 g (0.68 mmol) of 4-[(3-isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylaniline
10 Example XV are introduced into 2 ml of acetone with 76 mg (0.75 mmol) of
triethylamine and the mixture is treated at 0°C with 102 mg (0.75 mmol) of methyl
malonyl chloride. It is stirred for 1 h, diluted with dichloromethane and extracted
with sodium chloride solution and with NaHCO₃ solution. The organic phase is dried
and the solvent is removed in vacuo. 211 mg (74%) of methyl 3-({4-[(3-isopropyl-
15 1H-indol-5-yl)oxy]-3,5-dimethylphenyl}amino)-3-oxo-propanoate are obtained.

¹H-NMR (300 MHz, CDCl₃): δ = 1.29, d, 6H; 2.16, s, 6H; 3.05, hept., 1H; 3.50, s,
2H; 3.81, s, 3H; 6.72, dd, 1H; 6.88, d, 1H; 6.95, d, 1H; 7.25, m, 1H; 7.30, s, 2H;
7.77, s, broad, 1H.

Example 2

3-({4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl}amino)-3-oxopropanoic acid



5

50 mg of methyl 3-({4-[(3-isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl}amino)-3-oxo-propanoate (Example 1) are stirred in 2 ml of ethanol with 30 mg of sodium hydroxide for 30 minutes. The solvent is removed in vacuo. The mixture is taken up in ether/water, the organic phase is dried and the solvent is removed in vacuo. 23 mg (46%) of 3-({4-[(3-isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl}amino)-3-oxopropanoic acid are obtained.

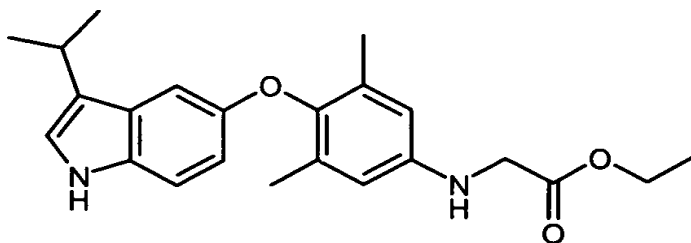
10

¹H-NMR (300 MHz, DMSO-d₆): δ = 1.18, d, 6H; 2.02, s, 6H; 2.92, hept., 1H; 6.52, dd, 1H; 6.64, d, 1H; 7.02, s, 2H; 7.18, d, 1H; 7.32, s, 2H.

15

Example 3

Ethyl N-{4-[(3-isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl}glycinate



20

210 mg of 4-[(3-isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylaniline Example XV are brought under reflux with 119 mg of ethyl bromoacetate and 117 mg of sodium

acetate in 10 ml of ethanol for 24 h. After addition of water, the mixture is extracted with ether, and the organic phase is dried and concentrated in a rotary evaporator. By chromatographic purification (cyclohexane/ethyl acetate), 143 mg (53%) of ethyl N-{4-[(3-isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl}glycinate are obtained.

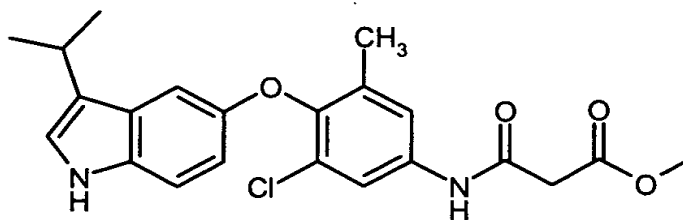
5

$^1\text{H-NMR}$ (300 MHz, CDCl_3): δ = 1.27, d, 6H; 1.31, t, 3H; 2.09, s, 6H; 3.06, hept., 1H; 3.92, s, 2H; 4.12, s, broad, 1H; 4.26, quart., 2H; 6.38, s, 2H; 6.72, dd, 1H; 6.91, dd, 2H; 7.20, d, 1H; 7.77, s, broad, 1H.

10

Example 4

Methyl 3-({3-chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl}amino)-3-oxo-propanoate



15

131 mg of 3-chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylaniline (Example III) are dissolved in 3 ml of acetone with 46 mg of triethylamine and treated dropwise with 62 mg of methyl malonyl chloride at 0°C . The reaction mixture is stirred for 3 hours at room temperature, poured onto 20 ml of dichloromethane, and the organic phase is washed with sodium chloride solution, dried over sodium sulphate and concentrated in a rotary evaporator. By chromatographic purification (cyclohexane/ethyl acetate), 134 mg of methyl 3-({3-chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl}amino)-3-oxo-propanoate are obtained.

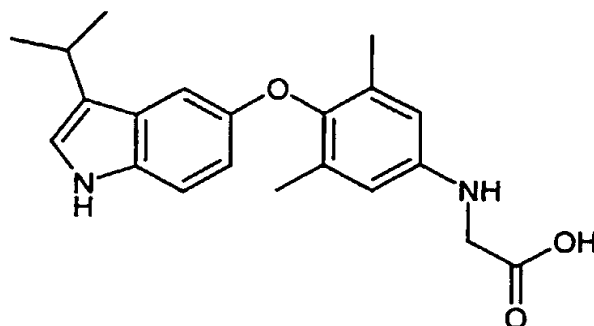
20

25

$^1\text{H-NMR}$ (300 MHz, CDCl_3): δ = 1.28, d, 6H; 2.20, s, 3H; 3.07, sept., 1H; 3.50, s, 2H; 3.83, s, 3H; 6.77, dd, 1H; 6.92, d, 1H; 6.95, d, 1H; 7.24, m, 1H; 7.36, d, 1H; 7.65, d, 1H; 7.81, s, broad, 1H; 9.24, s, broad, 1H.

Example 5

N-{4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl} glycine



5

56 mg of ethyl N-{4-[(3-isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl} glycinate (Example 3) are stirred in 7 ml of dioxane with 1.5 ml of 1N NaOH for 2 hours at room temperature. The mixture is poured onto water, rendered acidic using 1N HCl, extracted with ethyl acetate, the extract is dried and the solvent is removed in vacuo.

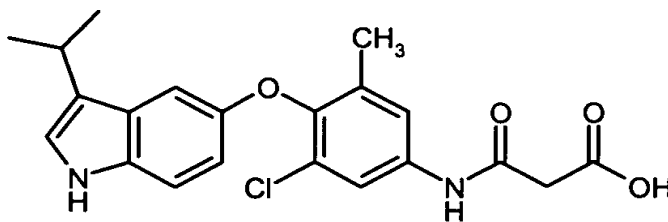
10 51 mg of N-{4-[(3-isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl} glycine are obtained

¹H-NMR (300 MHz, CDCl₃): δ = 1.29, d, 6H; 2.10, s, 6H; 3.07, sept., 1H; 3.70, s, 2H; 6.41, s, 2H; 6.73, m, 1H; 6.91, m, 2H; 7.21, d, 1H; 7.77, s, broad, 1H.

15

Example 6

3-(3-Chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl)amino)-3-oxo-propionic acid



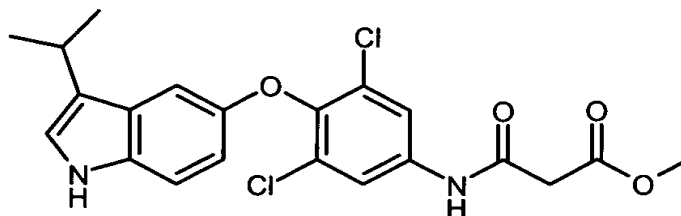
20

101 mg of methyl 3-({3-chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl}amino)-3-oxo-propanoate (Example 4) are dissolved in 2 ml of ethanol and 1 ml of 1N NaOH solution, the solution is stirred at room temperature for 1 hour and the solvent is removed in vacuo. The residue is rendered acidic, extracted with ethyl acetate, the extract is dried over sodium sulphate and the solvent is removed in vacuo. 87 mg of 3-({3-chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl}amino)-3-oxopropionic acid are obtained.

¹H-NMR (300 MHz, MeOH-d₄): δ = 1.25, d, 6H; 2.16, s, 3H; 2.99, sept., 1H; 3.45, s, 2H; 6.69, dd, 1H; 6.76, d, 1H; 6.96, s, 1H; 7.23, d, 1H; 7.38, d, 1H; 7.73, d, 1H.

Example 7

Methyl 3-({3,5-dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl}amino)-3-oxo-propanoate

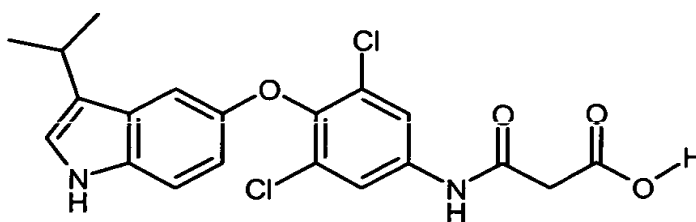


139 mg of 3,5-dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]aniline (Example IV) are dissolved with 46 mg of triethylamine in 3 ml of acetone and treated dropwise at 0°C with 62 mg of methyl malonyl chloride. The reaction mixture is stirred at room temperature for one hour, poured onto 20 ml of dichloromethane, and the organic phase is washed with sodium chloride solution, dried over sodium sulphate and concentrated in a rotary evaporator. By chromatographic purification (cyclohexane/ethyl acetate), 162 mg of methyl 3-({3,5-dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl}amino)-3-oxopropionate are obtained

$^1\text{H-NMR}$ (300 MHz, CDCl_3): δ = 1.29, d, 6H; 3.09, sept., 1H; 3.47, s, 2H; 3.82, s, 3H; 6.80, dd, 1H; 6.96, m, 1H; 7.19, s, 1H; 7.24, m, 1H; 7.70, s, 2H; 7.82, s, broad, 1H; 9.43, s, broad, 1H.

5 **Example 8**

3-({3,5-Dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl}amino)-3-oxopropionic acid



10

193 mg of methyl 3-({3,5-dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-phenyl}amino)-3-oxopropanoate (Example 7) are stirred in 3 ml of ethanol with 1 ml of 1N NaOH for one hour at room temperature. The solvent is removed in vacuo and the residue is taken up in dichloromethane. The mixture is shaken with water, the organic phase is dried and the solvent is removed in vacuo. By stirring with diethyl ether, 143 mg of 3-({3,5-dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl}amino)-3-oxopropionic acid are obtained.

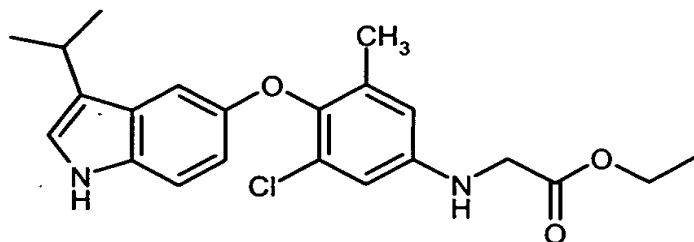
15

$^1\text{H-NMR}$ (300 MHz, MeOH-d_4): δ = 1.27, d, 6H; 3.00, sept., 1H; 3.35, s, 2H; 6.70, dd, 1H; 6.79, m, 1H; 6.97, s, 1H; 7.23, d, 1H; 7.79, s, 2H.

20

Example 9

Ethyl N-{3-chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl}glycinate

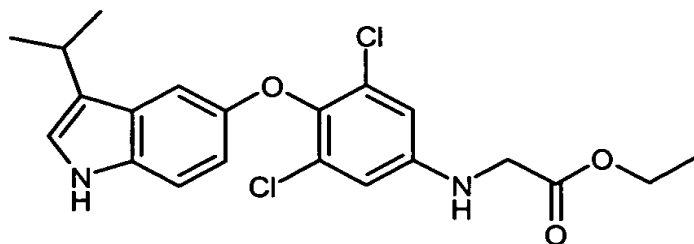


120 mg of 3-chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylaniline (Example III) are heated to reflux with 62 mg of sodium acetate and 63 mg of ethyl bromoacetate in 5 ml of ethanol for 17 hours. A further 21 mg of ethyl bromoacetate are added and the mixture is refluxed for 3 hours. The solvent is removed in vacuo, the residue is taken up with water and dichloromethane, the organic phase is washed with saturated sodium chloride solution, dried and the solvent is removed in vacuo. Chromatographic purification (cyclohexane/ethyl acetate) affords 56 mg of ethyl N-{3-chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl} glycinate.

¹H-NMR (300 MHz, CDCl₃): δ = 1.29, d, 6H; 1.32, t, 3H; 2.13, s, 3H; 3.08, sept., 1H; 3.91, s, 2H; 4.28, quart, 2H; 6.43, d, 1H; 6.56, d, 1H; 6.77, dd, 1H; 6.94, d, 1H; 7.22, d, 1H; 7.78, s, broad, 1H.

Example 10

Ethyl N-{3,5-dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl} glycinate



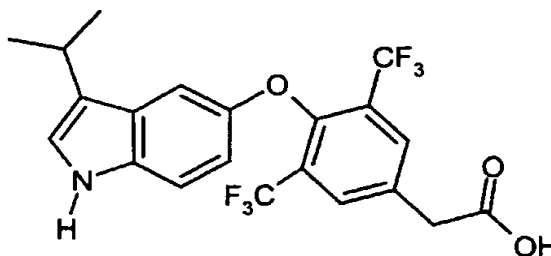
100 mg of 3,5-dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]aniline (Example IV) are heated to reflux with 49 mg of sodium acetate and 50 mg of ethyl bromoacetate in

5 ml of ethanol for 17 hours. A further 21 mg of ethyl bromoacetate are added and the mixture is refluxed for 2 hours. The solvent is removed in vacuo, the residue is taken up with water and dichloromethane, the organic phase is washed with saturated sodium chloride solution, dried and the solvent is removed in vacuo. Chromatographic purification (cyclohexane/ethyl acetate) affords 22 mg of ethyl N-{3,5-dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl}glycinate.

¹H-NMR (300 MHz, DMSO-d₆): δ = 1.21, t, 3H; 1.22, d, 6H; 2.96, m 1H; 4.00, m, 2H; 4.15, quart., 2H; 6.63, m, 1H; 6.76, d, 1H; 6.77, s, 2H; 7.06, d, 1H; 7.24, d, 1H.

Example 11

4-(3-Isopropyl-1H-indol-5-yloxy)-3,5-bis-trifluoromethylphenylacetic acid



A mixture of 5 ml of concentrated sulphuric acid and 5 ml of water is added dropwise to a solution of 0.35 g (0.82 mmol) of nitrile derivative from Example VIII in 5 ml of acetic acid (100% strength). The reaction solution is stirred at 105°C for 4 hours, then cooled to room temperature and treated with ice-cold water and ethyl acetate. The organic phase is separated off, the aqueous solution is extracted again with ethyl acetate, and the combined organic phases are dried over sodium sulphate, filtered and concentrated to give an oil. The crude product (120.3 mg) is chromatographed on silica gel 60 by means of methylene chloride/methanol (95:5 and 95:11).

Yield: 55 mg (15.3%)
MS (DCI): 446 ([M+H]⁺, 100%)

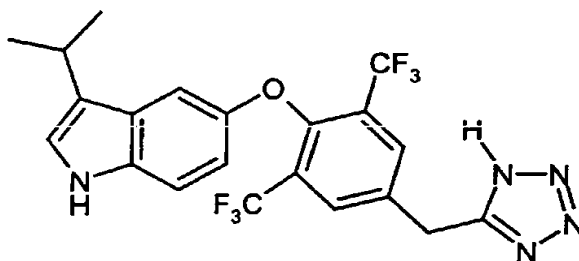
R_f: 0.38 (methylene chloride:methanol = 9:1)

¹H-NMR (300 MHz, CDCl₃): δ = 1.28, d, 6H; 3.05, quin, 1H; 3.81, s, 2H; 6.69, dd, 1H; 6.89, d, 1H; 6.94, d, 1H; 7.21, d, 1H; 7.8, broad s, 1H; 7.88, s, 2H.

5

Example 12

4-(3-Isopropyl-1H-indol-5-yloxy)-3,5-bis-trifluoromethyl-benzyltetrazole



10

251 mg (4.69 mmol) of ammonium chloride and 305 mg (4.69 mmol) of sodium azide are added to a solution of 200 mg (0.469 mmol) of nitrile derivative from Example VIII in 8 ml of dimethylformamide and the solution is boiled under reflux for 4 hours. The solution is then highly concentrated, treated with 6N HCl and extracted three times with ethyl acetate. The combined organic phases are dried, filtered and concentrated to an oil in vacuo. The crude product is dissolved in dichloromethane and chromatographed on silica gel 60 using dichloromethane with addition of methanol in the gradient mode (90:5 to 90:40).

15

Yield: 126 mg (57.3%)

20 MS (ESI): 470 ([M+H]⁺, 100%)

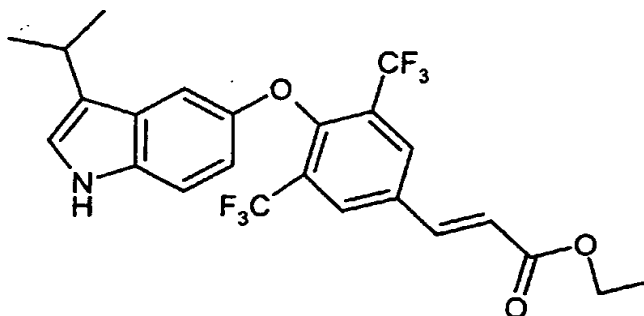
R_f: 0.30 (dichloromethane:methanol = 9 : 1)

¹H-NMR (200 MHz, CDCl₃): δ = 1.27, d, 6H; 3.06, quin, 1H; 4.49, s, 2H; 6.67, dd, 1H; 6.88, d, 1H; 6.94, d, 1H; 7.2, d, 1H; 7.84, broad s, 1H; 7.92, s, 2H; 8.01, s, 1H.

25

Example 13

Ethyl 4-(3-isopropyl-1H-indol-5-yloxy)-3,5-bis-trifluoromethyl-cinnamate



5

1.0 g (2.41 mmol) of aldehyde derivative from Example V is dissolved in 10 ml of toluene and 0.92 g (2.65 mmol) of ethoxycarbonylmethylene-triphenylphosphorane is introduced in portions. After stirring at room temperature for 2 days, the reaction mixture is concentrated to a half of the volume and chromatographed on silica gel 60 by means of toluene.

10

Yield: 1.076 g (88.4%)
 MS (ESI): 486 ($[M+H]^+$, 100%)
 R_f : 0.68 (toluene:ethyl acetate = 8:2)
 HPLC: R_t = 5.44 (94.5%)
 0.5% $HClO_4$ / acetonitrile
 Kromasil column C18 (60 x 2 mm)
 flow: 0.75 ml / minute; 210 nm

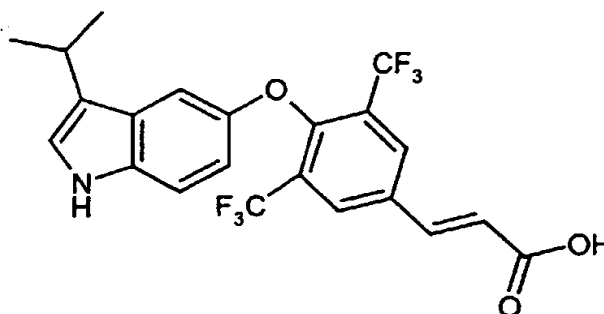
15

1H -NMR (200 MHz, $CDCl_3$): δ = 1.27, d, 6H; 1.37, t, 3H; 3.05, quin, 1H; 4.3, quart, 2H; 6.55, broad d, 1H; 6.72, dd, 1H; 6.87, d, 1H; 6.95, d, 1H; 7.21, d, 1H; 7.73, broad d, 1H; 7.84, broad s, 1H; 8.04, s, 2H.

20

Example 14

4-(3-Isopropyl-1H-indol-5-yloxy)-3,5-bis-trifluoromethyl-cinnamic acid



5

0.23 g (0.46 mmol) of ethyl cinnamate derivative from Example 13 are dissolved in 10 ml of dioxane, 4 ml of 1 molar sodium hydroxide solution are added and the mixture is stirred for 5 hours at room temperature. The reaction solution is acidified to pH 4 using 1N hydrochloric acid, treated with ethyl acetate and the aqueous phase is extracted a further two times with ethyl acetate. The combined organic phases are washed with sodium chloride solution, dried over sodium sulphate, filtered, concentrated and dried overnight in a high vacuum.

10

Yield: 0.175 g (79.0%)

MS (DCI): 475 ($[M+NH_4]^+$, 100%)

15

HPLC: $R_t = 4.99$ (96.3%)

0.5% $HClO_4$ /acetonitrile

Kromasil column C18 (60 x 2 mm)

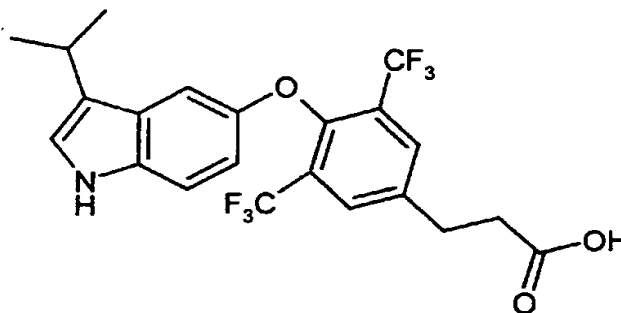
flow: 0.75 ml / minute; 210 nm

20

1H -NMR (200 MHz, $CDCl_3$): $\delta = 1.28$, d, 6H; 3.06, quin, 1H; 6.59, broad d, 1H; 6.73, dd, 1H; 6.88, d, 1H; 6.97, d, 1H; 7.23, d, 1H; 7.83, broad s and broad d, 2H; 8.09, s, 2H.

Example 15

4-(3-Isopropyl-1H-indol-5-yloxy)-3,5-bis-trifluoromethylphenylpropionic acid



5

150 mg (0.328 mmol) of cinnamic acid derivative from Example 14 are dissolved in 10 ml of methanol, treated with 75 mg of palladium on active carbon (10% strength) and hydrogenated for 18 hours at hydrostatic hydrogen pressure. The palladium catalyst is filtered off with suction through kieselguhr, washed with methanol and the filtrate is concentrated to give a solid product.

10

Yield: 86.2 mg (57.2%)

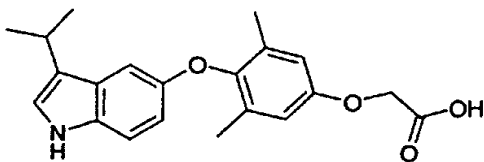
MS (LC): 460 ([M+H]⁺, 100%)R_f: 0.76 (methylene chloride:methanol = 10:1)

15

¹H-NMR (200 MHz, DMSO-d₆): δ = 1.19, d, 6H; 2.7, t, 2H; 2.95, quin, 1H; 3.03, t, 2H; 6.58, dd, 1H; 6.7, d, 1H; 7.08, d, 1H; 7.24, d, 1H; 8.05, s, 2H; 10.72, d, 1H; 12.25, broad s, 1H.

Example 16

{4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenoxy}acetic acid



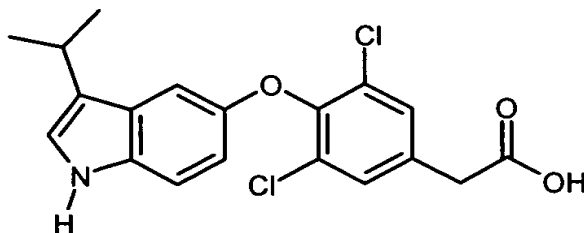
5 0.24 g (0.46 mmol) of tert-butyl-[4-({1-[tert-butyl-(dimethyl)silyl]-3-isopropyl-1H-indol-5-yl}oxy)-3,5-dimethylphenoxy]acetate (Example XIII) is introduced dissolved in 5 ml of ethanol and 2.5 ml (2.50 mmol) of 1N sodium hydroxide solution are added. The batch is stirred at room temperature for 2.5 h. The solvent is evaporated in a rotary evaporator, the batch is diluted with 50 ml of water and the mixture is
 10 acidified with 1N hydrochloric acid solution. The aqueous phase is extracted twice with ethyl acetate, the combined organic phases are dried and the solvent is removed in vacuo. 0.186 g (87.3%) of {4-[(3-isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenoxy}acetic acid is obtained

15 ¹H-NMR (200 MHz, CDCl₃): δ = 1.28, d, 6H; 2.10, s, 6H; 2.96, m, 1H; 3.08, sept., 1H; 4.58, s, 2H; 6.68, s, 3H; 6.90, dd, 2H; 7.81, s, 1H.

Example 17

4-(3-Isopropyl-1H-indol-5-yloxy)-3,5-dichlorophenylacetic acid

20



Firstly, 5 ml of conc. sulphuric acid and then 5 ml of water are added dropwise to a solution of 0.43 g (0.90 mmol) of nitrile derivative from Example XIX in 10 ml of

dioxane. The reaction mixture is stirred for 4 hours at 100°C, then poured onto ice and extracted twice with ethyl acetate. The combined organic phases are washed with sodium chloride solution, dried over sodium sulphate, filtered and concentrated in vacuo. The crude product is chromatographed on silica gel 60 by means of

5

Yield: 0.266 g (68.7%)

MS (DCI): 395 ($[M+NH_4]^+$, 100%)

HPLC: $R_t = 4.79$ (87.8%)

0.5% $HClO_4$ /acetonitrile

10

Kromasil column C18 (60 x 2 mm)

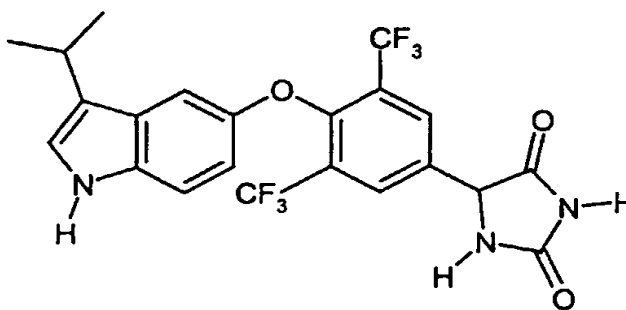
flow: 0.75 ml/min; 210 nm

1H -NMR (200 MHz, $CDCl_3$): $\delta = 1.4$ (d, 6H); 3.1 (quin, 1H); 3.65 (s, 2H); 6.76 (dd, 1H); 6.95 (d, 1H); 7.03 (d, 1H); 7.24 (d, 1H); 7.34 (s, 2H); 7.81 (broad s, 1H).

15

Example 18

5-{4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-bis-trifluoromethylphenyl}-imidazolidin-2,4-dione



20

3.0 g (7.22 mmol) of aldehyde from Example V dissolved in 30 ml of ethanol are added to a solution of 0.581 g (14.4 mmol) of sodium cyanide and 3.63 g (36.1 mmol) of ammonium carbonate in 30 ml of water and the mixture is stirred for

25

24 hours at 60°C. Ethanol is then distilled off from the reaction solution, it is diluted

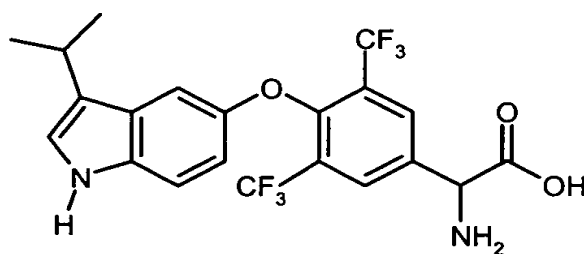
with water, acidified to pH 2 with 1N HCl with ice-cooling and extracted twice with ethyl acetate. After drying and distilling off the solvent, the crude product (4.03 g) is chromatographed on silica gel 60 using methylene chloride with addition of a little methanol in the ratio 20:1 to 20:2.5.

5 Yield: 2.73 g (78.1%)
 MS (ESI): 486 ($[M+H]^+$, 100%)
 HPLC: $R_t = 4.58$ (85.1%)
 0.5% $HClO_4$ /acetonitrile
 Kromasil column C18 (60 x 2 mm)
 10 flow: 0.75 ml/min; 210 nm

1H -NMR (200 MHz, $CDCl_3$): $\delta = 1.26$ (d, 6H); 3.06 (quin., 1H); 5.29 (s, 1H); 6.23 (s, 1H); 6.65 (dd, 1H); 6.9 (d, 1H); 6.95 (d, 1H); 7.2 (d, 1H); 7.8 (broad s, 1H); 7.97 (s, 2H); 8.27 (broad s, 1H).

Example 19

DL-Amino- {4-[(3-isopropyl-1H-indol-5-yl)oxy]-3,5-bis-trifluoromethylphenyl}-acetic acid

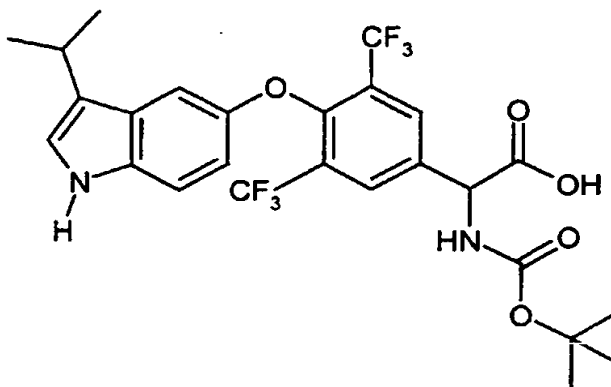


1.0 g (2.06 mmol) of hydantoin from Example 18 are heated to 100°C with 0.493 g (20.6 mmol) of lithium hydroxide in 15 ml of water overnight. The reaction solution is cooled to 0°C and directly reacted further with di-tert-butyl dicarbonate (Example 20).

R_f 0.39 (methylene chloride : methanol = 8:2)

Example 20

DL-tert-Butoxycarbonylamino-4-[(3-isopropyl-1H-indol-5-yl)oxy]-3,5-bis-trifluoromethylphenyl}-acetic acid



5

The reaction solution from Example 19 (about 2.06 mmol = 100%) is treated with 50 ml of dioxane and reacted dropwise at 0°C with 0.899 g (4.12 mmol) of di-tert-butyl dicarbonate dissolved in 5 ml of dioxane. The reaction mixture is then allowed to come to room temperature and stirred for 2 hours at room temperature. After distilling off dioxane, the reaction solution is acidified to pH 2 at 0°C using 1N HCl and extracted twice with ethyl acetate. The combined ethyl acetate phases are washed with sodium chloride solution, dried, filtered and concentrated. The crude product (1.234 g) is chromatographed on silica gel 60 using methylene chloride/methanol (9:1) in the isocratic mode.

15

Yield: 0.271 g (23.5%)

A 2nd fraction of 0.531 g (HPLC concentration: 64.0%) is obtained.

MS (LC-MS): 561 ([M+H]⁺, 100%)

HPLC: R_t = 0.503 (91.4%)

20

0.5% HClO₄/acetonitrile

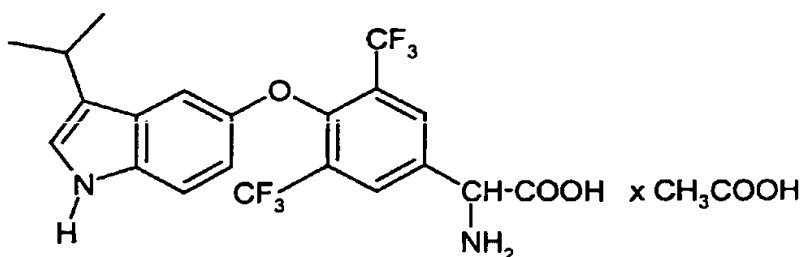
Kromasil column C18 (60 x 2 mm)

flow: 0.75 ml/min; 210 nm

¹H-NMR (200 MHz, d₆-DMSO): δ = 1.18 (d, 6H); 1.38 (s, 9H); 2.93 (m, 1H); 3.33 (broad s, 1H); 4.99 (d, 1H); 6.59 (d, 1H); 6.7 (s, 1H); 7.08 (d, 1H); 7.25 (d, 1H); 8.1 (s, 2H); 10.75 (s, 1H).

5 **Example 21**

DL-Amino-4-[(3-isopropyl-1H-indol-5-yl)oxy]-3,5-bis-trifluoromethylphenyl}-acetic acid acetate salt



10

0.526 g (0.945 mmol) of tert-butoxycarbonyl-protected amino acid from Example 20 is dissolved in 7 ml of dichloromethane, cooled to 0°C and treated dropwise under argon with 7 ml of trifluoroacetic acid. The solution is then stirred for 45 min at room temperature, subsequently concentrated to give an oil, the oily residue is stirred with ether and ether is distilled off.

15

Yield: 0.526 g (as trifluoroacetate salt)

The residue is dissolved in 20% strength acetic acid (20 ml) with addition of 10 ml of methanol and sent through a column packed with 80 ml of Amberlite IR-67 (acetate form; Fluka). The column is subsequently washed with water/methanol mixture (1:1), and the eluate is freed from methanol in vacuo and lyophilized.

20

Yield: 120 mg (27.8%)

MS (EI): 460 ([M]⁺, 14%)

HPLC: R_t = 4.29 (79.8%)

25

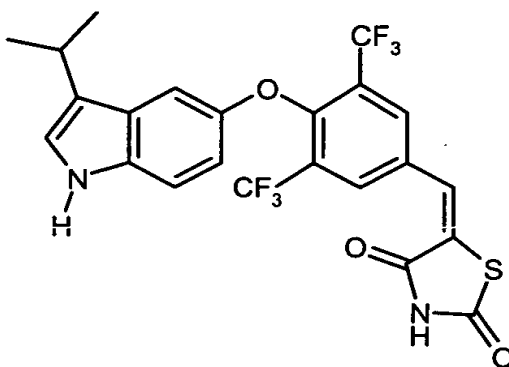
0.5% HClO₄ / acetonitrile

Kromasil column C18 (60 x 2 mm)

flow: 0.75 ml/min; 210 nm

Example 22

5 5-{4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-bis-trifluoromethyl-benzylidene}-
thiazolidine-2,4-dione

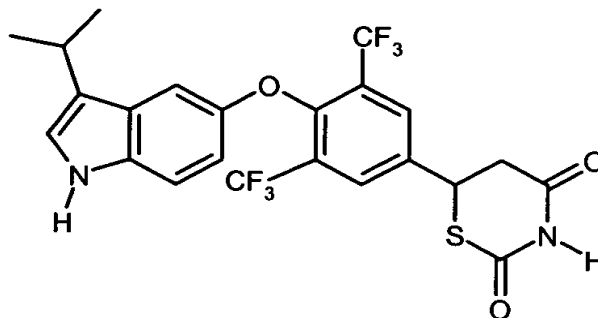


10 A mixture of 0.52 g (1.25 mmol) of aldehyde derivative from Example V, 0.21 g
(1.63 mmol) of 2,4-thiazolidine-2,4-dione, 0.2 g (1.63 mmol) of benzoic acid and
0.14 g (1.63 mmol) of piperidine in 47.5 ml of toluene are boiled under reflux
overnight in the presence of molecular sieve 4Å powder. The reaction solution is
then cooled to room temperature, diluted with 47.5 ml of toluene, and the molecular
sieve is filtered off with suction and washed with ethyl acetate. The organic filtrate is
15 washed twice with ammonium chloride solution, dried, filtered and concentrated in
vacuo. The thioazolidine dione derivative is obtained by chromatography on silica
gel 60 by means of toluene/ethyl acetate (10:1) in the isocratic mode.

Yield: 50 mg (4.9%)
MS (ESI): 515 ([M+H]⁺, 100%)
20 HPLC: R_t = 3.72 (63.2%)
0.3 g of 30% strength HCl per 1 l of H₂O
Symmetry column C18 (150 x 2.1 mm)
flow: 0.9 ml/min; 210 nm

Example 23

6-{4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-bis-trifluoromethylphenyl}-[1,3]-thiazinan-2,4-dione



5

The thiazine derivative is formed as a further product in the preparation of the benzylidene-2,4-thiazolidine dione derivative (Example 22).

Yield: 0.123 g (14.7%)

10 MS (LC): 517 ($[M+H]^+$, 100%)

HPLC: $R_t = 3.26$ (77.3%)

0.3 g of 30% strength HCl per 1 l of H₂O

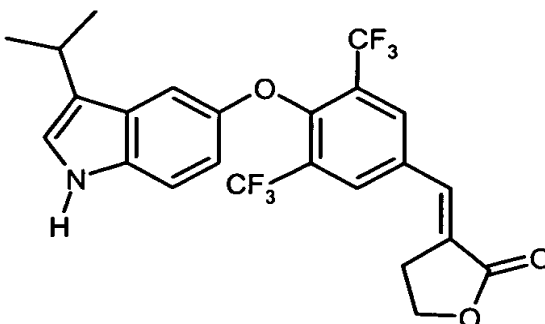
Symmetry column C18 (150 x 2.1 mm)

flow: 0.9 ml/min; 210 nm

15

Example 24

3-{4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-bis-trifluoromethyl-benzylidene}-dihydro-furan-2-one



20

0.36 g (0.87 mmol) of aldehyde derivative from Example V are dissolved in 10 ml of toluene and 0.36 g (1.04 mmol) of butyrolactonylidene-triphenylphosphorane are introduced in portions. After stirring at room temperature for 3 days, the reaction mixture is filtered, and the filtrate is concentrated to half of the volume and chromatographed on silica gel 60 by means of toluene/ethyl acetate (9:1).

Yield: 0.334 g (72.5%)

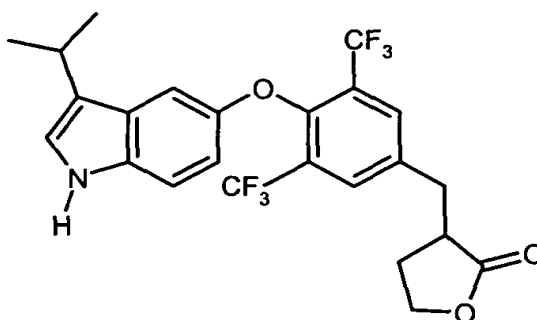
MS (DCI): 501 ($[M+NH_4]^+$, 100%)

R_f 0.87 (toluene : ethyl acetate = 9:1)

1H -NMR (200 MHz, $CDCl_3$): δ = 1.27 (d, 6H); 3.05 (quin, 1H); 3.31 (sext, 2H); 4.55 (t, 2H); 6.71 (dd, 1H); 6.88 (d, 1H); 6.96 (d, 1H); 7.2 (d, 1H); 7.62 (t, 1H); 7.84 (broad s, 1H); 8.03 (s, 2H).

Example 25

3-{4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-bis-trifluoromethyl-benzyl}-dihydro-furan-2-one



0.2 g (0.38 mmol) of benzylidene compound from Example 24 are dissolved in 100 ml of methanol and hydrogenated with hydrogen for 18 hours in the presence of palladium on active carbon. The catalyst is filtered off through kieselguhr and the filtrate is concentrated in vacuo. The crude product is purified by chromatography on silica gel 60 in the isocratic gradient mode using toluene/ethyl acetate (10:1).

Yield: 94 mg (48.7%)

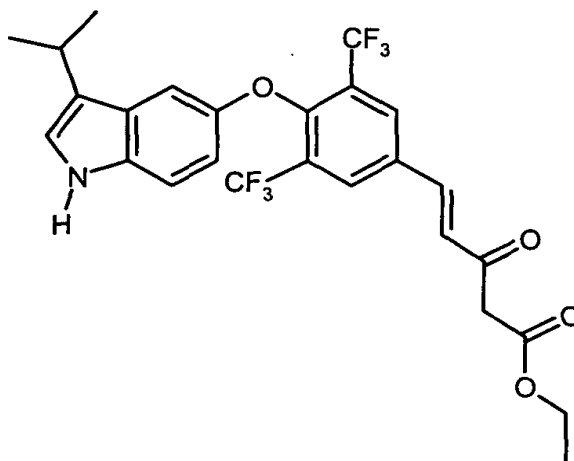
MS (ESI): 486 ($[M+H]^+$, 100%)

R_f 0.35 (toluene : ethyl acetate = 9:1)

- 5 1H -NMR (300 MHz, $CDCl_3$): δ = 1.28 (d, 6H); 2.04 (m, 1H); 2.37 (m, 1H); 2.91 (m, 2H); 3.05 (quin, 1H); 3.4 (quart, 1H); 4.23 (m, 1H); 4.39 (sext, 1H); 6.69 (dd, 1H); 6.85 (d, 1H); 6.94 (d, 1H); 7.2 (d, 1H); 7.77 (s, 2H); 7.8 (s, 1H).

Example 26

- 10 Ethyl 5-{4-[(3-isopropyl-1H-indol-5-yl)oxy]-3,5-bis-trifluoromethylphenyl}-3-oxo-pent-4-ene-carboxylate



- 15 Analogously to the procedure of Example 24, 0.35 g (0.84 mmol) of aldehyde derivative from Example V is reacted with 0.36 g (0.93 mmol) of ethyl 4-(triphenylphosphoranylidene)-acetoacetate in 10 ml of toluene for 2 days at room temperature and then for 18 hours at 75°C and 6 hours at 120°C. The crude product is purified by column chromatography on silica gel 60 using toluene.
- 20 Yield: 0.24 g (47.3%)
 MS (ESI): 528 ($[M+H]^+$, 100%)
 HPLC: R_t = 6.00 (27.3%) and R_t = 5.35 (51.2%); E/Z mixture

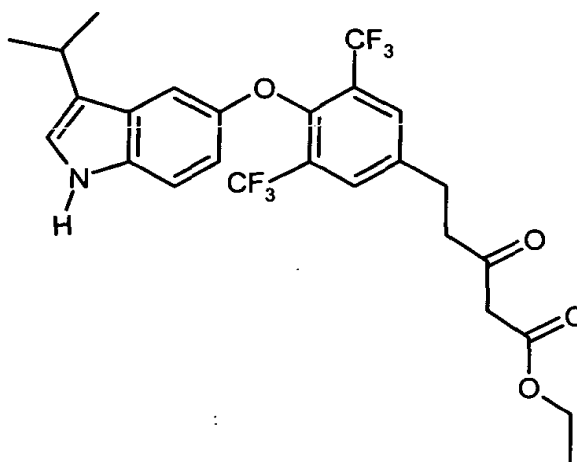
0.5% HClO₄/acetonitrile

Kromasil column C18 (60 x 2 mm)

flow: 0.75 ml/min; 210 nm

5 **Example 27**

Ethyl 5-{4-[(3-isopropyl-1H-indol-5-yl)oxy]-3,5-bis-trifluoromethylphenyl}-3-oxopentane-carboxylate



10

Analogously to the procedure of Example 25, 0.2 g (0.38 mmol) of 3-oxopentene-4-carboxylic acid derivative from Example 26 is hydrogenated overnight in methanol with palladium on active carbon under a hydrogen atmosphere. The crude product is chromatographed on silica gel using toluene/ethyl acetate (10:1) in the isocratic mode.

15

Yield: 89 mg (38.6%)

MS (ESI): 530 ([M+H]⁺, 100%)

R_f 0.37 (toluene : ethyl acetate = 9:1)

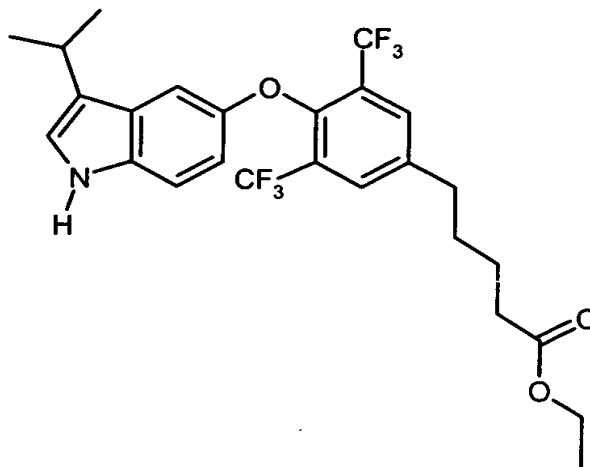
20

¹H-NMR (200 MHz, CDCl₃): δ = 1.28 (d and t, 9H); 3.03 (m, 5H); 3.49 (s, 2H); 4.2 (quart, 2H); 6.7 (dd, 1H); 6.87 (d, 1H); 6.95 (d, 1H); 7.21 (d, 1H); 7.73 (s, 2H); 7.8 (s, 1H).

Example 28

Ethyl 5-{4-[(3-isopropyl-1H-indol-5-yl)oxy]-3,5-bis-trifluoromethyl-phenyl}pentane-carboxylate

5



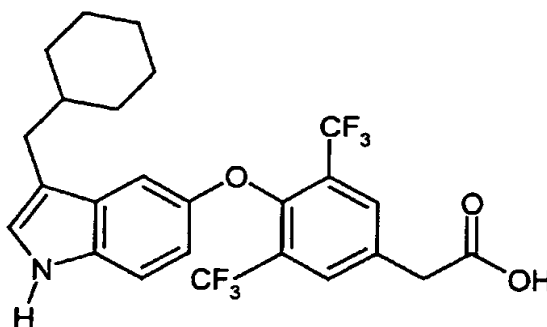
The pentanecarboxylic acid derivative is formed as a by-product in the catalytic hydrogenation of the 3-oxo-pentenecarboxylic acid derivative in Example 27.

10 Yield: 15 mg (6.2%)
MS (ESI): 516 ($[M+H]^+$, 100%)
R_f 0.4 (toluene : ethyl acetate = 9:1)

15 ¹H-NMR (200 MHz, CDCl₃): δ = 1.28 (d and t, 9H); 1.73 (quin, 3H); 2.39 (m, 2H); 2.78 (m, 2H); 3.04 (sext, 2H); 4.15 (quart, 2H); 6.7 (dd, 1H); 6.86 (d, 1H); 6.93 (d, 1H); 7.21 (d, 1H); 7.71 (s, 2H); 7.8 (broad s, 1H).

Example 29

4-(3-Cyclohexylmethyl-1H-indol-5-yloxy)-3,5-bis-trifluoromethylphenylacetic acid



5

The preparation is carried out in analogy to the procedure of Example 17 from 0.3 g (0.62 mmol) of phenylacetone nitrile derivative from Example XXIII by dissolving the nitrile in 10 ml of dioxane and treating it with 4 ml of conc. sulphuric acid and 4 ml of water for 4 hours at 100°C. The crude product is chromatographed on silica gel 60 by means of toluene/ethyl acetate (1:1) in the isocratic mode.

10

Yield: 65 mg (17.5%)

MS (ESI): 500 ([M+H]⁺, 100%)

HPLC: R_t = 5.23 (82.6%)

0.5% HClO₄/acetonitrile

15

Kromasil column C18 (60 x 2 mm)

flow: 0.75 ml / min; 210 nm

R_f: 0.29 (toluene : ethyl acetate = 1:1)

¹H-NMR (200 MHz, CDCl₃): δ = 0.92 (m, 2H); 1.17 (m, 4H); 1.5 (m, 1H); 1.65 (m, 4H); 2.49 (d, 2H); 3.82 (s, 2H); 6.68 (dd, 1H); 6.84 (d, 1H); 6.93 (d, 1H); 7.2 (d, 1H); 7.85 (d and s, 3H).

20

The following can be prepared in an analogous manner:

Example 30

5

{4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenoxy} acetic acid

Example 31

{4-[(3-Cyclopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenoxy} acetic acid

Example 32

10

{4-[(3-Cyclobutyl-1H-indol-5-yl)oxy]-3,5-dimethylphenoxy} acetic acid

Example 33

{4-[(3-Cyclopentyl-1H-indol-5-yl)oxy]-3,5-dimethylphenoxy} acetic acid

15

Example 34

{4-[(3-Cyclohexyl-1H-indol-5-yl)oxy]-3,5-dimethylphenoxy} acetic acid

Example 35

20

{3,5-Dimethyl-4-[(3-propyl-1H-indol-5-yl)oxy]phenoxy} acetic acid

Example 36

{4-[(3-Butyl-1H-indol-5-yl)oxy]-3,5-dimethylphenoxy} acetic acid

Example 37

25

{3,5-Dimethyl-4-[(3-pentyl-1H-indol-5-yl)oxy]phenoxy} acetic acid

Example 38

{4-[(3-Hexyl-1H-indol-5-yl)oxy]-3,5-dimethylphenoxy} acetic acid

30

Example 39

{4-[(3-Isobutyl-1H-indol-5-yl)oxy]-3,5-dimethylphenoxy} acetic acid

Example 40

{4-[(3-sec-Butyl-1H-indol-5-yl)oxy]-3,5-dimethylphenoxy}acetic acid

5 **Example 41**

(4-{[3-(Cyclohexylmethyl)-1H-indol-5-yl]oxy}-3,5-dimethylphenoxy)acetic acid

Example 42

(4-{[3-(Cyclopentylmethyl)-1H-indol-5-yl]oxy}-3,5-dimethylphenoxy)acetic acid
10

Example 43

(4-{[3-(Cyclobutylmethyl)-1H-indol-5-yl]oxy}-3,5-dimethylphenoxy)acetic acid

Example 44

15 (4-{[3-(Cyclopropylmethyl)-1H-indol-5-yl]oxy}-3,5-dimethylphenoxy)acetic acid

Example 45

{3,5-Dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

20 **Example 46**

{3,5-Dichloro-4-[(3-cyclopropyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

Example 47

{3,5-Dichloro-4-[(3-cyclobutyl-1H-indol-5-yl)oxy]phenoxy}acetic acid
25

Example 48

{3,5-Dichloro-4-[(3-cyclopentyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

Example 49

30 {3,5-Dichloro-4-[(3-cyclohexyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

Example 50

{3,5-Dichloro-4-[(3-propyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

Example 51

5 {4-[(3-Butyl-1H-indol-5-yl)oxy]-3,5-dichlorophenoxy}acetic acid

Example 52

{3,5-Dichloro-4-[(3-pentyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

10 **Example 53**

{3,5-Dichloro-4-[(3-hexyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

Example 54

{3,5-Dichloro-4-[(3-isobutyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

15

Example 55

{4-[(3-sec-Butyl-1H-indol-5-yl)oxy]-3,5-dichlorophenoxy}acetic acid

Example 56

20 (3,5-Dichloro-4- {[3-(cyclohexylmethyl)-1H-indol-5-yl]oxy}phenoxy)acetic acid

Example 57

(3,5-Dichloro-4- {[3-(cyclopentylmethyl)-1H-indol-5-yl]oxy}phenoxy)acetic acid

25 **Example 58**

(3,5-Dichloro-4- {[3-(cyclobutylmethyl)-1H-indol-5-yl]oxy}phenoxy)acetic acid

Example 59

(3,5-Dichloro-4- {[3-(cyclopropylmethyl)-1H-indol-5-yl]oxy}phenoxy)acetic acid

30

Example 60

{3,5-Dibromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

Example 61

5 {3,5-Dibromo-4-[(3-cyclopropyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

Example 62

{3,5-Dibromo-4-[(3-cyclobutyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

10 **Example 63**

{3,5-Dibromo-4-[(3-cyclopentyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

Example 64

{3,5-Dibromo-4-[(3-cyclohexyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

15

Example 65

{3,5-Dibromo-4-[(3-propyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

Example 66

20 {3,5-Dibromo-4-[(3-butyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

Example 67

{3,5-Dibromo-4-[(3-pentyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

25 **Example 68**

{3,5-Dibromo-4-[(3-hexyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

Example 69

{3,5-Dibromo-4-[(3-isobutyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

30

Example 70

{3,5-Dibromo-4-[(3-sec-butyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

Example 71

5 (3,5-Dibromo-4-[[3-(cyclohexylmethyl)-1H-indol-5-yl]oxy]phenoxy)acetic acid

Example 72

(3,5-Dibromo-4-[[3-(cyclopentylmethyl)-1H-indol-5-yl]oxy]phenoxy)acetic acid

10 **Example 73**

(3,5-Dibromo-4-[[3-(cyclobutylmethyl)-1H-indol-5-yl]oxy]phenoxy)acetic acid

Example 74

15 (3,5-Dibromo-4-[[3-(cyclopropylmethyl)-1H-indol-5-yl]oxy]phenoxy)acetic acid

Example 75

[4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenoxy]acetic acid

Example 76

20 [4-[(3-Cyclopropyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenoxy]acetic acid

Example 77

[4-[(3-Cyclobutyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenoxy]acetic acid

25 **Example 78**

[4-[(3-Cyclopentyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenoxy]acetic acid

Example 79

30 [4-[(3-Cyclohexyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenoxy]acetic acid

Example 80

[4-[(3-Propyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenoxy]acetic acid

Example 81

5 [4-[(3-Butyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenoxy]acetic acid

Example 82

[4-[(3-Pentyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenoxy]acetic acid

10 **Example 83**

[4-[(3-Hexyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenoxy]acetic acid

Example 84

15 [4-[(3-Isobutyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenoxy]acetic acid

Example 85

[4-[(3-sec-Butyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenoxy]acetic acid

Example 86

20 [4-{[3-(Cyclohexylmethyl)-1H-indol-5-yl]oxy}-3,5-bis(trifluoromethyl)phenoxy]-
acetic acid

Example 87

25 [4-{[3-(Cyclopentylmethyl)-1H-indol-5-yl]oxy}-3,5-bis(trifluoromethyl)phenoxy]-
acetic acid

Example 88

[4-{[3-(Cyclobutylmethyl)-1H-indol-5-yl]oxy}-3,5-bis(trifluoromethyl)phenoxy]-
acetic acid

30

Example 89

[4-{{3-(Cyclopropylmethyl)-1H-indol-5-yl}oxy}-3,5-bis(trifluoromethyl)phenoxy]-acetic acid

5 **Example 90**

[4-{{3-Isopropyl-1H-indol-5-yl}oxy}-3-methyl-5-(trifluoromethyl)phenoxy]acetic acid

Example 91

10 [4-{{3-Cyclopropyl-1H-indol-5-yl}oxy}-3-methyl-5-(trifluoromethyl)phenoxy]-acetic acid

Example 92

15 [4-{{3-Cyclobutyl-1H-indol-5-yl}oxy}-3-methyl-5-(trifluoromethyl)phenoxy]acetic acid

Example 93

20 [4-{{3-Cyclopentyl-1H-indol-5-yl}oxy}-3-methyl-5-(trifluoromethyl)phenoxy]-acetic acid

Example 94

25 [4-{{3-Cyclohexyl-1H-indol-5-yl}oxy}-3-methyl-5-(trifluoromethyl)phenoxy]-acetic acid

Example 95

30 [3-Methyl-4-{{3-propyl-1H-indol-5-yl}oxy}-5-(trifluoromethyl)phenoxy]acetic acid

Example 96

[4-{{3-Butyl-1H-indol-5-yl}oxy}-3-methyl-5-(trifluoromethyl)phenoxy]acetic acid

30 **Example 97**

[3-Methyl-4-{{3-pentyl-1H-indol-5-yl}oxy}-5-(trifluoromethyl)phenoxy]acetic acid

Example 98

[4-[(3-Hexyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenoxy]acetic acid

5 **Example 99**

[4-[(3-Isobutyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenoxy]acetic acid

Example 100

10 [4-[(3-sec-Butyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenoxy]acetic
acid

Example 101

15 [4-{[3-(Cyclohexylmethyl)-1H-indol-5-yl]oxy}-3-methyl-5-(trifluoromethyl)phen-
oxy]-acetic acid

Example 102

[4-{[3-(Cyclopentylmethyl)-1H-indol-5-yl]oxy}-3-methyl-5-(trifluoromethyl)phen-
oxy]-acetic acid

20 **Example 103**

[4-{[3-(Cyclobutylmethyl)-1H-indol-5-yl]oxy}-3-methyl-5-(trifluoromethyl)phen-
oxy]-acetic acid

Example 104

25 [4-{[3-(Cyclopropylmethyl)-1H-indol-5-yl]oxy}-3-methyl-5-(trifluoromethyl)-
phenoxy]acetic acid

Example 105

30 {3-Bromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenoxy} acetic acid

Example 106

{3-Bromo-4-[(3-cyclopropyl-1H-indol-5-yl)oxy]-5-methylphenoxy}acetic acid

Example 107

5 {3-Bromo-4-[(3-cyclobutyl-1H-indol-5-yl)oxy]-5-methylphenoxy}acetic acid

Example 108

{3-Bromo-4-[(3-cyclopentyl-1H-indol-5-yl)oxy]-5-methylphenoxy}acetic acid

10 **Example 109**

{3-Bromo-4-[(3-cyclohexyl-1H-indol-5-yl)oxy]-5-methylphenoxy}acetic acid

Example 110

{3-Bromo-5-methyl-4-[(3-propyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

15

Example 111

{3-Bromo-4-[(3-butyl-1H-indol-5-yl)oxy]-5-methylphenoxy}acetic acid

Example 112

20 {3-Bromo-5-methyl-4-[(3-pentyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

Example 113

{3-Bromo-4-[(3-hexyl-1H-indol-5-yl)oxy]-5-methylphenoxy}acetic acid

25 **Example 114**

{3-Bromo-4-[(3-isobutyl-1H-indol-5-yl)oxy]-5-methylphenoxy}acetic acid

Example 115

{3-Bromo-4-[(3-sec-butyl-1H-indol-5-yl)oxy]-5-methylphenoxy}acetic acid

30

Example 116

(3-Bromo-4-{{3-(cyclohexylmethyl)-1H-indol-5-yl}oxy}-5-methylphenoxy)acetic acid

5 **Example 117**

(3-Bromo-4-{{3-(cyclopentylmethyl)-1H-indol-5-yl}oxy}-5-methylphenoxy)acetic acid

Example 118

10 (3-Bromo-4-{{3-(cyclobutylmethyl)-1H-indol-5-yl}oxy}-5-methylphenoxy)acetic acid

Example 119

15 (3-Bromo-4-{{3-(cyclopropylmethyl)-1H-indol-5-yl}oxy}-5-methylphenoxy)acetic acid

Example 120

{3-Chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenoxy} acetic acid

20 **Example 121**

{3-Chloro-4-[(3-cyclopropyl-1H-indol-5-yl)oxy]-5-methylphenoxy} acetic acid

Example 122

25 {3-Chloro-4-[(3-cyclobutyl-1H-indol-5-yl)oxy]-5-methylphenoxy} acetic acid

Example 123

{3-Chloro-4-[(3-cyclopentyl-1H-indol-5-yl)oxy]-5-methylphenoxy} acetic acid

Example 124

30 {3-Chloro-4-[(3-cyclohexyl-1H-indol-5-yl)oxy]-5-methylphenoxy} acetic acid

Example 125

{3-Chloro-5-methyl-4-[(3-propyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

Example 126

5 {4-[(3-Butyl-1H-indol-5-yl)oxy]-3-chloro-5-methylphenoxy}acetic acid

Example 127

{3-Chloro-5-methyl-4-[(3-pentyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

10 **Example 128**

{3-Chloro-4-[(3-hexyl-1H-indol-5-yl)oxy]-5-methylphenoxy}acetic acid

Example 129

15 {3-Chloro-4-[(3-isobutyl-1H-indol-5-yl)oxy]-5-methylphenoxy}acetic acid

Example 130

{4-[(3-sec-butyl-1H-indol-5-yl)oxy]-3-chloro-5-methylphenoxy}acetic acid

Example 131

20 (3-Chloro-4- {[3-(cyclohexylmethyl)-1H-indol-5-yl]oxy}-5-methylphenoxy)acetic acid

Example 132

25 (3-Chloro-4- {[3-(cyclopentylmethyl)-1H-indol-5-yl]oxy}-5-methylphenoxy)acetic acid

Example 133

30 (3-Chloro-4- {[3-(cyclobutylmethyl)-1H-indol-5-yl]oxy}-5-methylphenoxy)acetic acid

Example 134

(3-Chloro-4- {[3-(cyclopropylmethyl)-1H-indol-5-yl]oxy}-5-methylphenoxy)acetic acid

5 **Example 135**

{3-Bromo-5-chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

Example 136

{3-Bromo-5-chloro-4-[(3-cyclopropyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

10

Example 137

{3-Bromo-5-chloro-4-[(3-cyclobutyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

Example 138

15 {3-Bromo-5-chloro-4-[(3-cyclopentyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

Example 139

{3-Bromo-5-chloro-4-[(3-cyclohexyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

20 **Example 140**

{3-Bromo-5-chloro-4-[(3-propyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

Example 141

{3-Bromo-4-[(3-butyl-1H-indol-5-yl)oxy]-5-chlorophenoxy}acetic acid

25

Example 142

{3-Bromo-5-chloro-4-[(3-pentyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

Example 143

30 {3-Bromo-5-chloro-4-[(3-hexyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

Example 144

{3-Bromo-5-chloro-4-[(3-isobutyl-1H-indol-5-yl)oxy]phenoxy}acetic acid

Example 145

5 {3-Bromo-4-[(3-sec-butyl-1H-indol-5-yl)oxy]-5-chlorophenoxy}acetic acid

Example 146

(3-Bromo-5-chloro-4- {[3-(cyclohexylmethyl)-1H-indol-5-yl]oxy}phenoxy)acetic
acid
10

Example 147

(3-Bromo-5-chloro-4- {[3-(cyclopentylmethyl)-1H-indol-5-yl]oxy}phenoxy)acetic
acid

15 **Example 148**

(3-Bromo-5-chloro-4- {[3-(cyclobutylmethyl)-1H-indol-5-yl]oxy}phenoxy)acetic acid

Example 149

(3-Bromo-5-chloro-4- {[3-(cyclopropylmethyl)-1H-indol-5-yl]oxy}phenoxy)acetic
acid
20

Example 150

[3-Chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

25 **Example 151**

3-Chloro-4-[(3-cyclopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic
acid

Example 152

30 [3-Chloro-4-[(3-cyclobutyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic
acid

Example 153

[3-Chloro-4-[(3-cyclopentyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

5

Example 154

[3-Chloro-4-[(3-cyclohexyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

10

Example 155

[3-Chloro-4-[(3-propyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

Example 156

[4-[(3-Butyl-1H-indol-5-yl)oxy]-3-chloro-5-(trifluoromethyl)phenoxy]acetic acid

15

Example 157

[3-Chloro-4-[(3-pentyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

Example 158

20

[3-Chloro-4-[(3-hexyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

Example 159

[3-Chloro-4-[(3-isobutyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

25

Example 160

[4-[(3-sec-Butyl-1H-indol-5-yl)oxy]-3-chloro-5-(trifluoromethyl)phenoxy]acetic acid

Example 161

[3-Chloro-4-[(3-(cyclohexylmethyl)-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

30

Example 162

[3-Chloro-4-{{[3-(cyclopentylmethyl)-1H-indol-5-yl]oxy}-5-(trifluoromethyl)-phenoxy]-acetic acid

5 **Example 163**

[3-Chloro-4-{{[3-(cyclobutylmethyl)-1H-indol-5-yl]oxy}-5-(trifluoromethyl)-phenoxy]-acetic acid

Example 164

10 [3-Chloro-4-{{[3-(cyclopropylmethyl)-1H-indol-5-yl]oxy}-5-(trifluoromethyl)-phenoxy]-acetic acid

Example 165

15 [3-Bromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

Example 166

[3-Bromo-4-[(3-cyclopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

20 **Example 167**

[3-Bromo-4-[(3-cyclobutyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

Example 168

25 [3-Bromo-4-[(3-cyclopentyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

Example 169

30 [3-Bromo-4-[(3-cyclohexyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

Example 170

[3-Bromo-4-[(3-propyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

Example 171

5 [3-Bromo-4-[(3-butyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

Example 172

[3-Bromo-4-[(3-pentyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

10 **Example 173**

[3-Bromo-4-[(3-hexyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

Example 174

[3-Bromo-4-[(3-isobutyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

15

Example 175

[3-Bromo-4-[(3-sec-butyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenoxy]acetic acid

Example 176

20 [3-Bromo-4- {[3-(cyclohexylmethyl)-1H-indol-5-yl]oxy} -5-(trifluoromethyl)-
phenoxy]-acetic acid

Example 177

25 [3-Bromo-4- {[3-(cyclopentylmethyl)-1H-indol-5-yl]oxy} -5-(trifluoromethyl)-
phenoxy]-acetic acid

Example 178

[3-Bromo-4- {[3-(cyclobutylmethyl)-1H-indol-5-yl]oxy} -5-(trifluoromethyl)-
phenoxy]-acetic acid

30

Example 179

[3-Bromo-4-{{3-(cyclopropylmethyl)-1H-indol-5-yl}oxy}-5-(trifluoromethyl)-phenoxy]-acetic acid

5 **Example 180**

({{4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl}sulphanyl)acetic acid

Example 181

({{3,5-Dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl}sulphanyl)acetic acid

10

Example 182

({{3,5-Dibromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl}sulphanyl)acetic acid

Example 183

15 {{4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenyl}sulphanyl}-
acetic acid

Example 184

20 {{4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenyl}sulph-
anyl}-acetic acid

Example 185

25 ({{3-Chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl}sulphanyl)acetic
acid

Example 186

({{3-Bromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl}sulphanyl)acetic
acid

30 **Example 187**

({{3-Bromo-5-chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl}sulphanyl)acetic acid

Example 188

{[3-Bromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]sulphanyl}-acetic acid

5

Example 189

{[3-Chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]sulphanyl}-acetic acid

10

Example 190

N-[3-Chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]glycine

Example 191

N-[3-Bromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]glycine

15

Example 192

N-{3-Bromo-5-chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl} glycine

Example 193

20 N-{3-Bromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl} glycine

Example 194

N-{3-Chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl} glycine

25

Example 195

N-[4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenyl]glycine

Example 196

N-[4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenyl]glycine

30

Example 197

N-{3,5-Dibromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl} glycine

Example 198

5 N-{3,5-Dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl} glycine

Example 199

N-{4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl} glycine

10 **Example 200**

3-{[3-Chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]amino}-
3-oxopropionic acid

Example 201

15 3-{[3-Bromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]amino}-
3-oxopropionic acid

Example 202

20 3-({3-Bromo-5-chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl} amino)-3-oxo-
propionic acid

Example 203

25 3-({3-Bromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl} amino)-3-
oxopropionic acid

Example 204

3-({3-Chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl} amino)-3-oxo-
propionic acid

Example 205

3- {[4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenyl]amino}-
3- oxopropionic acid

5 **Example 206**

3- {[4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenyl]amino}-3-
oxopropionic acid

Example 207

10 3-({3,5-Dibromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl} amino)-3-oxopropionic
acid

Example 208

15 3-({3,5-Dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl} amino)-3-oxopropionic
acid

Example 209

20 3-({4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl} amino)-3-oxopropionic
acid

Example 210

3- {[3-Chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]amino}-
2-oxopropionic acid

25 **Example 211**

3- {[3-Bromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]amino}-
2-oxopropionic acid

Example 212

30 3-({3-Bromo-5-chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl} amino)-2-
oxopropionic acid

Example 213

3-({3-Bromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl} amino)-2-oxo-propionic acid

5

Example 214

3-({3-Chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl} amino)-2-oxo-propionic acid

10

Example 215

3-{{4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenyl} amino}-2-oxopropionic acid

Example 216

15 3-{{4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenyl} amino}-2-oxopropionic acid

Example 217

20 3-({3,5-Dibromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl} amino)-2-oxopropionic acid

Example 218

25 3-({3,5-Dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl} amino)-2-oxopropionic acid

Example 219

3-({4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl} amino)-2-oxopropionic acid

Example 220

3-[3-Chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]propionic acid

5 **Example 221**

3-[3-Bromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]propionic acid

Example 222

10 3-{3-Bromo-5-chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl}propionic acid

Example 223

3-{3-Bromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl}propionic acid

15 **Example 224**

3-{3-Chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl}propionic acid

Example 225

20 3-[4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenyl]-
propionic acid

Example 226

3-[4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenyl]propionic acid

25 **Example 227**

3-{3,5-Dibromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl}propionic acid

Example 228

30 3-{3,5-Dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl}propionic acid

Example 229

3-{4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl}propionic acid

Example 230

5 [3-Chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

Example 231

[3-Chloro-4-[(3-cyclopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

10

Example 232

[3-Chloro-4-[(3-cyclobutyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

Example 233

15 [3-Chloro-4-[(3-cyclopentyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

Example 234

[3-Chloro-4-[(3-cyclohexyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

20

Example 235

[3-Chloro-4-[(3-propyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

Example 236

25 [4-[(3-Butyl-1H-indol-5-yl)oxy]-3-chloro-5-(trifluoromethyl)phenyl]acetic acid

Example 237

[3-Chloro-4-[(3-pentyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

30

Example 238

[3-Chloro-4-[(3-hexyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

Example 239

[3-Chloro-4-[(3-isobutyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

5 **Example 240**

[4-[(3-sec-Butyl-1H-indol-5-yl)oxy]-3-chloro-5-(trifluoromethyl)phenyl]acetic acid

Example 241

10 [3-Chloro-4-{[3-(cyclohexylmethyl)-1H-indol-5-yl]oxy}-5-(trifluoromethyl)phenyl]-
acetic acid

Example 242

[3-Chloro-4-{[3-(cyclopentylmethyl)-1H-indol-5-yl]oxy}-5-(trifluoromethyl)phenyl]-
acetic acid

15

Example 243

[3-Chloro-4-{[3-(cyclobutylmethyl)-1H-indol-5-yl]oxy}-5-(trifluoromethyl)phenyl]-
acetic acid

20 **Example 244**

[3-Chloro-4-{[3-(cyclopropylmethyl)-1H-indol-5-yl]oxy}-5-
(trifluoromethyl)phenyl]-acetic acid

Example 245

25 [4-[(3-Benzyl-1H-indol-5-yl)oxy]-3-chloro-5-(trifluoromethyl)phenyl]acetic acid

Example 246

[3-Chloro-4-{[3-(4-chlorobenzyl)-1H-indol-5-yl]oxy}-5-(trifluoromethyl)phenyl]-
acetic acid

30

Example 247

[3-Chloro-4-{{3-(4-fluorobenzyl)-1H-indol-5-yl}oxy}-5-(trifluoromethyl)phenyl]-acetic acid

5 **Example 248**

[3-Chloro-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-5-(trifluoromethyl)-phenyl]acetic acid

Example 249

10 [3-Chloro-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-5-(trifluoromethyl)-phenyl]acetic acid

Example 250

15 [3-Chloro-4-{{3-(phenylsulphonyl)-1H-indol-5-yl}oxy}-5-(trifluoromethyl)-phenyl]-acetic acid

Example 251

[3-Bromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

20 **Example 252**

[3-Bromo-4-[(3-cyclopropyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

Example 253

25 [3-Bromo-4-[(3-cyclobutyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

Example 254

[3-Bromo-4-[(3-cyclopentyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

Example 255

[3-Bromo-4-[(3-cyclohexyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

Example 256

5 [3-Bromo-4-[(3-propyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

Example 257

[3-Bromo-4-[(3-butyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

10 **Example 258**

[3-Bromo-4-[(3-pentyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

Example 259

15 [3-Bromo-4-[(3-hexyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

Example 260

[3-Bromo-4-[(3-isobutyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

Example 261

20 [3-Bromo-4-[(3-sec-butyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

Example 262

25 [3-Bromo-4-{{[3-(cyclohexylmethyl)-1H-indol-5-yl]oxy}}-5-(trifluoromethyl)phenyl]-
acetic acid

Example 263

[3-Bromo-4-{{[3-(cyclopentylmethyl)-1H-indol-5-yl]oxy}}-5-(trifluoromethyl)phenyl]-
acetic acid

Example 264

[3-Bromo-4-{{3-(cyclobutylmethyl)-1H-indol-5-yl}oxy}-5-(trifluoromethyl)phenyl]-acetic acid

5 **Example 265**

[3-Bromo-4-{{3-(cyclopropylmethyl)-1H-indol-5-yl}oxy}-5-(trifluoromethyl)-phenyl]-acetic acid

Example 266

10 [4-[(3-Benzyl-1H-indol-5-yl)oxy]-3-bromo-5-(trifluoromethyl)phenyl]acetic acid

Example 267

[3-Bromo-4-{{3-(4-chlorobenzyl)-1H-indol-5-yl}oxy}-5-(trifluoromethyl)phenyl]-acetic acid

15

Example 268

[3-Bromo-4-{{3-(4-fluorobenzyl)-1H-indol-5-yl}oxy}-5-(trifluoromethyl)phenyl]-acetic acid

20 **Example 269**

[3-Bromo-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-5-(trifluoromethyl)-phenyl]acetic acid

Example 270

25 [3-Bromo-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-5-(trifluoromethyl)-phenyl]acetic acid

Example 271

[3-Bromo-4-{{3-(phenylsulphonyl)-1H-indol-5-yl}oxy}-5-(trifluoromethyl)phenyl]-acetic acid

30

Example 272

{3-Bromo-5-chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl}acetic acid

Example 273

5 {3-Bromo-5-chloro-4-[(3-cyclopropyl-1H-indol-5-yl)oxy]phenyl}acetic acid

Example 274

{3-Bromo-5-chloro-4-[(3-cyclobutyl-1H-indol-5-yl)oxy]phenyl}acetic acid

10 **Example 275**

{3-Bromo-5-chloro-4-[(3-cyclopentyl-1H-indol-5-yl)oxy]phenyl}acetic acid

Example 276

{3-Bromo-5-chloro-4-[(3-cyclohexyl-1H-indol-5-yl)oxy]phenyl}acetic acid

15

Example 277

{3-Bromo-5-chloro-4-[(3-propyl-1H-indol-5-yl)oxy]phenyl}acetic acid

Example 278

20 {3-Bromo-4-[(3-butyl-1H-indol-5-yl)oxy]-5-chlorophenyl}acetic acid

Example 279

{3-Bromo-5-chloro-4-[(3-pentyl-1H-indol-5-yl)oxy]phenyl}acetic acid

25 **Example 280**

{3-Bromo-5-chloro-4-[(3-hexyl-1H-indol-5-yl)oxy]phenyl}acetic acid

Example 281

{3-Bromo-5-chloro-4-[(3-isobutyl-1H-indol-5-yl)oxy]phenyl}acetic acid

30

Example 282

{3-Bromo-4-[(3-sec-butyl-1H-indol-5-yl)oxy]-5-chlorophenyl}acetic acid

Example 283

5 (3-Bromo-5-chloro-4-[[3-(cyclohexylmethyl)-1H-indol-5-yl]oxy]phenyl)acetic acid

Example 284

(3-Bromo-5-chloro-4-[[3-(cyclopentylmethyl)-1H-indol-5-yl]oxy]phenyl)acetic acid

10 **Example 285**

(3-Bromo-5-chloro-4-[[3-(cyclobutylmethyl)-1H-indol-5-yl]oxy]phenyl)acetic acid

Example 286

(3-Bromo-5-chloro-4-[[3-(cyclopropylmethyl)-1H-indol-5-yl]oxy]phenyl)acetic acid

15

Example 287

{4-[(3-Benzyl-1H-indol-5-yl)oxy]-3-bromo-5-chlorophenyl}acetic acid

Example 288

20 (3-Bromo-5-chloro-4-[[3-(4-fluorobenzyl)-1H-indol-5-yl]oxy]phenyl)acetic acid

Example 289

(3-Bromo-5-chloro-4-[[3-(4-chlorobenzyl)-1H-indol-5-yl]oxy]phenyl)acetic acid

25 **Example 290**

[3-Bromo-5-chloro-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-
acetic acid

Example 291

30 [3-Bromo-5-chloro-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-
acetic acid

Example 292

(3-Bromo-5-chloro-4- {[3-(phenylsulphonyl)-1H-indol-5-yl]oxy}phenyl)acetic acid

5 **Example 293**

{3-Bromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl} acetic acid

Example 294

{3-Bromo-4-[(3-cyclopropyl-1H-indol-5-yl)oxy]-5-methylphenyl} acetic acid

10

Example 295

{3-Bromo-4-[(3-cyclobutyl-1H-indol-5-yl)oxy]-5-methylphenyl} acetic acid

Example 296

{3-Bromo-4-[(3-cyclopentyl-1H-indol-5-yl)oxy]-5-methylphenyl} acetic acid

15

Example 297

{3-Bromo-4-[(3-cyclohexyl-1H-indol-5-yl)oxy]-5-methylphenyl} acetic acid

20 **Example 298**

{3-Bromo-5-methyl-4-[(3-propyl-1H-indol-5-yl)oxy]phenyl} acetic acid

Example 299

{3-Bromo-4-[(3-butyl-1H-indol-5-yl)oxy]-5-methylphenyl} acetic acid

25

Example 300

{3-Bromo-5-methyl-4-[(3-pentyl-1H-indol-5-yl)oxy]phenyl} acetic acid

Example 301

{3-Bromo-4-[(3-hexyl-1H-indol-5-yl)oxy]-5-methylphenyl} acetic acid

30

Example 302

{3-Bromo-4-[(3-isobutyl-1H-indol-5-yl)oxy]-5-methylphenyl}acetic acid

Example 303

5 {3-Bromo-4-[(3-sec-butyl-1H-indol-5-yl)oxy]-5-methylphenyl}acetic acid

Example 304

(3-Bromo-4-{{3-(cyclohexylmethyl)-1H-indol-5-yl}oxy}-5-methylphenyl)acetic acid

10 **Example 305**

(3-Bromo-4-{{3-(cyclopentylmethyl)-1H-indol-5-yl}oxy}-5-methylphenyl)acetic acid

Example 306

(3-Bromo-4-{{3-(cyclobutylmethyl)-1H-indol-5-yl}oxy}-5-methylphenyl)acetic acid

15

Example 307

(3-Bromo-4-{{3-(cyclopropylmethyl)-1H-indol-5-yl}oxy}-5-methylphenyl)acetic acid

Example 308

20 {4-[(3-benzyl-1H-indol-5-yl)oxy]-3-bromo-5-methylphenyl}acetic acid

Example 309

(3-Bromo-4-{{3-(4-fluorobenzyl)-1H-indol-5-yl}oxy}-5-methylphenyl)acetic acid

25 **Example 310**

(3-Bromo-4-{{3-(4-chlorobenzyl)-1H-indol-5-yl}oxy}-5-methylphenyl)acetic acid

Example 311

[3-Bromo-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-5-methylphenyl]-
30 acetic acid

Example 312

[3-Bromo-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-5-methylphenyl]-acetic acid

5 **Example 313**

(3-Bromo-5-methyl-4-{{3-(phenylsulphonyl)-1H-indol-5-yl}oxy}phenyl)acetic acid

Example 314

{3-Chloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]-5-methylphenyl}acetic acid

10

Example 315

{3-Chloro-4-[(3-cyclopropyl-1H-indol-5-yl)oxy]-5-methylphenyl}acetic acid

Example 316

15 {3-Chloro-4-[(3-cyclobutyl-1H-indol-5-yl)oxy]-5-methylphenyl}acetic acid

Example 317

{3-Chloro-4-[(3-cyclopentyl-1H-indol-5-yl)oxy]-5-methylphenyl}acetic acid

20 **Example 318**

{3-Chloro-4-[(3-cyclohexyl-1H-indol-5-yl)oxy]-5-methylphenyl}acetic acid

Example 319

{3-Chloro-5-methyl-4-[(3-propyl-1H-indol-5-yl)oxy]phenyl}acetic acid

25

Example 320

{4-[(3-Butyl-1H-indol-5-yl)oxy]-3-chloro-5-methylphenyl}acetic acid

Example 321

30 {3-Chloro-5-methyl-4-[(3-pentyl-1H-indol-5-yl)oxy]phenyl}acetic acid

Example 322

{3-Chloro-4-[(3-hexyl-1H-indol-5-yl)oxy]-5-methylphenyl}acetic acid

Example 323

5 {3-Chloro-4-[(3-isobutyl-1H-indol-5-yl)oxy]-5-methylphenyl}acetic acid

Example 324

{4-[(3-sec-Butyl-1H-indol-5-yl)oxy]-3-chloro-5-methylphenyl}acetic acid

10 **Example 325**

(3-Chloro-4-[[3-(cyclohexylmethyl)-1H-indol-5-yl]oxy]-5-methylphenyl)acetic acid

Example 326

(3-Chloro-4-[[3-(cyclopentylmethyl)-1H-indol-5-yl]oxy]-5-methylphenyl)acetic acid

15

Example 327

(3-Chloro-4-[[3-(cyclobutylmethyl)-1H-indol-5-yl]oxy]-5-methylphenyl)acetic acid

Example 328

20 (3-Chloro-4-[[3-(cyclopropylmethyl)-1H-indol-5-yl]oxy]-5-methylphenyl)acetic acid

Example 329

{4-[(3-Benzyl-1H-indol-5-yl)oxy]-3-chloro-5-methylphenyl}acetic acid

25 **Example 330**

(3-Chloro-4-[[3-(4-fluorobenzyl)-1H-indol-5-yl]oxy]-5-methylphenyl)acetic acid

Example 331

(3-Chloro-4-[[3-(4-chlorobenzyl)-1H-indol-5-yl]oxy]-5-methylphenyl)acetic acid

30

Example 332

[3-Chloro-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-5-methylphenyl]-acetic acid

5 **Example 333**

[3-Chloro-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-5-methylphenyl]-acetic acid

Example 334

10 (3-Chloro-5-methyl-4-{{3-(phenylsulphonyl)-1H-indol-5-yl}oxy}phenyl)acetic acid

Example 335

[4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenyl]acetic acid

15 **Example 336**

[4-[(3-Cyclopropyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenyl]acetic acid

Example 337

20 [4-[(3-Cyclobutyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenyl]acetic acid

Example 338

[4-[(3-Cyclopentyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenyl]acetic acid

25

Example 339

[4-[(3-Cyclohexyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenyl]acetic acid

30 **Example 340**

[3-Methyl-4-[(3-propyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

Example 341

[4-[(3-Butyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenyl]acetic acid

5 **Example 342**

[3-Methyl-4-[(3-pentyl-1H-indol-5-yl)oxy]-5-(trifluoromethyl)phenyl]acetic acid

Example 343

[4-[(3-Hexyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenyl]acetic acid

10

Example 344

[4-[(3-Isobutyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenyl]acetic acid

Example 345

15 [4-[(3-sec-Butyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenyl]acetic acid

Example 346

[4-{[3-(Cyclohexylmethyl)-1H-indol-5-yl]oxy}-3-methyl-5-(trifluoromethyl)phenyl]-
acetic acid

20

Example 347

[4-{[3-(Cyclopentylmethyl)-1H-indol-5-yl]oxy}-3-methyl-5-(trifluoromethyl)-
phenyl]-acetic acid

25 **Example 348**

[4-{[3-(Cyclobutylmethyl)-1H-indol-5-yl]oxy}-3-methyl-5-(trifluoromethyl)phenyl]-
acetic acid

Example 349

30 [4-{[3-(Cyclopropylmethyl)-1H-indol-5-yl]oxy}-3-methyl-5-(trifluoromethyl)-
phenyl]-acetic acid

Example 350

[4-[(3-Benzyl-1H-indol-5-yl)oxy]-3-methyl-5-(trifluoromethyl)phenyl]acetic acid

5 **Example 351**

[4-{[3-(4-Fluorobenzyl)-1H-indol-5-yl]oxy}-3-methyl-5-(trifluoromethyl)phenyl]-
acetic acid

Example 352

10 [4-{[3-(4-Chlorobenzyl)-1H-indol-5-yl]oxy}-3-methyl-5-(trifluoromethyl)phenyl]-
acetic acid

Example 353

15 [4-({3-[(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3-methyl-5-(trifluoro-
methyl)-phenyl]acetic acid

Example 354

[4-({3-[(4-Fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3-methyl-5-
(trifluoromethyl)-phenyl]acetic acid
20

Example 355

[3-Methyl-4-{[3-(phenylsulphonyl)-1H-indol-5-yl]oxy}-5-(trifluoromethyl)-phenyl]-
acetic acid

25 **Example 356**

[4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenyl]acetic acid

Example 357

[4-[(3-Cyclopropyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenyl]acetic acid
30

Example 358

[4-[(3-Cyclobutyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenyl]acetic acid

Example 359

5 [4-[(3-Cyclopentyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenyl]acetic acid

Example 360

[4-[(3-Cyclohexyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenyl]acetic acid

10 **Example 361**

[4-[(3-Propyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenyl]acetic acid

Example 362

[4-[(3-Butyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenyl]acetic acid

15

Example 363

[4-[(3-Pentyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenyl]acetic acid

Example 364

20 [4-[(3-Hexyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenyl]acetic acid

Example 365

[4-[(3-Isobutyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenyl]acetic acid

25 **Example 366**

[4-[(3-sec-Butyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenyl]acetic acid

Example 367

[4-{{[3-(Cyclohexylmethyl)-1H-indol-5-yl]oxy}-3,5-bis(trifluoromethyl)phenyl]-
30 acetic acid

Example 368

[4-{[3-(Cyclopentylmethyl)-1H-indol-5-yl]oxy}-3,5-bis(trifluoromethyl)phenyl]-acetic acid

5 **Example 369**

[4-{[3-(Cyclobutylmethyl)-1H-indol-5-yl]oxy}-3,5-bis(trifluoromethyl)phenyl]-acetic acid

Example 370

10 [4-{[3-(Cyclopropylmethyl)-1H-indol-5-yl]oxy}-3,5-bis(trifluoromethyl)phenyl]-acetic acid

Example 371

15 [4-[(3-Benzyl-1H-indol-5-yl)oxy]-3,5-bis(trifluoromethyl)phenyl]acetic acid

Example 372

[4-{[3-(4-Fluorobenzyl)-1H-indol-5-yl]oxy}-3,5-bis(trifluoromethyl)phenyl]acetic acid

20 **Example 373**

[4-{[3-(4-Chlorobenzyl)-1H-indol-5-yl]oxy}-3,5-bis(trifluoromethyl)phenyl]acetic acid

Example 374

25 [4-({3-[(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoromethyl)-phenyl]-acetic acid

Example 375

30 [4-({3-[(4-Fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoromethyl)-phenyl]-acetic acid

Example 376

[4-{[3-(Phenylsulphonyl)-1H-indol-5-yl]oxy}-3,5-bis(trifluoromethyl)phenyl]acetic acid

5 **Example 377**

{3,5-Dibromo-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl} acetic acid

Example 378

{3,5-Dibromo-4-[(3-cyclopropyl-1H-indol-5-yl)oxy]phenyl} acetic acid

10

Example 379

{3,5-Dibromo-4-[(3-cyclobutyl-1H-indol-5-yl)oxy]phenyl} acetic acid

Example 380

15 {3,5-Dibromo-4-[(3-cyclopentyl-1H-indol-5-yl)oxy]phenyl} acetic acid

Example 381

{3,5-Dibromo-4-[(3-cyclohexyl-1H-indol-5-yl)oxy]phenyl} acetic acid

20 **Example 382**

{3,5-Dibromo-4-[(3-propyl-1H-indol-5-yl)oxy]phenyl} acetic acid

Example 383

{3,5-Dibromo-4-[(3-butyl-1H-indol-5-yl)oxy]phenyl} acetic acid

25

Example 384

{3,5-Dibromo-4-[(3-pentyl-1H-indol-5-yl)oxy]phenyl} acetic acid

Example 385

30 {3,5-Dibromo-4-[(3-hexyl-1H-indol-5-yl)oxy]phenyl} acetic acid

Example 386

{3,5-Dibromo-4-[(3-isobutyl-1H-indol-5-yl)oxy]phenyl}acetic acid

Example 387

5 {3,5-Dibromo-4-[(3-sec-butyl-1H-indol-5-yl)oxy]phenyl}acetic acid

Example 388

(3,5-Dibromo-4- {[3-(cyclohexylmethyl)-1H-indol-5-yl]oxy}phenyl)acetic acid

10 **Example 389**

(3,5-Dibromo-4- {[3-(cyclopentylmethyl)-1H-indol-5-yl]oxy}phenyl)acetic acid

Example 390

(3,5-Dibromo-4- {[3-(cyclobutylmethyl)-1H-indol-5-yl]oxy}phenyl)acetic acid

15

Example 391

(3,5-Dibromo-4- {[3-(cyclopropylmethyl)-1H-indol-5-yl]oxy}phenyl)acetic acid

Example 392

20 {4-[(3-Benzyl-1H-indol-5-yl)oxy]-3,5-dibromophenyl}acetic acid

Example 393

(3,5-Dibromo-4- {[3-(4-fluorobenzyl)-1H-indol-5-yl]oxy}phenyl)acetic acid

25 **Example 394**

(3,5-Dibromo-4- {[3-(4-chlorobenzyl)-1H-indol-5-yl]oxy}phenyl)acetic acid

Example 395

[3,5-Dibromo-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]acetic
30 acid

Example 396

[3,5-Dibromo-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]acetic acid

5

Example 397

(3,5-Dibromo-4-{{3-(phenylsulphonyl)-1H-indol-5-yl}oxy}phenyl)acetic acid

Example 398

{3,5-Dichloro-4-[(3-isopropyl-1H-indol-5-yl)oxy]phenyl}acetic acid

10

Example 399

{3,5-Dichloro-4-[(3-cyclopropyl-1H-indol-5-yl)oxy]phenyl}acetic acid

Example 400

15

{3,5-Dichloro-4-[(3-cyclobutyl-1H-indol-5-yl)oxy]phenyl}acetic acid

Example 401

{3,5-Dichloro-4-[(3-cyclopentyl-1H-indol-5-yl)oxy]phenyl}acetic acid

20

Example 402

{3,5-Dichloro-4-[(3-cyclohexyl-1H-indol-5-yl)oxy]phenyl}acetic acid

Example 403

{3,5-Dichloro-4-[(3-propyl-1H-indol-5-yl)oxy]phenyl}acetic acid

25

Example 404

{4-[(3-Butyl-1H-indol-5-yl)oxy]-3,5-dichlorophenyl}acetic acid

Example 405

30

{3,5-Dichloro-4-[(3-pentyl-1H-indol-5-yl)oxy]phenyl}acetic acid

Example 406

{3,5-Dichloro-4-[(3-hexyl-1H-indol-5-yl)oxy]phenyl}acetic acid

Example 407

5 {3,5-Dichloro-4-[(3-isobutyl-1H-indol-5-yl)oxy]phenyl}acetic acid

Example 408

{4-[(3-sec-Butyl-1H-indol-5-yl)oxy]-3,5-dichlorophenyl}acetic acid

10 **Example 409**

(3,5-Dichloro-4-[[3-(cyclohexylmethyl)-1H-indol-5-yl]oxy]phenyl)acetic acid

Example 410

(3,5-Dichloro-4-[[3-(cyclopentylmethyl)-1H-indol-5-yl]oxy]phenyl)acetic acid

15

Example 411

(3,5-Dichloro-4-[[3-(cyclobutylmethyl)-1H-indol-5-yl]oxy]phenyl)acetic acid

Example 412

20 (3,5-Dichloro-4-[[3-(cyclopropylmethyl)-1H-indol-5-yl]oxy]phenyl)acetic acid

Example 413

{4-[(3-Benzyl-1H-indol-5-yl)oxy]-3,5-dichlorophenyl}acetic acid

25 **Example 414**

(3,5-Dichloro-4-[[3-(4-fluorobenzyl)-1H-indol-5-yl]oxy]phenyl)acetic acid

Example 415

(3,5-Dichloro-4-[[3-(4-chlorobenzyl)-1H-indol-5-yl]oxy]phenyl)acetic acid

30

Example 416

[3,5-Dichloro-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]acetic acid

5 **Example 417**

[3,5-Dichloro-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]acetic acid

Example 418

10 (3,5-Dichloro-4-{{3-(phenylsulphonyl)-1H-indol-5-yl}oxy}phenyl)acetic acid

Example 419

{4-[(3-Isopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl}acetic acid

15 **Example 420**

{4-[(3-Cyclopropyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl}acetic acid

Example 421

{4-[(3-Cyclobutyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl}acetic acid

20

Example 422

{4-[(3-Cyclopentyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl}acetic acid

Example 423

25 {4-[(3-Cyclohexyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl}acetic acid

Example 424

{3,5-Dimethyl-4-[(3-propyl-1H-indol-5-yl)oxy]phenyl}acetic acid

Example 425

{4-[(3-Butyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl} acetic acid

Example 426

5 {3,5-Dimethyl-4-[(3-pentyl-1H-indol-5-yl)oxy]phenyl} acetic acid

Example 427

{4-[(3-Hexyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl} acetic acid

10 **Example 428**

{4-[(3-Isobutyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl} acetic acid

Example 429

{4-[(3-sec-Butyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl} acetic acid

15

Example 430

(4- {[3-(Cyclohexylmethyl)-1H-indol-5-yl]oxy} -3,5-dimethylphenyl)acetic acid

Example 431

20 (4- {[3-(Cyclopentylmethyl)-1H-indol-5-yl]oxy} -3,5-dimethylphenyl)acetic acid

Example 432

(4- {[3-(Cyclobutylmethyl)-1H-indol-5-yl]oxy} -3,5-dimethylphenyl)acetic acid

25 **Example 433**

(4- {[3-(Cyclopropylmethyl)-1H-indol-5-yl]oxy} -3,5-dimethylphenyl)acetic acid

Example 434

{4-[(3-Benzyl-1H-indol-5-yl)oxy]-3,5-dimethylphenyl} acetic acid

30

Example 435

(4-{[3-(4-Fluorobenzyl)-1H-indol-5-yl]oxy}-3,5-dimethylphenyl)acetic acid

Example 436

5 (4-{[3-(4-Chlorobenzyl)-1H-indol-5-yl]oxy}-3,5-dimethylphenyl)acetic acid

Example 437

[4-({3-[(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-dimethylphenyl]acetic acid

10

Example 438

[4-({3-[(4-Fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-dimethylphenyl]acetic acid

15

Example 439

(3,5-Dimethyl-4-{[3-(phenylsulphonyl)-1H-indol-5-yl]oxy}phenyl)acetic acid

Example 440

(3,5-Dimethyl-4-{[3-(phenylsulphonyl)-1H-indol-5-yl]oxy}phenoxy)acetic acid

20

Example 441

(3,5-Dichloro-4-{[3-(phenylsulphonyl)-1H-indol-5-yl]oxy}phenoxy)acetic acid

Example 442

25 (3,5-Dibromo-4-{[3-(phenylsulphonyl)-1H-indol-5-yl]oxy}phenoxy)acetic acid

Example 443

[4-{[3-(Phenylsulphonyl)-1H-indol-5-yl]oxy}-3,5-is(trifluoromethyl)phenoxy]acetic acid

30

Example 444

(3,5-Dimethyl-4-{{3-(phenylsulphonyl)-1H-indol-5-yl}oxy}phenyl)acetic acid

Example 445

5 3,5-Dichloro-4-{{3-(phenylsulphonyl)-1H-indol-5-yl}oxy}phenyl)acetic acid

Example 446

(3,5-Dibromo-4-{{3-(phenylsulphonyl)-1H-indol-5-yl}oxy}phenyl)acetic acid

10 **Example 447**

[4-{{3-(Phenylsulphonyl)-1H-indol-5-yl}oxy}-3,5-bis(trifluoromethyl)phenyl]acetic acid

Example 448

15 3,5-Dimethyl-O-[3-(phenylsulphonyl)-1H-indol-5-yl]-D-tyrosine

Example 449

3,5-Dichloro-O-[3-(phenylsulphonyl)-1H-indol-5-yl]-D-tyrosine

20 **Example 450**

3,5-Dibromo-O-[3-(phenylsulphonyl)-1H-indol-5-yl]-D-tyrosine

Example 451

O-[3-(Phenylsulphonyl)-1H-indol-5-yl]-3,5-bis(trifluoromethyl)-D-tyrosine

25

Example 452

3,5-Dimethyl-O-[3-(phenylsulphonyl)-1H-indol-5-yl]-L-tyrosine

Example 453

30 3,5-Dichloro-O-[3-(phenylsulphonyl)-1H-indol-5-yl]-L-tyrosine

Example 454

3,5-Dibromo-O-[3-(phenylsulphonyl)-1H-indol-5-yl]-L-tyrosine

Example 455

O-[3-(phenylsulphonyl)-1H-indol-5-yl]-3,5-bis(trifluoromethyl)-L-tyrosine

5 **Example 456**

(3,5-Dimethyl-4- {[3-(phenylsulphonyl)-1H-indol-5-yl]oxy}phenyl)methanesulphonic acid

Example 457

10 (3,5-Dichloro-4- {[3-(phenylsulphonyl)-1H-indol-5-yl]oxy}phenyl)methanesulphonic acid

Example 458

15 (3,5-Dibromo-4- {[3-(phenylsulphonyl)-1H-indol-5-yl]oxy}phenyl)methanesulphonic acid

Example 459

[4- {[3-(Phenylsulphonyl)-1H-indol-5-yl]oxy}-3,5-bis(trifluoromethyl)phenyl]-methanesulphonic acid
20

Example 460

[(3,5-Dimethyl-4- {[3-(phenylsulphonyl)-1H-indol-5-yl]oxy}phenyl)sulphanyl]acetic acid

25 **Example 461**

[(3,5-Dichloro-4- {[3-(phenylsulphonyl)-1H-indol-5-yl]oxy}phenyl)sulphanyl]acetic acid

Example 462

30 [(3,5-Dibromo-4- {[3-(phenylsulphonyl)-1H-indol-5-yl]oxy}phenyl)sulphanyl]acetic acid

Example 463

{[4-{[3-(Phenylsulphonyl)-1H-indol-5-yl]oxy}-3,5-bis(trifluoromethyl)phenyl]-sulphanyl}-acetic acid

5

Example 464

(2R)-Amino(3,5-dimethyl-4-{[3-(phenylsulphonyl)-1H-indol-5-yl]oxy}phenyl)-ethanoic acid

10

Example 465

(2R)-Amino(3,5-dichloro-4-{[3-(phenylsulphonyl)-1H-indol-5-yl]oxy}phenyl)-ethanoic acid

Example 466

15

(2R)-Amino(3,5-dibromo-4-{[3-(phenylsulphonyl)-1H-indol-5-yl]oxy}phenyl)-ethanoic acid

Example 467

(2R)-Amino[4-{[3-(phenylsulphonyl)-1H-indol-5-yl]oxy}-3,5-bis(trifluoromethyl)-phenyl]ethanoic acid

20

Example 468

(2S)-Amino(3,5-dimethyl-4-{[3-(phenylsulphonyl)-1H-indol-5-yl]oxy}phenyl)-ethanoic acid

25

Example 469

(2S)-Amino(3,5-dichloro-4-{[3-(phenylsulphonyl)-1H-indol-5-yl]oxy}phenyl)-ethanoic acid

Example 470

(2S)-Amino(3,5-dibromo-4- {[3-(phenylsulphonyl)-1H-indol-5-yl]oxy}phenyl)-ethanoic acid

5 **Example 471**

(2S)-Amino[4- {[3-(phenylsulphonyl)-1H-indol-5-yl]oxy}-3,5-bis(trifluoromethyl)-phenyl]ethanoic acid

Example 472

10 [4-({3-[(4-Fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-dimethylphenoxy]acetic acid

Example 473

15 [3,5-Dichloro-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenoxy]acetic acid

Example 474

20 [3,5-Dibromo-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenoxy]acetic acid

Example 475

[4-({3-[(4-Fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoromethyl)-phenoxy]-acetic acid

25 **Example 476**

[4-({3-[(4-Fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-dimethylphenyl]acetic acid

Example 477

30 [3,5-Dichloro-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]acetic acid

Example 478

[3,5-Dibromo-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]acetic acid

5

Example 479

[4-({3-[(4-Fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoromethyl)-phenyl]-acetic acid

10

Example 480

O-{{3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}}-3,5-dimethyl-D-tyrosine

Example 481

3,5-Dichloro-O-{{3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}}-D-tyrosine

15

Example 482

3,5-Dibromo-O-{{3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}}-D-tyrosine

Example 483

O-{{3-[(4-Fluorophenyl)sulphonyl]-1H-indol-5-yl}}-3,5-bis(trifluoromethyl)-D-tyrosine

20

Example 484

O-{{3-[(4-Fluorophenyl)sulphonyl]-1H-indol-5-yl}}-3,5-dimethyl-L-tyrosine

25

Example 485

3,5-Dichloro-O-{{3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}}-L-tyrosine

Example 486

3,5-Dibromo-O-{{3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}}-L-tyrosine

30

Example 487

O-{3-[(4-Fluorophenyl)sulphonyl]-1H-indol-5-yl}-3,5-bis(trifluoromethyl)-L-tyrosine

5 **Example 488**

[4-({3-[(4-Fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-dimethylphenyl]methane-sulphonic acid

Example 489

10 [3,5-Dichloro-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-methane-sulphonic acid

Example 490

15 [3,5-Dibromo-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-methane-sulphonic acid

Example 491

20 [4-({3-[(4-Fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoromethyl)-phenyl]-methanesulphonic acid

Example 492

{[4-({3-[(4-Fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-dimethylphenyl]-sulphanyl}-acetic acid

25 **Example 493**

{[3,5-Dichloro-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]sulphanyl}-acetic acid

Example 494

30 {[3,5-Dibromo-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]sulphanyl}-acetic acid

Example 495

{[4-({3-[(4-Fluorophenyl)sulphonyl]-1H-indol-5-yl} oxy)-3,5-bis(trifluoromethyl)-phenyl]-sulphonyl}acetic acid

5

Example 496

(2R)-Amino[4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl} oxy)-3,5-dimethyl-phenyl]-ethanoic acid

10

Example 497

(2R)-Amino[3,5-dichloro-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl} oxy)-phenyl]-ethanoic acid

Example 498

15

(2R)-Amino[3,5-dibromo-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl} oxy)-phenyl]-ethanoic acid

Example 499

20

(2R)-Amino[4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl} oxy)-3,5-bis(trifluoromethyl)phenyl]ethanoic acid

Example 500

(2S)-Amino[4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl} oxy)-3,5-dimethyl-phenyl]-ethanoic acid

25

Example 501

(2S)-Amino[3,5-dichloro-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl} oxy)-phenyl]-ethanoic acid

Example 502

(2S)-Amino[3,5-dibromo-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-phenyl]-ethanoic acid

5 **Example 503**

(2S)-Amino[4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoromethyl)phenyl]ethanoic acid

Example 504

10 [4-({3-[(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-dimethylphenoxy]acetic acid

Example 505

15 [3,5-Dichloro-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenoxy]acetic acid

Example 506

20 [3,5-Dibromo-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenoxy]acetic acid

Example 507

[4-({3-[(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoromethyl)-phenoxy]-acetic acid

25 **Example 508**

[4-({3-[(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-dimethylphenyl]acetic acid

Example 509

30 [3,5-Dichloro-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]acetic acid

Example 510

[3,5-Dibromo-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]acetic acid

5

Example 511

[4-({3-[(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoromethyl)-phenyl]-acetic acid

10

Example 512

O- {3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl} -3,5-dimethyl-D-tyrosine

Example 513

3,5-Dichloro-O- {3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl} -D-tyrosine

15

Example 514

3,5-Dibromo-O- {3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl} -D-tyrosine

Example 515

O- {3-[(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl} -3,5-bis(trifluoromethyl)-D-tyrosine

20

Example 516

O- {3-[(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl} -3,5-dimethyl-L-tyrosine

25

Example 517

3,5-Dichloro-O- {3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl} -L-tyrosine

Example 518

3,5-Dibromo-O- {3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl} -L-tyrosine

30

Example 519

O-{3-[(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl}-3,5-bis(trifluoromethyl)-L-tyrosine

5 **Example 520**

[4-({3-[(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl} oxy)-3,5-dimethylphenyl]methane-sulphonic acid

Example 521

10 [3,5-Dichloro-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl} oxy)phenyl]-methane-sulphonic acid

Example 522

15 [3,5-Dibromo-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl} oxy)phenyl]-methane-sulphonic acid

Example 523

20 [4-({3-[(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl} oxy)-3,5-bis(trifluoromethyl)-phenyl]-methanesulphonic acid

Example 524

{[4-({3-[(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl} oxy)-3,5-dimethylphenyl]-sulphanyl}-acetic acid

25 **Example 525**

{[3,5-Dichloro-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl} oxy)phenyl]sulphanyl}-acetic acid

Example 526

{[3,5-Dibromo-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl} oxy)phenyl]sulphanyl}-acetic acid

5 **Example 527**

{[4-({3-[(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl} oxy)-3,5-bis(trifluoromethyl)-phenyl]-sulphanyl} acetic acid

Example 528

10 (2R)-Amino[4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl} oxy)-3,5-dimethyl-phenyl]ethanoic acid

Example 529

15 (2R)-Amino[3,5-dichloro-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl} oxy)-phenyl]ethanoic acid

Example 530

20 (2R)-Amino[3,5-dibromo-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl} oxy)-phenyl]ethanoic acid

Example 531

(2R)-Amino[4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl} oxy)-3,5-bis(trifluoro-methyl)phenyl]ethanoic acid

25 **Example 532**

(2S)-Amino[4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl} oxy)-3,5-dimethyl-phenyl]ethanoic acid

Example 533

(2S)-Amino[3,5-dichloro-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-phenyl]ethanoic acid

5 **Example 534**

(2S)-Amino[3,5-dibromo-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-phenyl]ethanoic acid

Example 535

10 (2S)-Amino[4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoromethyl)phenyl]ethanoic acid

Example 536

15 [3,5-Dimethyl-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)phenoxy]-acetic acid

Example 537

20 [3,5-Dichloro-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)phenoxy]acetic acid

Example 538

[3,5-Dibromo-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)phenoxy]acetic acid

25 **Example 539**

[4-({3-[(4-Methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoromethyl)-phenoxy]acetic acid

Example 540

[3,5-Dimethyl-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]acetic acid

5 **Example 541**

[3,5-Dichloro-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]acetic acid

Example 542

10 [3,5-Dibromo-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]acetic acid

Example 543

15 [4-({3-[(4-Methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoromethyl)-phenyl]-acetic acid

Example 544

3,5-Dimethyl-O- {3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl} -D-tyrosine

20 **Example 545**

3,5-Dichloro-O- {3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl} -D-tyrosine

Example 546

25 3,5-Dibromo-O- {3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl} -D-tyrosine

Example 547

O- {3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl} -3,5-bis(trifluoromethyl)-D-tyrosine

30 **Example 548**

3,5-Dimethyl-O- {3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl} -L-tyrosine

Example 549

3,5-Dichloro-O-{3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}-L-tyrosine

5 **Example 550**

3,5-Dibromo-O-{3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}-L-tyrosine

Example 551

10 O-{3-[(4-Methylphenyl)sulphonyl]-1H-indol-5-yl}-3,5-bis(trifluoromethyl)-L-tyrosine

Example 552

[3,5-Dimethyl-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-methane-sulphonic acid

15

Example 553

[3,5-Dichloro-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-methane-sulphonic acid

20 **Example 554**

[3,5-Dibromo-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-methane-sulphonic acid

Example 555

25 [4-({3-[(4-Methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoromethyl)-phenyl]-methanesulphonic acid

Example 556

30 {[3,5-Dimethyl-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-sulphonyl}acetic acid

Example 557

{[3,5-Dichloro-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl} oxy)phenyl]-sulphonyl}-acetic acid

5 **Example 558**

{[3,5-Dibromo-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl} oxy)phenyl]-sulphonyl}-acetic acid

Example 559

10 {[4-({3-[(4-Methylphenyl)sulphonyl]-1H-indol-5-yl} oxy)-3,5-bis(trifluoromethyl)-phenyl]sulphonyl} acetic acid

Example 560

15 (2R)-Amino[3,5-dimethyl-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl} oxy)-phenyl]ethanoic acid

Example 561

20 (2R)-Amino[3,5-dichloro-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl} oxy)-phenyl]ethanoic acid

Example 562

(2R)-Amino[3,5-dibromo-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl} oxy)-phenyl]ethanoic acid

25 **Example 563**

(2R)-Amino[4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl} oxy)-3,5-bis(trifluoro-methyl)phenyl]ethanoic acid

Example 564

30 (2S)-Amino[3,5-dimethyl-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl} oxy)-phenyl]ethanoic acid

Example 565

(2S)-Amino[3,5-dichloro-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)-phenyl]-ethanoic acid

5

Example 566

(2S)-Amino[3,5-dibromo-4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)-phenyl]ethanoic acid

10

Example 567

(2S)-Amino[4-({3-[(4-methylphenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoro-methyl)phenyl]ethanoic acid

Example 568

15 (3,5-Dimethyl-4- {[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]oxy}phenoxy)acetic acid

Example 569

(3,5-Dichloro-4- {[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]oxy}phenoxy)acetic acid

20

Example 570

(3,5-Dibromo-4- {[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]oxy}phenoxy)acetic acid

Example 571

25 [4- {[3-(4-Pyridinylsulphonyl)-1H-indol-5-yl]oxy}-3,5-bis(trifluoromethyl)phenoxy]-acetic acid

Example 572

(3,5-Dimethyl-4- {[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]oxy}phenyl)acetic acid

Example 573

(3,5-Dichloro-4- {[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]oxy} phenyl)acetic acid

Example 574

5 (3,5-Dibromo-4- {[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]oxy} phenyl)acetic acid

Example 575

[4- {[3-(4-Pyridinylsulphonyl)-1H-indol-5-yl]oxy} -3,5-bis(trifluoromethyl)phenyl]-
acetic acid

10

Example 576

3,5-Dimethyl-O-[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]-D-tyrosine

Example 577

15 3,5-Dichloro-O-[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]-D-tyrosine

Example 578

3,5-Dibromo-O-[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]-D-tyrosine

20

Example 579

O-[3-(4-Pyridinylsulphonyl)-1H-indol-5-yl]-3,5-bis(trifluoromethyl)-D-tyrosine

Example 580

3,5-Dimethyl-O-[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]-L-tyrosine

25

Example 581

3,5-Dichloro-O-[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]-L-tyrosine

Example 582

30 3,5-Dibromo-O-[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]-L-tyrosine

Example 583

O-[3-(4-Pyridinylsulphonyl)-1H-indol-5-yl]-3,5-bis(trifluoromethyl)-L-tyrosine

Example 584

5 (3,5-Dimethyl-4- {[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]oxy}phenyl)methane-sulphonic acid

Example 585

10 (3,5-Dichloro-4- {[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]oxy}phenyl)methane-sulphonic acid

Example 586

15 (3,5-Dibromo-4- {[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]oxy}phenyl)methane-sulphonic acid

Example 587

[4- {[3-(4-Pyridinylsulphonyl)-1H-indol-5-yl]oxy}-3,5-bis(trifluoromethyl)phenyl]-methanesulphonic acid

20 **Example 588**

[(3,5-Dimethyl-4- {[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]oxy}phenyl)sulphanyl]-acetic acid

Example 589

25 [(3,5-Dichloro-4- {[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]oxy}phenyl)sulphanyl]-acetic acid

Example 590

30 [(3,5-Dibromo-4- {[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]oxy}phenyl)sulphanyl]-acetic acid

Example 591

{[4-{[3-(4-Pyridinylsulphonyl)-1H-indol-5-yl]oxy}-3,5-bis(trifluoromethyl)phenyl]-sulphonyl}acetic acid

5 **Example 592**

(2R)-Amino(3,5-dimethyl-4-{[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]oxy}phenyl)-ethanoic acid

Example 593

10 (2R)-Amino(3,5-dichloro-4-{[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]oxy}phenyl)-ethanoic acid

Example 594

15 (2R)-Amino(3,5-dibromo-4-{[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]oxy}phenyl)-ethanoic acid

Example 595

20 (2R)-Amino[4-{[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]oxy}-3,5-bis(trifluoromethyl)-phenyl]ethanoic acid

Example 596

(2S)-Amino(3,5-dimethyl-4-{[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]oxy}phenyl)-ethanoic acid

25 **Example 597**

(2S)-Amino(3,5-dichloro-4-{[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]oxy}phenyl)-ethanoic acid

Example 598

30 (2S)-Amino(3,5-dibromo-4-{[3-(4-pyridinylsulphonyl)-1H-indol-5-yl]oxy}phenyl)-ethanoic acid

Example 599

(2S)-Amino[4-{{3-(4-pyridinylsulphonyl)-1H-indol-5-yl}oxy}-3,5-bis(trifluoromethyl)-phenyl]ethanoic acid

5

Example 600

[4-{{3-[(4-Methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy}-3,5-dimethylphenoxy]-acetic acid

10

Example 601

[3,5-Dichloro-4-{{3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy}phenoxy]-acetic acid

Example 602

15

[3,5-Dibromo-4-{{3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy}phenoxy]-acetic acid

Example 603

[4-{{3-[(4-Methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy}-3,5-bis(trifluoromethyl)-phenoxy]acetic acid

20

Example 604

[4-{{3-[(4-Methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy}-3,5-dimethylphenyl]-acetic acid

25

Example 605

[3,5-Dichloro-4-{{3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy}phenyl]acetic acid

Example 606

[3,5-Dibromo-4-({3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]acetic acid

5 **Example 607**

[4-({3-[(4-Methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoromethyl)-phenyl]acetic acid

Example 608

10 O- {3-[(4-Methoxyphenyl)sulphonyl]-1H-indol-5-yl}-3,5-dimethyl-D-tyrosine

Example 609

3,5-Dichloro-O- {3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}-D-tyrosine

15 **Example 610**

3,5-Dibromo-O- {3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}-D-tyrosine

Example 611

20 O- {3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}-3,5-bis(trifluoromethyl)-D-tyrosine

Example 612

O- {3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}-3,5-dimethyl-L-tyrosine

25 **Example 613**

3,5-Dichloro-O- {3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}-L-tyrosine

Example 614

30 3,5-Dibromo-O- {3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}-L-tyrosine

Example 615

O-{3-[(4-Methoxyphenyl)sulphonyl]-1H-indol-5-yl}-3,5-bis(trifluoromethyl)-L-tyrosine

5 **Example 616**

[4-({3-[(4-Methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-dimethylphenyl]-methane-sulphonic acid

Example 617

10 [3,5-Dichloro-4-({3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-methane-sulphonic acid

Example 618

15 [3,5-Dibromo-4-({3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-methane-sulphonic acid

Example 619

[4-({3-[(4-Methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoromethyl)-phenyl]methanesulphonic acid

20

Example 620

{{4-({3-[(4-Methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-dimethylphenyl}-sulphanyl}acetic acid

25 **Example 621**

{{3,5-Dichloro-4-({3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl}-sulphanyl}acetic acid

Example 622

30 {[3,5-Dibromo-4-({3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-sulphanyl}acetic acid

Example 623

{[4-({3-[(4-Methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoromethyl)-phenyl]sulphonyl}acetic acid

5

Example 624

(2R)-Amino[4-({3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-dimethyl-phenyl]ethanoic acid

10

Example 625

(2R)-Amino[3,5-dichloro-4-({3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)-phenyl]ethanoic acid

Example 626

15 (2R)-Amino[3,5-dibromo-4-({3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)-phenyl]ethanoic acid

Example 627

20 (2R)-Amino[4-({3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoro-methyl)phenyl]ethanoic acid

Example 628

(2S)-Amino[4-({3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-dimethyl-phenyl]ethanoic acid

25

Example 629

(2S)-Amino[3,5-dichloro-4-({3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)-phenyl]ethanoic acid

Example 630

(2S)-Amino[3,5-dibromo-4-({3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)-phenyl]ethanoic acid

5 **Example 631**

(2S)-Amino[4-({3-[(4-methoxyphenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoro-methyl)phenyl]ethanoic acid

Example 632

10 {3,5-Dimethyl-4-[(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)oxy]-phenoxy}acetic acid

Example 633

15 {3,5-Dichloro-4-[(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)oxy]-phenoxy}acetic acid

Example 634

20 {3,5-Dibromo-4-[(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)oxy]-phenoxy}acetic acid

Example 635

{3,5-Bis(trifluoromethyl)-4-[(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)oxy]-phenoxy}acetic acid

25 **Example 636**

{3,5-Dimethyl-4-[(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)oxy]-phenyl}-acetic acid

Example 637

30 {3,5-Dichloro-4-[(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)oxy]-phenyl}-acetic acid

Example 638

{3,5-Dibromo-4-[(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)oxy]-phenyl}-acetic acid

5

Example 639

{3,5-Bis(trifluoromethyl)-4-[(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)oxy]-phenyl}acetic acid

10

Example 640

3,5-Dimethyl-O-(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)-D-tyrosine

Example 641

3,5-Dichloro-O-(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)-D-tyrosine

15

Example 642

3,5-Dibromo-O-(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)-D-tyrosine

Example 643

3,5-Bis(trifluoromethyl)-O-(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)-D-tyrosine

20

Example 644

3,5-Dimethyl-O-(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)-L-tyrosine

25

Example 645

3,5-Dichloro-O-(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)-L-tyrosine

Example 646

3,5-Dibromo-O-(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)-L-tyrosine

30

Example 647

3,5-Bis(trifluoromethyl)-O-(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)-L-tyrosine

5 **Example 648**

{3,5-Dimethyl-4-[(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)oxy]-phenyl}-methanesulphonic acid

Example 649

10 {3,5-Dichloro-4-[(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)oxy]-phenyl}-methanesulphonic acid

Example 650

15 {3,5-Dibromo-4-[(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)oxy]-phenyl}-methanesulphonic acid

Example 651

{3,5-Bis(trifluoromethyl)-4-[(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)oxy]phenyl}methanesulphonic acid

20

Example 652

({3,5-Dimethyl-4-[(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)oxy]-phenyl}sulphanyl)acetic acid

25 **Example 653**

({3,5-Dichloro-4-[(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)oxy]-phenyl}sulphanyl)acetic acid

Example 654

30 ({3,5-Dibromo-4-[(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)oxy]-phenyl}sulphanyl)acetic acid

Example 655

({3,5-Bis(trifluoromethyl)-4-[(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)oxy]-phenyl} sulphanyl)acetic acid

5

Example 656

(2R)-Amino {3,5-dimethyl-4-[(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)oxy]phenyl} ethanoic acid

10

Example 657

(2R)-Amino {3,5-dichloro-4-[(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)oxy]phenyl} ethanoic acid

Example 658

15

(2R)-Amino {3,5-dibromo-4-[(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)oxy]phenyl} ethanoic acid

Example 659

20

(2R)-Amino {3,5-bis(trifluoromethyl)-4-[(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)oxy]phenyl} ethanoic acid

Example 660

25

(2S)-Amino {3,5-dimethyl-4-[(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)oxy]phenyl} ethanoic acid

Example 661

(2S)-Amino {3,5-dichloro-4-[(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)oxy]phenyl} ethanoic acid

Example 662

(2S)-Amino {3,5-dibromo-4-[(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)oxy]phenyl} ethanoic acid

5 **Example 663**

(2S)-Amino {3,5-bis(trifluoromethyl)-4-[(3-{[4-(trifluoromethyl)phenyl]sulphonyl}-1H-indol-5-yl)oxy]phenyl} ethanoic acid

Example 664

10 Difluoro(4-{[3-(4-fluorobenzyl)-1H-indol-5-yl]oxy}-3,5-dimethylphenyl)acetic acid

Example 665

(4-{[3-(4-Chlorobenzyl)-1H-indol-5-yl]oxy}-3,5-dimethylphenyl)(difluoro)acetic acid

15

Example 666

[4-({3-[(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl} oxy)-3,5-dimethylphenyl]-(difluoro)-acetic acid

20 **Example 667**

Difluoro[4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl} oxy)-3,5-dimethylphenyl]-acetic acid

Example 668

25 Fluoro[4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl} oxy)-3,5-dimethylphenyl]acetic acid

Example 669

[4-({3-[(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl} oxy)-3,5-dimethylphenyl]-(fluoro)-acetic acid

30

Example 670

(4- {[3-(4-Chlorobenzyl)-1H-indol-5-yl]oxy}-3,5-dimethylphenyl)(fluoro)acetic acid

Example 671

5 Fluoro(4- {[3-(4-fluorobenzyl)-1H-indol-5-yl]oxy}-3,5-dimethylphenyl)acetic acid

Example 672

(3-Chloro-4- {[3-(4-fluorobenzyl)-1H-indol-5-yl]oxy}-5-methylphenyl)(fluoro)acetic acid

10

Example 673

(3-Chloro-4- {[3-(4-chlorobenzyl)-1H-indol-5-yl]oxy}-5-methylphenyl)(fluoro)acetic acid

15

Example 674

[3-Chloro-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-5-methylphenyl]-(fluoro)-acetic acid

Example 675

20 3-Chloro-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-5-methylphenyl]-(fluoro)-acetic acid

Example 676

25 [3-Chloro-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-5-methylphenyl]-(difluoro)acetic acid

Example 677

[3-Chloro-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-5-methylphenyl]-(difluoro)acetic acid

30

Example 678

(3-Chloro-4-{{3-(4-chlorobenzyl)-1H-indol-5-yl}oxy}-5-methylphenyl)(difluoro)-acetic acid

5 **Example 679**

(3-Chloro-4-{{3-(4-fluorobenzyl)-1H-indol-5-yl}oxy}-5-methylphenyl)(difluoro)-acetic acid

Example 680

10 (3,5-Dichloro-4-{{3-(4-fluorobenzyl)-1H-indol-5-yl}oxy}phenyl)(difluoro)acetic acid

Example 681

(3,5-Dichloro-4-{{3-(4-chlorobenzyl)-1H-indol-5-yl}oxy}phenyl)(difluoro)acetic acid

15 **Example 682**

[3,5-Dichloro-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-(difluoro)-acetic acid

Example 683

20 [3,5-Dichloro-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-(difluoro)-acetic acid

Example 684

25 [3,5-Dichloro-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl](fluoro)-acetic acid

Example 685

[3,5-Dichloro-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl](fluoro)-acetic acid

30

Example 686

(3,5-Dichloro-4-{{3-(4-chlorobenzyl)-1H-indol-5-yl}oxy}phenyl)(fluoro)acetic acid

Example 687

5 (3,5-Dichloro-4-{{3-(4-fluorobenzyl)-1H-indol-5-yl}oxy}phenyl)(fluoro)acetic acid

Example 688

(3,5-Dibromo-4-{{3-(4-fluorobenzyl)-1H-indol-5-yl}oxy}phenyl)(fluoro)acetic acid

10 **Example 689**

(3,5-Dibromo-4-{{3-(4-chlorobenzyl)-1H-indol-5-yl}oxy}phenyl)(fluoro)acetic acid

Example 690

15 [3,5-Dibromo-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-
(fluoro)-acetic acid

Example 691

[3,5-Dibromo-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl](fluoro)-
acetic acid
20

Example 692

[3,5-Dibromo-4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-
(difluoro)-acetic acid

25 **Example 693**

[3,5-Dibromo-4-({3-[(4-chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)phenyl]-
(difluoro)-acetic acid

Example 694

30 (3,5-Dibromo-4-{{3-(4-chlorobenzyl)-1H-indol-5-yl}oxy}phenyl)(difluoro)acetic
acid

Example 695

(3,5-Dibromo-4- {[3-(4-fluorobenzyl)-1H-indol-5-yl]oxy}phenyl)(difluoro)acetic acid

5 **Example 696**

Difluoro[4- {[3-(4-fluorobenzyl)-1H-indol-5-yl]oxy}-3,5-bis(trifluoromethyl)phenyl]-acetic acid

Example 697

10 [4- {[3-(4-Chlorobenzyl)-1H-indol-5-yl]oxy}-3,5-bis(trifluoromethyl)phenyl]-
(difluoro)-acetic acid

Example 698

15 [4-({3-[(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoromethyl)-
phenyl]-(difluoro)acetic acid

Example 699

20 Difluoro[4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoro-
methyl)-phenyl]acetic acid

Example 700

Fluoro[4-({3-[(4-fluorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoro-
methyl)-phenyl]acetic acid

25 **Example 701**

[4-({3-[(4-Chlorophenyl)sulphonyl]-1H-indol-5-yl}oxy)-3,5-bis(trifluoromethyl)-
phenyl]-(fluoro)acetic acid

Example 702

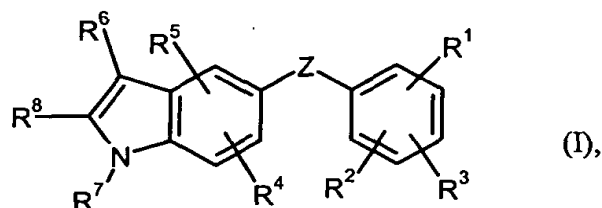
30 [4- {[3-(4-Chlorobenzyl)-1H-indol-5-yl]oxy}-3,5-bis(trifluoromethyl)phenyl]-
(fluoro)acetic acid

Example 703

Fluoro[4-{{3-(4-fluorobenzyl)-1H-indol-5-yl}oxy}-3,5-bis(trifluoromethyl)phenyl]-
acetic acid

Patent claims

1. Compounds of the general formula (I)

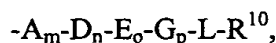


in which

Z represents O, S, SO, SO₂, CH₂, CHF, CF₂ or represents NR⁹, in which R⁹ denotes hydrogen or (C₁-C₄)-alkyl,

R¹ and R² are identical or different and represent hydrogen, halogen, cyano, (C₁-C₆)-alkyl, CF₃, CHF₂, CH₂F, vinyl or (C₃-C₇)-cycloalkyl, where at least one of the two substituents is unequal to hydrogen and in the ortho position to the bridge bond,

R³ represents a group of the formula



in which

A represents O, S, NR¹¹ or represents the group -(CR¹²=CR¹³)-, in which R¹¹ denotes hydrogen or (C₁-C₄)-alkyl, and R¹² and R¹³ are identical or different and denote hydrogen, cyano, (C₁-C₄)-alkyl or (C₁-C₄)-alkoxy,

D represents a straight-chain (C₁-C₃)-alkylene group, which can be

mono- or polysubstituted, identically or differently, by (C₁-C₄)-alkyl, hydroxyl, (C₁-C₄)-alkoxy, halogen, amino, mono-(C₁-C₄)-alkylamino, mono-(C₁-C₄)-acylamino or (C₁-C₄)-alkoxycarbonylamino,

5 E and L independently of one another represent a C(O) or SO₂ group,

G represents NR¹⁴, in which R¹⁴ denotes hydrogen or (C₁-C₄)-alkyl, or represents a straight-chain (C₁-C₃)-alkylene group, which can be mono- or polysubstituted, identically or differently, by (C₁-C₄)-alkyl, hydroxyl, (C₁-C₄)-alkoxy, halogen, amino, mono- or di-(C₁-C₄)-alkylamino or mono-(C₁-C₄)-acylamino,

10

m, n, o and p independently of one another in each case represent the number 0 or 1, with the proviso that

15 in the case that L represents a C=O-group, the sum (m+n+o+p) is unequal to the number 0,

and

20 in the case that m and o in each case represent the number 1, A represents the radical NR¹¹ and E and L in each case represent a C=O-group, the sum (n+p) is unequal to the number 0,

25 and

R¹⁰ represents OR¹⁵, NR¹⁶R¹⁷, (C₁-C₁₀)-alkyl, (C₃-C₈)-cycloalkyl, (C₂-C₆)-alkenyl, (C₆-C₁₀)-aryl, (C₆-C₁₀)-arylmethyl or represents a saturated, partly unsaturated or aromatic 5- to 10-membered heterocycle having up to four identical or different heteroatoms from the group consisting of N, O and/or S, where the abovementioned radicals are optionally

30

substituted by one, two or three identical or different substituents selected from the group consisting of halogen, hydroxyl, oxo, cyano, nitro, amino, $\text{NR}^{18}\text{R}^{19}$, trifluoromethyl, $(\text{C}_1\text{-C}_6)\text{-alkyl}$, $(\text{C}_1\text{-C}_6)\text{-alkoxy}$ optionally substituted by R^{20} , $(\text{C}_3\text{-C}_8)\text{-cycloalkyl}$, $(\text{C}_6\text{-C}_{10})\text{-aryl}$, which
 5 for its part is optionally substituted by halogen, $(\text{C}_1\text{-C}_4)\text{-alkyl}$, $(\text{C}_1\text{-C}_4)\text{-alkoxy}$, trifluoromethyl, nitro or cyano; $-\text{O}-\text{C}(\text{O})-\text{R}^{21}$, $-\text{C}(\text{O})-\text{OR}^{22}$, $-\text{C}(\text{O})-\text{NR}^{23}\text{R}^{24}$, $-\text{SO}_2-\text{NR}^{25}\text{R}^{26}$, $-\text{NH}-\text{C}(\text{O})-\text{R}^{27}$ and $-\text{NH}-\text{C}(\text{O})-\text{OR}^{28}$, where

10 R^{15} , R^{16} , R^{17} , R^{18} , R^{19} , R^{20} , R^{21} , R^{22} , R^{23} , R^{24} , R^{25} , R^{26} , R^{27} and R^{28} are identical or different and in each case represent hydrogen, phenyl, benzyl, $(\text{C}_1\text{-C}_6)\text{-alkyl}$ or $(\text{C}_3\text{-C}_8)\text{-cycloalkyl}$, which for their part are optionally mono- or polysubstituted, identically or differently, by halogen, hydroxyl, amino, carboxyl, $(\text{C}_1\text{-C}_4)\text{-alkoxy}$,
 15 $(\text{C}_1\text{-C}_4)\text{-alkoxycarbonyl}$, $(\text{C}_1\text{-C}_4)\text{-alkoxy-carbonyl-amino}$, $(\text{C}_1\text{-C}_5)\text{-alkanoyloxy}$, a heterocycle or phenyl which is optionally substituted by halogen or hydroxyl,

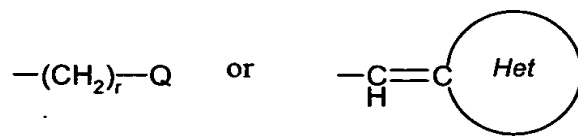
or the group

20 $-\text{L}-\text{R}^{10}$ represents a group of the formula $-\text{P} \begin{array}{l} \text{O} \\ \parallel \\ \text{OR}^{29} \\ \text{OR}^{29} \end{array}$, in which

R^{29} denotes hydrogen or $(\text{C}_1\text{-C}_4)\text{-alkyl}$,

or

25 R^3 represents a group of the formula



in which

5 Q represents a 5- to 6-membered saturated, partly unsaturated or aromatic heterocycle having up to four identical or different heteroatoms from the group consisting of N, O and/or S, which for its part is optionally mono- to trisubstituted, identically or differently, by oxo (=O), thioxo (=S), hydroxyl, 10 (C₁-C₆)-alkyl or phenyl,

r represents the number 0, 1 or 2,

and

15

the ring *Het* denotes a 5- to 6-membered saturated or partly unsaturated heterocycle having up to three identical or different heteroatoms from the group consisting of N, O and/or S, which is optionally mono- to trisubstituted, identically or differently, by oxo 20 (=O), thioxo (=S), hydroxyl, (C₁-C₆)-alkyl or phenyl,

R⁴ and R⁵ are identical or different and in each case represent hydrogen, hydroxyl, halogen, cyano, nitro, (C₁-C₄)-alkyl or the radical of the formula NR³⁰R³¹, where R³⁰ and R³¹ have the meaning indicated for 25 R¹⁵ and independently of one another can be identical to or different from this substituent,

R⁶ represents hydrogen, halogen or represents a group of the formula

- 165 -



in which

5 M represents a carbonyl group, a sulphonyl group or a methylene group,

 a represents the number 0 or 1,

10 and

 R³² has the meaning of R¹⁰ indicated above and can be identical to or different from this substituent,

15 R⁷ represents hydrogen or represents an acyl group which can be removed under physiological conditions with formation of an NH function, preferably represents hydrogen or acetyl ,

 and

20 R⁸ has the meaning of R⁶ indicated above and can be identical to or different from this substituent,

 and their pharmaceutically tolerable salts, solvates, hydrates and hydrates of
25 the salts.

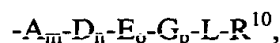
2. Compounds according to Claim 1,

 in which

30 Z represents O, S or CH₂,

5 R^1 and R^2 are identical or different and represent hydrogen, fluorine, chlorine, bromine, (C₁-C₄)-alkyl, CF₃, CHF₂, CH₂F, vinyl or (C₃-C₅)-cycloalkyl, where at least one of the two substituents is unequal to hydrogen and is in the ortho position to the bridge bond, in particular both substituents are unequal to hydrogen and both are in the ortho position,

10 R^3 represents a group of the formula



in which

15 A represents O, S, NR¹¹ or represents the group -(CR¹²=CR¹³)-, in which R¹¹ denotes hydrogen or methyl, and R¹² and R¹³ are identical or different and denote hydrogen or methoxy,

20 D represents a straight-chain (C₁-C₃)-alkylene group which can be mono- or disubstituted, identically or differently, by (C₁-C₄)-alkyl, hydroxyl, methoxy, ethoxy, fluorine, chlorine, amino, mono-(C₁-C₄)-alkylamino or mono-(C₁-C₄)-acylamino,

25 E represents a C(O) group,

L represents a C(O) or SO₂ group,

30 G represents an NH group or represents a straight-chain (C₁-C₃)-alkylene group, which can be mono- or disubstituted, identically or differently, by methyl, ethyl, hydroxyl, methoxy, fluorine, chlorine, amino, methylamino or acetylamino,

m, n, o and p independently of one another in each case represent the number 0 or 1, with the proviso that

5 in the case that L represents a C=O-group, the sum (m+n+o+p) is unequal to the number 0,

and

10 in the case that m and o in each case represent the number 1, A represents the radical NR^{11} and L represents a C=O-group, the sum (n+p) is unequal to the number 0,

and

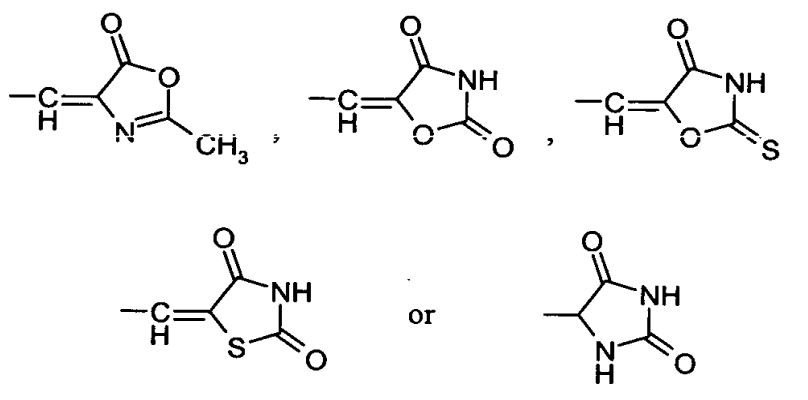
15 R^{10} represents OR^{15} , $\text{NR}^{16}\text{R}^{17}$, (C₁-C₆)-alkyl, (C₃-C₇)-cycloalkyl, naphthyl, phenyl, benzyl or represents a saturated, partly unsaturated or aromatic 5- to 6-membered heterocycle having up to four identical or different heteroatoms from the group consisting of N, O and/or S, where the
20 abovementioned radicals are optionally substituted by one, two or three identical or different substituents selected from the group consisting of halogen, hydroxyl, oxo, cyano, nitro, amino, $\text{NR}^{18}\text{R}^{19}$, trifluoromethyl, (C₁-C₄)-alkyl, (C₁-C₄)-alkoxy optionally substituted by R^{20} , (C₃-C₆)-cycloalkyl, -O-C(O)- R^{21} , -C(O)- OR^{22} , -C(O)- $\text{NR}^{23}\text{R}^{24}$,
25 -SO₂- $\text{NR}^{25}\text{R}^{26}$, -NH-C(O)- R^{27} and -NH-C(O)- OR^{28} , where

R^{15} , R^{16} , R^{17} , R^{18} , R^{19} , R^{20} , R^{21} , R^{22} , R^{23} , R^{24} , R^{25} , R^{26} , R^{27} and R^{28} are identical or different and in each case represent hydrogen, phenyl, benzyl, (C₁-C₆)-alkyl or (C₃-C₆)-cycloalkyl, which for
30 their part are optionally mono- or polysubstituted, identically or differently, by halogen, hydroxyl, amino, carboxyl, (C₁-C₄)-

alkoxy, (C₁-C₄)-alkoxycarbonyl, (C₁-C₄)-alkoxy-carbonyl-amino, (C₁-C₅)-alkanoyloxy, a heterocycle or phenyl which is optionally substituted by halogen or hydroxyl,

5 or

R³ represents a group of the formula

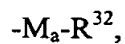


10

R⁴ and R⁵ are identical or different and in each case represent hydrogen, halogen or (C₁-C₄)-alkyl,

R⁶ represents hydrogen, halogen or a group of the formula

15



in which

20

M represents a carbonyl group, a sulphonyl group or a methylene group,

a represents the number 0 or 1,

and

5 R^{32} represents (C₁-C₁₀)-alkyl, (C₃-C₇)-cycloalkyl, (C₂-C₄)-alkenyl, naphthyl, phenyl, benzyl, pyridyl, pyridazinyl or pyridazinonyl, where the abovementioned radicals are optionally substituted by one, two or three identical or different substituents selected from the group consisting of halogen, hydroxyl, cyano, nitro, amino, NR¹⁸R¹⁹, trifluoromethyl, (C₁-C₄)-alkyl, (C₁-C₄)-alkoxy, (C₃-C₇)-cycloalkyl, phenyl, which for its part is
10 optionally substituted by halogen, (C₁-C₄)-alkyl, (C₁-C₄)-alkoxy, trifluoromethyl, nitro or cyano, -O-C(O)-R²¹, -C(O)-OR²², -C(O)-NR²³R²⁴, -SO₂-NR²⁵R²⁶, -NH-C(O)-R²⁷ and -NH-C(O)-OR²⁸, where

15 R^{18} , R^{19} , R^{21} , R^{22} , R^{23} , R^{24} , R^{25} , R^{26} , R^{27} and R^{28} are identical or different and in each case represent hydrogen, phenyl, benzyl, (C₁-C₆)-alkyl or (C₃-C₆)-cycloalkyl, which for their part are optionally mono- or polysubstituted, identically or differently, by halogen, hydroxyl, amino, carboxyl, (C₁-C₄)-alkoxy,
20 (C₁-C₄)-alkoxycarbonyl, (C₁-C₄)-alkoxycarbonylamino, (C₁-C₅)-alkanoyloxy, a heterocycle or phenyl which is optionally substituted by halogen or hydroxyl,

25 R^7 represents hydrogen,

and

R^8 has the meaning of R^6 indicated above and can be identical to or different from this substituent,

30

and their pharmaceutically tolerable salts, solvates, hydrates and hydrates of

the salts.

3. Compounds according to Claim 1,

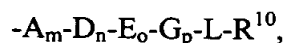
5 in which

Z represents O or CH₂,

10 R¹ and R² are identical or different and represent hydrogen, fluorine, chlorine, bromine, (C₁-C₄)-alkyl, CF₃, CHF₂, CH₂F, vinyl or (C₃-C₅)-cycloalkyl, where at least one of the two substituents is unequal to hydrogen and in the ortho position to the bridge bond, in particular both substituents are unequal to hydrogen and both are in the ortho position,

15

R³ represents a group of the formula



20

in which

A represents O, S or NH,

25

D represents a straight-chain (C₁-C₃)-alkylene group, which can be mono- or disubstituted, identically or differently, by methyl, ethyl, hydroxyl, methoxy, fluorine, amino or acetylamino,

E represents a C(O) group,

30

L represents a C(O) or SO₂ group,

G represents an NH group or represents a methylene group,

m, n, o and p independently of one another in each case represent the number 0 or 1, with the proviso that

5

in the case that L represents a C=O group, the sum (m+n+o+p) is unequal to the number 0,

and

10

in the case that m and o in each case represent the number 1, A represents the radical NH and L represents a C=O group, the sum (n+p) is unequal to the number 0,

15

and

20

R¹⁰ represents OR¹⁵, NR¹⁶R¹⁷, (C₁-C₆)-alkyl, phenyl, benzyl or represents an aromatic 5- to 6-membered heterocycle having up to four identical or different heteroatoms from the group consisting of N, O and/or S, where the abovementioned radicals are optionally substituted by one, two or three identical or different substituents selected from the group consisting of fluorine, chlorine, hydroxyl, oxo, cyano, nitro, amino, NR¹⁸R¹⁹, trifluoromethyl, (C₁-C₄)-alkyl, (C₁-C₄)-alkoxy optionally substituted by R²⁰, (C₃-C₆)-cycloalkyl, -O-C(O)-R²¹, -C(O)-OR²², -C(O)-NR²³R²⁴, -SO₂-NR²⁵R²⁶, -NH-C(O)-R²⁷ and -NH-C(O)-OR²⁸,
where

25

R¹⁵, R¹⁶, R¹⁷, R¹⁸, R¹⁹, R²⁰, R²¹, R²², R²³, R²⁴, R²⁵, R²⁶, R²⁷ and R²⁸ are identical or different and in each case represent hydrogen, phenyl, benzyl, (C₁-C₆)-alkyl or (C₃-C₆)-cycloalkyl, which for their part are optionally mono- to disubstituted, identically or

30

5 differently, by fluorine, chlorine, hydroxyl, amino, carboxyl, (C₁-C₄)-alkoxy, (C₁-C₄)-alkoxycarbonyl, (C₁-C₄)-alkoxy-carbonylamino, (C₁-C₅)-alkanoyloxy, a heterocycle or phenyl which is optionally substituted by fluorine, chlorine or hydroxyl,

R⁴ and R⁵ are identical or different and in each case represent hydrogen, fluorine, chlorine or methyl,

10 R⁶ represents hydrogen, halogen or a group of the formula



in which

15

M represents a sulphonyl group or a methylene group,

a represents the number 0 or 1,

20

and

25

R³² represents (C₁-C₁₀)-alkyl, (C₃-C₇)-cycloalkyl, phenyl, benzyl, pyridyl, pyridazinyl or pyridazinonyl, where the above-mentioned radicals are optionally substituted by one or two identical or different substituents selected from the group consisting of fluorine, chlorine, bromine, hydroxyl, cyano, nitro, amino, NR¹⁸R¹⁹, trifluoromethyl, (C₁-C₄)-alkyl, (C₁-C₄)-alkoxy, (C₃-C₇)-cycloalkyl, -O-C(O)-R²¹, -C(O)-OR²², -C(O)-NR²³R²⁴, -SO₂-NR²⁵R²⁶, -NH-C(O)-R²⁷ and -NH-C(O)-OR²⁸, where

30

5 R^{18} , R^{19} , R^{21} , R^{22} , R^{23} , R^{24} , R^{25} , R^{26} , R^{27} and R^{28} are identical or different and in each case represent hydrogen, phenyl, benzyl, (C₁-C₆)-alkyl or (C₃-C₆)-cycloalkyl, which for their part are optionally mono- or disubstituted, identically or differently, by fluorine, chlorine, hydroxyl, amino, carboxyl, (C₁-C₄)-alkoxy, (C₁-C₄)-alkoxycarbonyl, (C₁-C₄)-alkoxycarbonyl-amino, (C₁-C₅)-alkanoyloxy, a heterocycle or phenyl which is optionally substituted by fluorine, chlorine or hydroxyl,

10 R^7 represents hydrogen,

15 R^8 represents hydrogen, carboxyl, (C₁-C₄)-alkoxycarbonyl, (C₁-C₆)-alkyl, (C₃-C₇)-cycloalkyl, phenyl, benzyl, pyridyl, phenylsulphonyl or benzylsulphonyl, where the abovementioned radicals are optionally substituted by one or two identical or different substituents selected from the group consisting of fluorine, chlorine, bromine, hydroxyl, cyano, nitro, amino, $NR^{18}R^{19}$, trifluoromethyl, (C₁-C₄)-alkyl, (C₁-C₄)-alkoxy, (C₃-C₆)-cycloalkyl, -O-C(O)- R^{21} , -C(O)-OR²², -C(O)-NR²³R²⁴, -SO₂-NR²⁵R²⁶, -NH-C(O)-R²⁷ and -NH-C(O)-OR²⁸,
20 where

25 R^{18} , R^{19} , R^{21} , R^{22} , R^{23} , R^{24} , R^{25} , R^{26} , R^{27} and R^{28} are identical or different and in each case represent hydrogen, phenyl, benzyl, (C₁-C₆)-alkyl or (C₃-C₆)-cycloalkyl, which for their part are optionally mono- or polysubstituted, identically or differently, by fluorine, chlorine, hydroxyl, amino, carboxyl, (C₁-C₄)-alkoxy, (C₁-C₄)-alkoxycarbonyl, (C₁-C₄)-alkoxycarbonyl-amino, (C₁-C₅)-alkanoyloxy, a heterocycle or phenyl which is optionally substituted by fluorine, chlorine or hydroxyl,

30

and their pharmaceutically tolerable salts, solvates, hydrates and hydrates of

the salts.

4. Compounds of the formula I

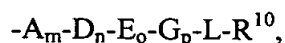
5 in which

Z represents O,

10 R^1 and R^2 are identical or different and represent hydrogen, fluorine, chlorine, bromine, (C₁-C₄)-alkyl, CF₃, CHF₂, CH₂F, vinyl or (C₃-C₅)-cycloalkyl, where at least one of the two substituents is unequal to hydrogen and in the ortho-position to the bridge bond, in particular both substituents are unequal to hydrogen and both are in the ortho-position,

15

R^3 represents a group of the formula



20

in which

A represents O, S or NH,

25 D represents a methylene or ethylene group, which can be mono- to disubstituted, identically or differently, by methyl, ethyl, fluorine, amino or acetylamino,

E represents a C(O) group,

30

L represents a C(O) or SO₂ group,

G represents an NH group or represents a methylene group,

m, n, o and p independently of one another in each case represent the number 0 or 1, with the proviso that

5

in the case that L represents a C=O-group, the sum (m+n+o+p) is unequal to the number 0,

and

10

in the case that m and o in each case represent the number 1, A represents the radical NH and L represents a C=O-group, the sum (n+p) is unequal to the number 0,

15

and

R¹⁰ represents OR¹⁵, NR¹⁶R¹⁷ or represents (C₁-C₄)-alkyl, where R¹⁵, R¹⁶ and R¹⁷ are identical or different and in each case represent hydrogen, phenyl, benzyl, (C₁-C₆)-alkyl or (C₃-C₆)-cycloalkyl, which for their part are optionally mono- to disubstituted, identically or differently, by fluorine, chlorine, hydroxyl, amino, carboxyl, (C₁-C₄)-alkoxy, (C₁-C₄)-alkoxycarbonyl, (C₁-C₄)-alkoxycarbonylamino, (C₁-C₅)-alkanoyloxy, a heterocycle or phenyl,

20

25

R⁴ and R⁵ are identical or different and in each case represent hydrogen, fluorine, chlorine or methyl,

30

R⁶ represents hydrogen, halogen, (C₁-C₁₀)-alkyl, (C₃-C₇)-cycloalkyl, (C₃-C₇)-cycloalkylmethyl, phenyl, benzyl, pyridazinonylmethyl, phenylsulphonyl or pyridylsulphonyl, where the abovementioned aromatic radicals are optionally substituted by one or two identical or

different substituents selected from the group consisting of fluorine, chlorine, cyano, nitro, trifluoromethyl, methyl, methoxy, carboxyl or methoxycarbonyl,

5 R^7 represents hydrogen,

R^8 represents hydrogen, (C_1-C_6) -alkyl, (C_3-C_7) -cycloalkyl, phenyl, benzyl, phenylsulphonyl or benzylsulphonyl, where the
10 abovementioned aromatic radicals are optionally substituted by one or two identical or different substituents selected from the group consisting of fluorine, chlorine, cyano, trifluoromethyl, methyl or methoxy,

 and their pharmaceutically tolerable salts, solvates, hydrates and hydrates of
15 the salts.

5. Compounds according to Claim 1, in which

 Z represents CH_2 or in particular represents oxygen,
20

R^1 and R^2 are identical or different and represent methyl, ethyl, propyl, isopropyl, chlorine, bromine, CF_3 , vinyl or cyclopropyl, where both substituents are in the ortho-position to the bridge bond,

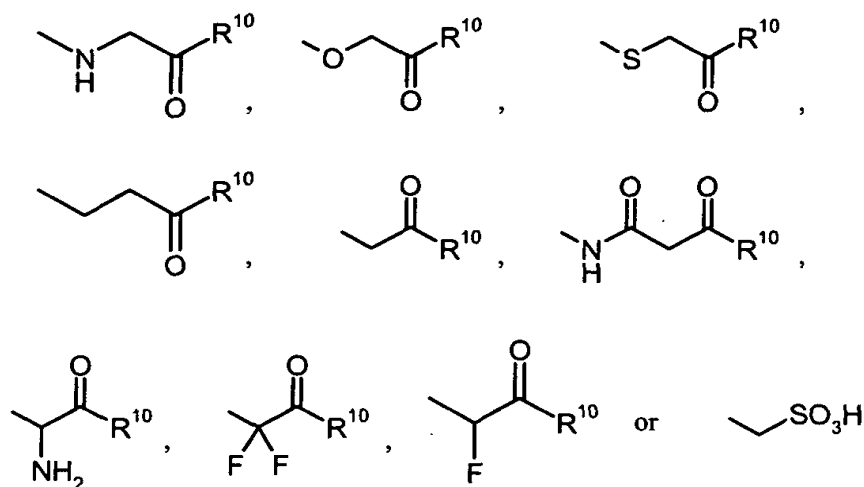
25 R^4 and R^5 independently of one another represent methyl, fluorine or chlorine or in particular represent hydrogen,

 and

30 R^7 represents hydrogen.

6. Compound according to one of Claims 1 to 5, in which Z represents oxygen.
7. Compound according to one of Claims 1 to 6, in which R^3 represents a group of the formula

5



10

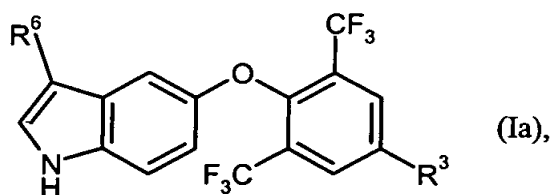
which is located in the para position to the bridge bond and in which R^{10} represents hydroxyl or the radical $-C(O)-R^{10}$ has the indicated meanings of R^{10} for a group which, in the sense of a prodrug, can be broken down to the carboxylic acid $-C(O)-OH$ or its salts.

15

8. Compounds according to one of Claims 1 to 7, in which R^4 , R^5 and R^7 represent hydrogen.
9. Compounds according to one of Claims 1 to 8, in which R^1 and R^2 are both situated in the ortho position to Z and represent bromine, trifluoromethyl, ethyl, cyclopropyl and in particular represent methyl or chlorine.

20

10. Compounds of the formula (Ia)



in which

- 5 R^3 represents a group of the formula $-\text{CH}_2-\text{C}(\text{O})-\text{OH}$, $-\text{CHF}-\text{C}(\text{O})-\text{OH}$ or $-\text{CF}_2-\text{C}(\text{O})-\text{OH}$,

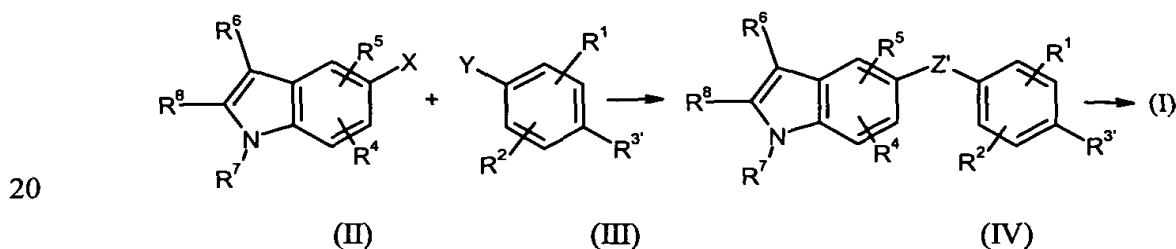
and

- 10 R^6 represents straight-chain or branched (C_1-C_8) -alkyl.

and their pharmaceutically tolerable salts, solvates, hydrates and hydrates of the salts.

- 15 11. Medicaments comprising at least one compound of the general formula (I) or (Ia) as defined in Claims 1 to 10.
12. Medicaments comprising at least one compound of the general formula (I) or (Ia) as defined in Claims 1 to 10, and at least one excipient and/or vehicle
- 20 customary in pharmacology.
13. Process for the production of medicaments, characterized in that at least one compound of the general formula (I) or (Ia) as defined in Claims 1 to 10 is converted into a suitable administration form using excipients and vehicles.
- 25 14. Use of the compounds of the general formula (I) as defined in Claims 1 to 10 in the prevention and control of diseases.

15. Use of the compounds of the general formula (I) as defined in Claims 1 to 10 in the treatment and/or prophylaxis of arteriosclerosis and hypercholesterol-aemia.
- 5 16. Use of the compounds of the general formula (I) as defined in at least one of Claims 1 to 8 for the production of medicaments for the prophylaxis and/or treatment of disease forms which can be treated with natural thyroid hormone.
- 10 17. Use of compounds of the general formula (I) according to at least one of Claims 14 to 16 in combination with other medicaments.
18. Process for the prevention and control of diseases, characterized in that patients are treated with a compound as defined in Claims 1 to 10.
- 15 19. Process for the preparation of compounds of the general formula (I) as defined in Claim 1, characterized in that reactive indole derivatives of the general formula (II) are reacted with reactive phenyl derivatives of the general formula (III)



where the substituents R¹, R², R⁴, R⁵, R⁶, R⁷ and R⁸ have the meanings indicated in Claim 1, and

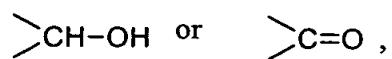
25

R^{3'} has the meaning indicated for R³ or represents NO₂, NH₂, NH-PG, OH, O-PG, SH, S-PG, or represents an aldehyde, cyano, carboxyl or (C₁-C₄)-alkoxy-carbonyl group,

where PG represents a protective group,

5 X and Y in each case represent groups of opposite reactivity, where, for example, X can be an electrophilic radical which reacts with a nucleophilic Y substituent and vice versa,

Z' has the meaning indicated for Z or represents



10

if appropriate in the presence of inert solvents and catalysts and if appropriate with isolation of the intermediates of the general formula (IV) or directly to give compounds of the formula (I).

Indole derivatives

A b s t r a c t

The invention relates to new indole derivatives, processes for their preparation, and their use in medicaments.